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 NEWS 3 Jun 03 New e-mail delivery for search results now available  
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 now available on STN  
 NEWS 6 Aug 26 Sequence searching in REGISTRY enhanced  
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 NEWS 9 Sep 16 CA Section Thesaurus available in CAPLUS and CA  
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 NEWS 11 Oct 24 BEILSTEIN adds new search fields  
 NEWS 12 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN  
 NEWS 13 Nov 18 DKILIT has been renamed APOLLIT  
 NEWS 14 Nov 25 More calculated properties added to REGISTRY  
 NEWS 15 Dec 04 CSA files on STN  
 NEWS 16 Dec 17 PCTFULL now covers WP/PCT Applications from 1978 to date  
 NEWS 17 Dec 17 TOXCENTER enhanced with additional content  
 NEWS 18 Dec 17 Adis Clinical Trials Insight now available on STN  
 NEWS 19 Jan 29 Simultaneous left and right truncation added to COMPENDEX,  
 ENERGY, INSPEC  
 NEWS 20 Feb 13 CANCERLIT is no longer being updated  
 NEWS 21 Feb 24 METADEX enhancements  
 NEWS 22 Feb 24 PCTGEN now available on STN  
 NEWS 23 Feb 24 TEMA now available on STN  
 NEWS 24 Feb 26 NTIS now allows simultaneous left and right truncation  
 NEWS 25 Feb 26 PCTFULL now contains images  
 NEWS 26 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results  
 NEWS 27 Mar 20 EVENTLINE will be removed from STN  
 NEWS 28 Mar 24 PATDPAFULL now available on STN  
 NEWS 29 Mar 24 Additional information for trade-named substances without  
 structures available in REGISTRY  
 NEWS 30 Apr 11 Display formats in DGENE enhanced  
 NEWS 31 Apr 14 MEDLINE Reload  
 NEWS 32 Apr 17 Polymer searching in REGISTRY enhanced  
 NEWS 33 Apr 21 Indexing from 1947 to 1956 being added to records in CA/CAPLUS  
 NEWS 34 Apr 21 New current-awareness alert (SDI) frequency in  
 WPIDS/WPINDEX/WPIX  
 NEWS 35 Apr 28 RDISCLOSURE now available on STN  
 NEWS 36 May 05 Pharmacokinetic information and systematic chemical names  
 added to PHAR  
 NEWS 37 May 15 MEDLINE file segment of TOXCENTER reloaded  
 NEWS 38 May 15 Supporter information for ENCOMPPAT and ENCOMPLIT updated  
 NEWS 39 May 16 CHEMREACT will be removed from STN  
 NEWS 40 May 19 Simultaneous left and right truncation added to WSCA  
 NEWS 41 May 19 RAPRA enhanced with new search field, simultaneous left and  
 right truncation

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT  
 MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),

10/ 076,573

	AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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FILE 'HOME' ENTERED AT 15:21:12 ON 06 JUN 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:21:23 ON 06 JUN 2003

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 JUN 2003 HIGHEST RN 526182-51-4

DICTIONARY FILE UPDATES: 5 JUN 2003 HIGHEST RN 526182-51-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 10076573.str

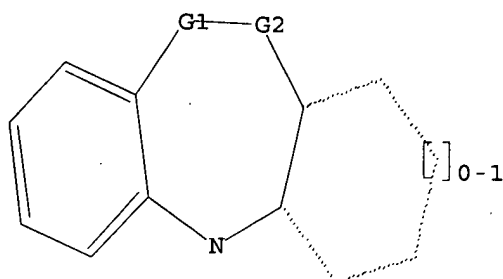
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

10/ 076,573



G1 C,S,N

G2 C,S,SO2

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 15:21:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 38.3% PROCESSED 400000 ITERATIONS

1669 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.06

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*

BATCH \*\*INCOMPLETE\*\*

PROJECTED ITERATIONS: EXCEEDS 1000000

PROJECTED ANSWERS: EXCEEDS 4161

L2 1669 SEA SSS FUL L1

=> s 'benzo[b,f]azepin'

1598456 'BENZO'

20272 'B,F'

62091 'AZEPIN'

L3 12 'BENZO[B,F]AZEPIN'

('BENZO' (W) 'B,F' (W) 'AZEPIN')

=> s 'benzo[b,f]azepine'

1598456 'BENZO'

20272 'B,F'

55346 'AZEPINE'

L4 31 'BENZO[B,F]AZEPINE'

('BENZO' (W) 'B,F' (W) 'AZEPINE')

=> s l3 or l4

L5 43 L3 OR L4

=> s l2 not l5

L6 1664 L2 NOT L5

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

174.67

174.88

FILE 'CAPLUS' ENTERED AT 15:23:37 ON 06 JUN 2003

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FILE COVERS 1907 - 6 Jun 2003 VOL 138 ISS 24

FILE LAST UPDATED: 5 Jun 2003 (20030605/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=&gt; s 16

-L7- 200 L6

=&gt; d 17 1- ibib abs fhitr

YOU HAVE REQUESTED DATA FROM 200 ANSWERS - CONTINUE? Y/(N):y

L7 ANSWER 1 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:374064 CAPLUS

DOCUMENT NUMBER: 138:376535

TITLE: Organic electroluminescent display having red light-emitting layer

INVENTOR(S): Oh, Hyoung Yun; I, Sun Ku; Park, Chung Geun; So, Jon De; Kim, Myung Seop

PATENT ASSIGNEE(S): LG Electronics Co., Ltd., S. Korea

SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003142269	A2	20030516	JP 2002-293373	20021007
EP 1317005	A2	20030604	EP 2002-23135	20021015

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.: KR 2001-67267 A 20011030

AB The display has a red light-emitting layer between electrodes, and the layer contains a guest substance of red-emitting substance and .gtoreq.2 host substances. Preferably, one of the host substances is a (substituted) quinoline deriv. or a compd. represented by (L1L2N)m-z-(NL3L4)n [m + n = 1-8; z = A1, A2QA3; A1 = (substituted) arom. hydrocarbylene, heterocyclic group, aliph. hydrocarbylene; A2-3 = (substituted) arom. hydrocarbylene, heterocyclic group,; A1-3 are connected to N via aliph. hydrocarbylene, amido, or imine; Q = (substituted) arom. hydrocarbylene, heterocyclic ring, aliph. hydrocarbylene, Group IIIA, IVA, VA, or VIA element; Q is connected to A2-3 via (substituted) aliph. hydrocarbylene, Group IIIA, IVA, VA, or VIA element, amido, ester, carbonyl, azo, imine; L1-4 = (substituted) arom. hydrocarbyl, heterocyclic group, aliph. hydrocarbyl; silyl, H]. The display emits red light with high luminescent efficiency.

IT 522652-88-6

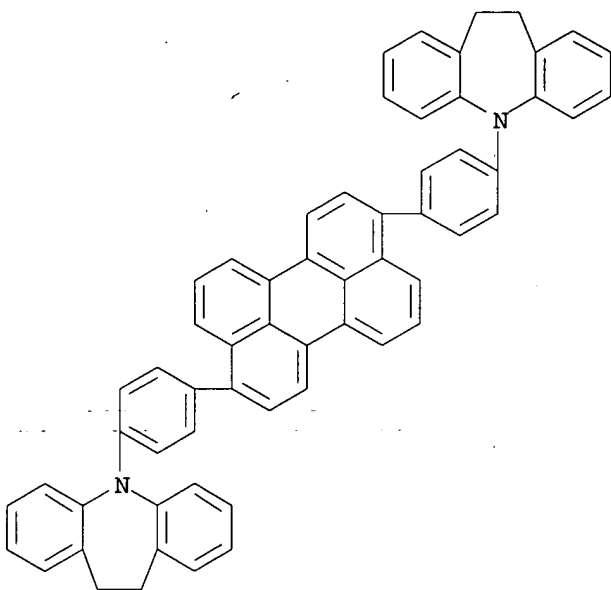
10/ 076,573

RL: DEV (Device component use); USES (Uses)

(host; org. electroluminescent display having red light-emitting layer  
contg. host substances for high luminescent efficiency)

RN 522652-88-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



L7 ANSWER 2 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:203407 CAPLUS

DOCUMENT NUMBER: 138:238181

TITLE: Preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C

INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl. No. PCT/JP00/09181.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003050320	A1	20030313	US 2001-939374	20010824
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
JP 2001247550	A2	20010911	JP 2000-391904	20001225
PRIORITY APPLN. INFO.:			JP 1999-369008	A 19991227

WO 2000-JP9181 A2 20001222  
JP 2000-391904 A 20001225  
JP 2001-193786 A 20010626

OTHER SOURCE(S): MARPAT 138:238181  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S; CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prep'd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

IT 347166-36-3P

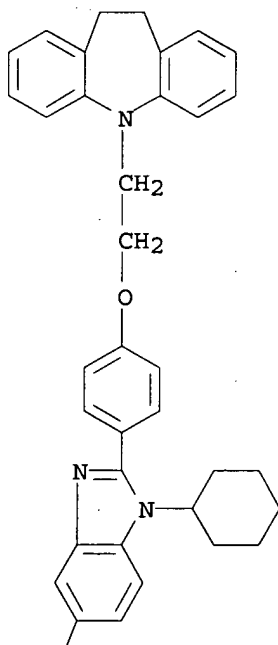
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 347166-36-3 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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HO<sub>2</sub>C

L7 ANSWER 3 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:173572 CAPLUS

DOCUMENT NUMBER: 138:221602

TITLE: Preparation of diarylalkene and diarylalkane

derivatives as N-type calcium channel antagonists

INVENTOR(S): Yamamoto, Takashi; Niwa, Seiji; Otani, Kayo; Ohno, Seiji; Koganei, Hajime; Iwayama, Satoshi; Takahara, Akira; Ono, Yukitsugu; Takeda, Tomoko; Fujita, Shinichi; Moki, Keiko

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan; et al.

SOURCE: PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

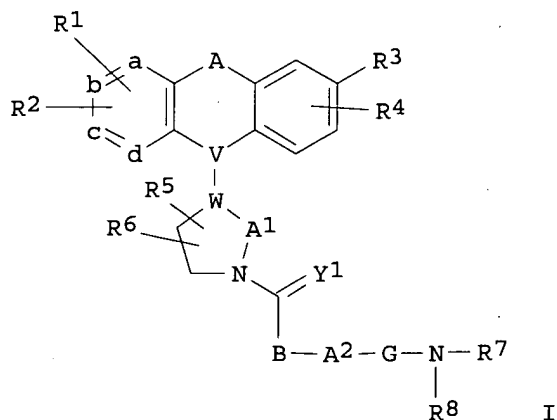
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003018538	A1	20030306	WO 2002-JP8809	20020830
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:	JP 2001-263718	A	20010831
	JP 2002-14387	A	20020123
	JP 2002-111067	A	20020412

OTHER SOURCE(S): MARPAT 138:221602

GI



AB The title compds. I [A represents CH:CH, etc.; a, b, c, and d each represents CH, etc.; R1, R2, R3, R4, R5, and R6 each represents hydrogen, etc.; V-W represents C:C, etc.; A1 is (CH<sub>2</sub>)<sub>n</sub>; n is 0 to 3; Y1 represents oxygen, etc.; B represents (CH<sub>2</sub>)<sub>v</sub>CHR<sub>21</sub> (v is 0 to 3 and R<sub>21</sub> represents hydrogen, lower alkyl, etc.), etc.; G represents CO, a covalent bond, etc.; A2 is (CH<sub>2</sub>)<sub>m</sub>; m is 0 to 6; and R7 and R8 each represents hydrogen, lower alkyl, COR<sub>18a</sub>, COOR<sub>20</sub> (R<sub>18a</sub> and R<sub>20</sub> each represents lower alkyl, etc.), etc.] are prepd. I are selective N-type calcium channel antagonists. In an in vitro test, compds. of this invention at 10 .mu.M gave 67% to 85% antagonism of N-type calcium channel.

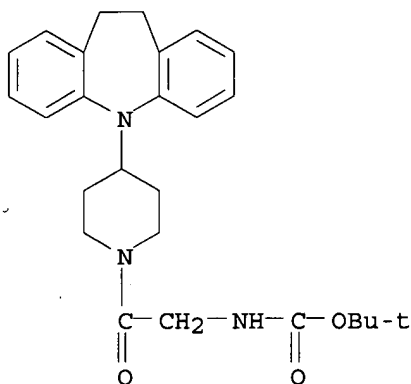
IT 500894-79-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of diarylalkene and diarylalkane derivs. as N-type calcium channel inhibitors)

RN 500894-79-1 CAPLUS

CN Carbamic acid, [2-[4-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-1-piperidiny]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:118638 CAPLUS

DOCUMENT NUMBER: 138:153540

TITLE: Preparation of aminobutylphenothiazines, -iminodibenzyls, and related compounds as chemosensitizing agents against chloroquine resistant plasmodium falciparum

INVENTOR(S): Lin, Ai J.; Guan, Jian; Kyle, Dennis E.; Milhous, Wilbur K.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

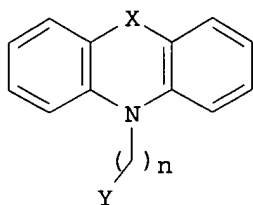
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003032801	A1	20030213	US 2001-849400	20010507
PRIORITY APPLN. INFO.:			US 2001-849400	20010507

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OTHER SOURCE(S) :  
GI

MARPAT 138:153540



AB Title compds. [I; X = (substituted) alkyl, heteroatom; n = 4-6; Y = (substituted) alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, NR<sub>1</sub>R<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = H, heteroatom, (substituted) alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; each ring structure may be substituted], were prepd. Thus, 10-(4-pyrrolidin-1-ylbutyl)phenothiazine (general prepn. given) at 50 ng/mL completely restored the sensitivity of TM91C235 cells to chloroquine.

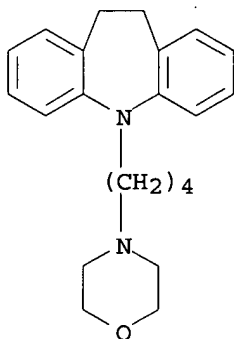
IT **246041-26-9P**, 5-(4-Morpholin-4-ylbutyl)iminodibenzyl

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU- (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compd.; prepn. of aminobutylphenothiazines, -iminodibenzyls, and related compds. as chemosensitizing agents against chloroquine resistant plasmodium falciparum)

RN 246041-26-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[4-(4-morpholinyl)butyl]- (9CI)  
(CA INDEX NAME)



L7 ANSWER 5 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:117804 CAPLUS

DOCUMENT NUMBER: 138:137593

TITLE: Preparation of novel N-(2-benzoylphenyl)-L-tyrosine derivatives for use as antidiabetics

INVENTOR(S): Jeppesen, Lone; Bury, Paul Stanley; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg, Per

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

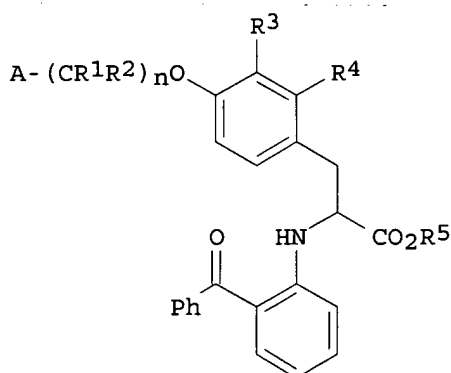
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

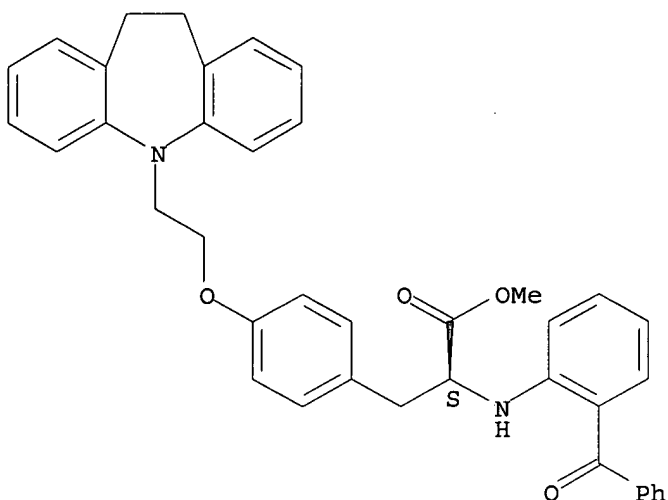
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011834	A1	20030213	WO 2002-DK469	20020705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003055076	A1	20030320	US 2002-217594	20020730
PRIORITY APPLN. INFO.:			DK 2001-1156	A 20010730
			US 2001-309951P	P 20010803
OTHER SOURCE(S):			MARPAT 138:137593	
GI				



- AB Tyrosine derivs. I [A is an (un)substituted fused tricyclic ring system; n = 1-3; R1, R2 = H, halo, (cyclo)alkyl, (cyclo)alkoxy; R3, R4 are H or halo; R5 is H, (cyclo)alkyl] or their pharmaceutically-acceptable salts or solvates, including tautomeric forms, stereoisomers, racemates, and polymorphs, were prepd. for use in pharmaceutically compns. for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR). Thus, N-(2-benzoylphenyl)-O-(2-phenoxazin-10-ylethyl)-L-tyrosine Me ester was prepd. by etherification reaction of N-(2-benzoylphenyl)-L-tyrosine Me ester with 2-phenoxazin-10-ylethanol.
- IT **494221-19-1P**  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of (benzoylphenyl)tyrosine derivs. as antidiabetics)
- RN 494221-19-1 CAPLUS
- CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

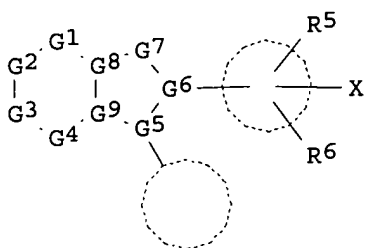
Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2003:5773 CAPLUS  
 DOCUMENT NUMBER: 138:66657  
 TITLE: Fused cyclic compounds and medicinal use thereof  
 INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito  
 PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan  
 SOURCE: PCT Int. Appl., 603 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000254	A1	20030103	WO 2002-JP6405	20020626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 2001-193786	A 20010626
			JP 2001-351537	A 20011116
OTHER SOURCE(S):		MARPAT 138:66657		
GI				



I

AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C contg. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

IT 347166-36-3P

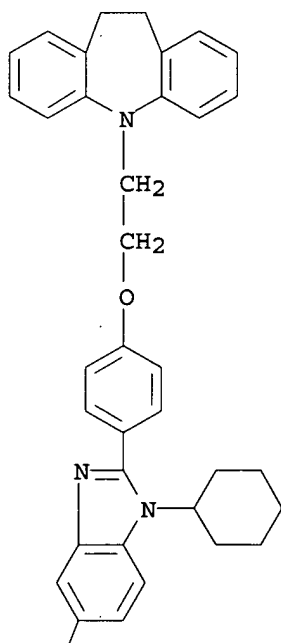
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

RN 347166-36-3 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

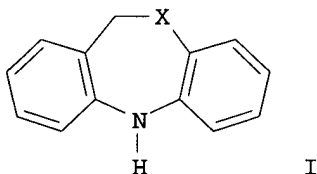
PAGE 1-A



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 HO<sub>2</sub>C

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:943513 CAPLUS  
 DOCUMENT NUMBER: 138:170209  
 TITLE: An Efficient Assembly of Heterobenzazepine Ring Systems Utilizing an Intramolecular Palladium-Catalyzed Cycloamination  
 AUTHOR(S): Margolis, Brandon J.; Swidorski, Jacob J.; Rogers, Bruce N.  
 CORPORATE SOURCE: Medicinal Chemistry, Pharmacia Corporation, Kalamazoo, MI, 49007, USA  
 SOURCE: Journal of Organic Chemistry (2003), 68(2), 644-647  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 138:170209  
 GI



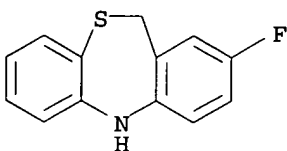
AB Azaheterocyclic compds. are interesting and medicinally relevant targets. Herein we disclose an improved synthesis into the oxazepine and thiazepine ring systems, e.g., I [X = O or S]. The key step in the synthesis exploits recent advancements in the palladium-catalyzed amination reaction, which was utilized to form the seven-membered rings. General conditions for this reaction were Pd<sub>2</sub>dba<sub>3</sub>, P(t-Bu)<sub>3</sub>, NaO-t-Bu alone or with K<sub>2</sub>CO<sub>3</sub>, in toluene. The scope of the reaction was investigated, and has been shown to be effective on a variety of substrates as illustrated.

IT 497227-68-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of heterobenzazepines via palladium catalyzed intramol. cycloamination of aminoarylthiomethylarylhalides or aminoaryloxomethylarylhalides)

RN 497227-68-6 CAPLUS

CN Dibenzo[b,e][1,4]thiazepine, 2-fluoro-5,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:932237 CAPLUS

DOCUMENT NUMBER: 138:188189

TITLE: Synthesis and optical and electrochemical properties of novel copolymers containing alternating 2,3-divinylquinoxaline and hole-transporting units

AUTHOR(S): Wu, Tzi-Yi; Chen, Yun

CORPORATE SOURCE: Department of Chemical Engineering, National Cheng Kung University, Tainan, 701, Taiwan

SOURCE: Journal of Polymer Science, Part A: Polymer Chemistry (2002), 40(24), 4570-4580  
CODEN: JPACEC; ISSN: 0887-624X

PUBLISHER: John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB For the enhancement of charge affinity, electron-affinitive 2,3-divinylquinoxaline and a series of hole-transporting chromophores (iminodibenzyl, phenothiazine, dihexyloxybenzene, and didodecyloxydistyrylbenzene) were incorporated alternately into the polymeric main chain. The resulting copolymers (P1-P4) were basically amorphous materials and were thermally stable below 300.degree.. The electronic structures, photoluminescence, and electrochem. properties of these copolymers were mainly detd. by the electron-donating chromophores in the backbone. They showed significant pos. solvatochromism in formic acid. An electrochem. study revealed that they exhibited lower band gaps (<2.3 eV) due to alternating donor and acceptor conjugated units (push-pull structure). Single-layer light-emitting diodes of aluminum, P1-P4, and indium tin oxide glass were fabricated, and preliminary electroluminescence spectra showed that P1, P3, and P4 were orange-emitting materials.

IT 497961-42-9P

RL: DEV (Device component use); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(prepn. and optical and electrochem. properties of copolymers contg. alternating electron-affinitive divinylquinoxaline and hole-transporting units)

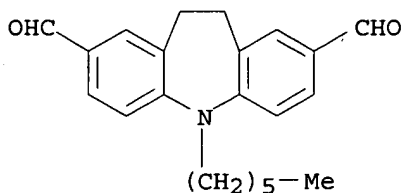
RN 497961-42-9 CAPLUS

CN Phosphonic acid, [2,3-quinoxalinediylbis(methylene)]bis-, tetraethyl ester, polymer with 5-hexyl-10,11-dihydro-5H-dibenz[b,f]azepine-2,8-dicarboxaldehyde (9CI) (CA INDEX NAME)

CM 1

CRN 380538-32-9

CMF C22 H25 N O2

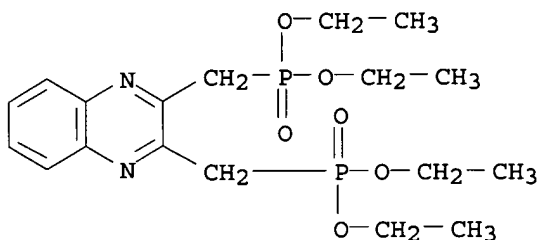


CM 2

10/ 076,573

CRN 99565-79-4

CMF C18 H28 N2 O6 P2



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:927407 CAPLUS

DOCUMENT NUMBER: 138:4538

TITLE: Method for preparation of 10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide and 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide

INVENTOR(S): Learmonth, David Alexander

PATENT ASSIGNEE(S): Portela & CA SA, Port.

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096881	A1	20021205	WO 2002-GB2356	20020522
WO 2002096881	C1	20030227		

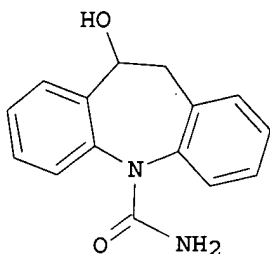
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

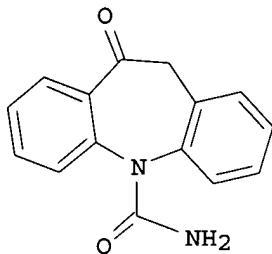
PRIORITY APPLN. INFO.: GB 2001-12812 A 20010525

OTHER SOURCE(S): CASREACT 138:4538; MARPAT 138:4538

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I



II

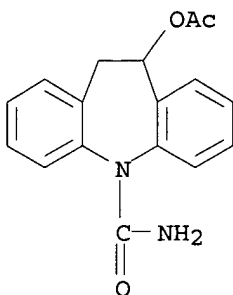
AB A method for the prepn. of 10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide I and 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide II from carbamazepine via a three-step process involving (i) epoxidn. of carbamazepine; (ii) ring-opening of the resulting epoxide and (iii) oxidn. of the resulting alc.

IT **186694-11-1P**

RL: SPN (Synthetic preparation); PREP--(Preparation)  
(prepn. via acetylation of dihydrohydroxydibenzazepinecarboxamide)

RN 186694-11-1 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:905855 CAPLUS

DOCUMENT NUMBER: 138:303

TITLE: Caspase inhibitors and therapeutic uses

INVENTOR(S): Mortimore, Michael; Miller, Andrew; Studley, John; Charrier, Jean-Damien

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094263	A2	20021128	WO 2002-US16353	20020523
WO 2002094263	A3	20030327		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003092703 A1 20030515 US 2002-153971 20020523

PRIORITY APPLN. INFO.: US 2001-292969P P 20010523

OTHER SOURCE(S): MARPAT 138:303

AB This invention provides compds. which are effective inhibitors of apoptosis and IL-1 $\beta$  secretion. The invention also discusses the therapeutic potential of these compds. in treating diseases like IL-1 mediated disease, apoptosis mediated disease or an inflammatory disease.

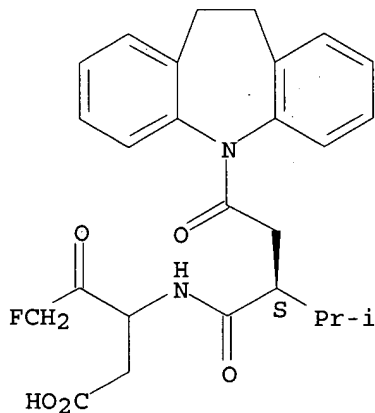
IT 476635-44-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (caspase inhibitors)

RN 476635-44-6 CAPLUS

CN Pentanoic acid, 3-[[ (2S)-4-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-2-(1-methylethyl)-1,4-dioxobutyl]amino]-5-fluoro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 11 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:888715 CAPLUS

DOCUMENT NUMBER: 137:384766

TITLE: Process for preparation of (S)-(+)- and (R)-(-)-10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide

INVENTOR(S): Learmonth, David Alexander

PATENT ASSIGNEE(S): Portela & Cia. SA, Port.

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092572	A1	20021121	WO 2002-GB2176	20020510

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

GB 2377440

A1 20030115

GB 2002-10798

20020510

PRIORITY APPLN. INFO.:

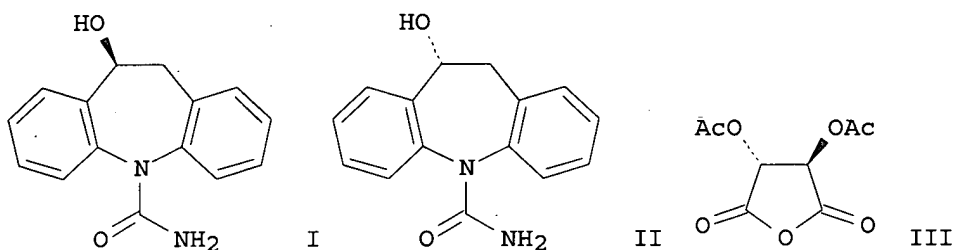
GB 2001-11566

A 20010511

OTHER SOURCE(S):

CASREACT 137:384766; MARPAT 137:384766

GI



AB This invention provides a safe, economical, scalable, efficient, and high-yielding method for prepn. of optically pure (S)-(+)-10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide (I) and (R)-(-)-10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide (II) by resolu. of the corresponding racemic compd. using a tartaric acid anhydride. For example, L-(+)-tartaric acid was treated with acetic anhydride in the presence of catalytic amt. of sulfuric acid to give acid anhydride III. III was reacted with racemic 10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide in CH<sub>2</sub>Cl<sub>2</sub> in the presence of pyridine and DMAP, followed by hydrolysis in MeOH catalyzed by aq. NaOH to afford I (84%) with 96% optical purity.

IT 475674-44-3P

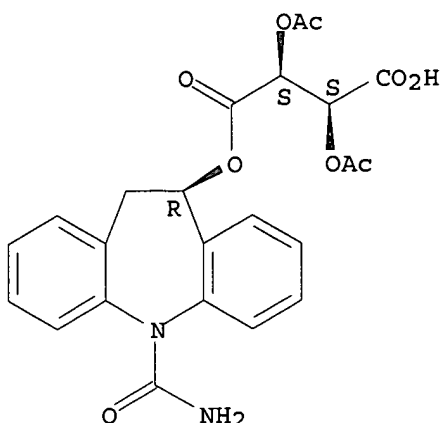
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of optically pure dibenz[b,f]azepinecarboxamide derivs. by resolu. using a tartaric acid anhydride)

RN 475674-44-3 CAPLUS

CN Butanedioic acid, 2,3-bis(acetyloxy)-, mono[(10R)-5-(aminocarbonyl)-10,11-dihydro-5H-dibenz[b,f]azepin-10-yl] ester, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:868744 CAPLUS

DOCUMENT NUMBER: 137:370096

TITLE: Tricyclic N-(aminoalkyl)-substituted phenothiazines, iminodibenzyls, iminostilbenes, and diphenylamines, active as chemosensitizing agents against chloroquine-resistant Plasmodium falciparum, and methods of making and using thereof

INVENTOR(S): Lin, Ai J.; Guan, Jian; Kyle, Dennis E.; Milhous, Wilbur K.

PATENT ASSIGNEE(S): United States Army Medical Research and Material Command, USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

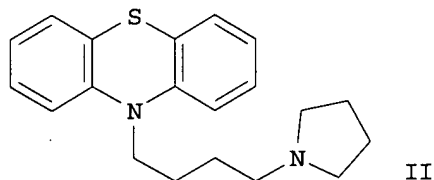
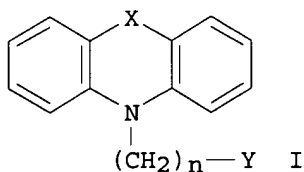
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089810	A1	20021114	WO 2001-US14574	20010507
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG</p>				

PRIORITY APPLN. INFO.: WO 2001-US14574 20010507

OTHER SOURCE(S): MARPAT 137:370096

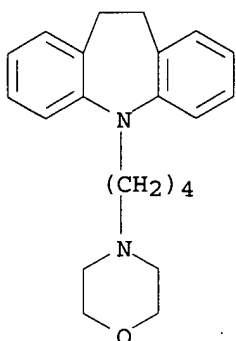
GI



AB Title compds. I and pharmaceutically acceptable salts or prodrugs thereof are disclosed [wherein: X is a substituted or unsubstituted alkyl, a heteroatom, or 2 H atoms; n is 4, 5, or 6; Y is a substituted or unsubstituted alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, or NR<sub>1</sub>R<sub>2</sub>; wherein R<sub>1</sub> and R<sub>2</sub> are each independently, H, a heteroatom, substituted or unsubstituted alkyl, cycloalkyl, heterocycloalkyl, aryl, or heteroaryl; and wherein each ring structure is independently substituted or unsubstituted]. Also disclosed are chemosensitizing agents and methods of modulating, attenuating, reversing, or affecting a cell's or organism's resistance to a given drug such as an antimalarial. In particular, a group of compds. I were prepd. and shown to have improved anti-MDR (multidrug resistance) efficacy and reduced side effects (no data) in restoration of the clin. efficacy of antimalarials including mefloquine and chloroquine. Four of the compds. also showed moderate intrinsic antimalarial activity in the absence of chloroquine or mefloquine. Structure-activity relationships, e.g., regarding alkyl chain length, ring rigidity, and amino terminal size, are discussed. For instance, 4-chloro-1-butanol was converted to the THP ether (99%) and then used to N-alkylate phenothiazine (46%), followed by deprotection (100%), conversion of the resultant alc. to a chloride with SOCl<sub>2</sub> (62%), and amination of the chloride (34%) to give the pyrrolidine deriv. II. At 50 ng/mL in vitro, II completely restored the sensitivity of TM91C235 cells [a highly drug-resistant malaria isolate from Thailand] to chloroquine, giving 99% cell growth suppression/inhibition. When tested on a different clone of Plasmodium falciparum, II gave superior MDR-reversing activity, with a fractional inhibitory concn. (FIC) of 0.21, using a 1:1 combination of chloroquine and II.

IT **246041-26-9P**, 5-[4-(Morpholin-4-yl)butyl]iminodibenzyl  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; prepn. of phenothiazines, iminodibenzyls, iminostilbenes, and diphenylamines as antimalarial sensitizing agents for treatment of multidrug-resistant malaria with chloroquine and mefloquine)

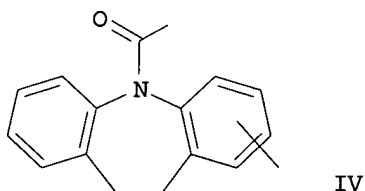
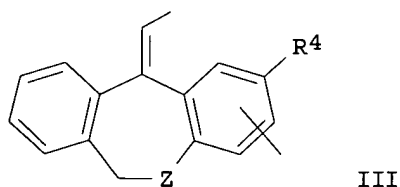
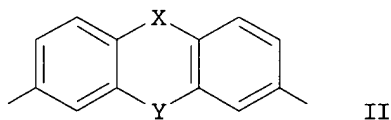
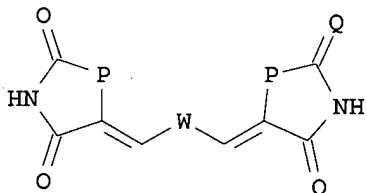
RN 246041-26-9 CAPLUS  
 CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[4-(4-morpholinyl)butyl]- (9CI)  
 (CA INDEX NAME)



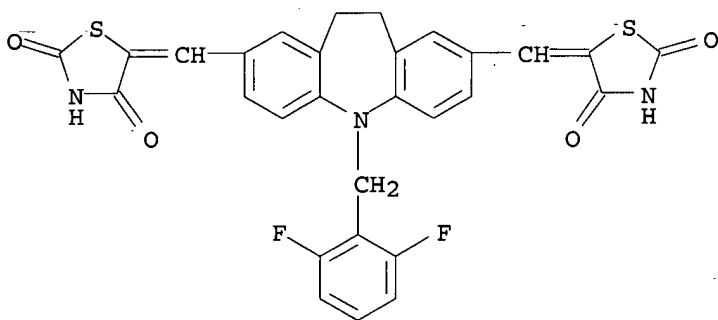
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:847768 CAPLUS  
 DOCUMENT NUMBER: 137:346151  
 TITLE: Bis(hetero-5-membered ring) compounds as telomerase inhibitors and their uses as antitumor agents  
 INVENTOR(S): Sasho, Setsuya; Komatsu, Kazunori; Kobayashi, Yumiko; Yamashita, Nobunori; Asai, Akiyoshi  
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002322161	A2	20021108	JP 2001-127229	20010425
PRIORITY APPLN. INFO.:			JP 2001-127229	20010425
OTHER SOURCE(S):			MARPAT 137:346151	
GI				



- AB The compds. I [W = II [X = NR1, CR2R3; R1 = H, (un)substituted lower alkenyl, (un)substituted aralkyl, (un)substituted heteroarylalkyl; R2, R3 = H, OH, (un)substituted lower alkyl, (un)substituted lower alkoxy, (un)substituted aralkyloxy; if X = NR1, then Y = CH2O, CH2CH2, CH:CH, direct bond; if X = CR2R3, then Y = CH2CH2], III (R4 = H, lower alkyl; Z = O, S), IV; Q = O, S, NH; if W = II or III or W = IV and Q = NH, then P = O, S, or NH; if W = IV and Q = S or O, then P = S or NH] or theor pharmacol. acceptable salts inhibit telomerase and are useful as antitumor agents. IC50 of I (W = II, P = S, Q = O, Y = CH2CH2, X = NCH2C6H3F2-2,6) (prepn. given) was 0.43 .mu.mol/L.
- IT **474641-43-5P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of antitumor bis(hetero-5-membered ring) compds. as telomerase inhibitors)
- RN 474641-43-5 CAPLUS
- CN 2,4-Thiazolidinedione, 5,5'-[[5-[(2,6-difluorophenyl)methyl]-10,11-dihydro-5H-dibenz[b,f]azepine-2,8-diyl]dimethylidene]bis- (9CI) (CA INDEX NAME)



L7 ANSWER 14 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:830843 CAPLUS

DOCUMENT NUMBER: 138:89698

TITLE: Stannous Chloride-Mediated Reductive Cyclization-Rearrangement of Nitroarenyl Ketones

AUTHOR(S): Bates, Dallas K.; Li, Kexue

CORPORATE SOURCE: Department of Chemistry, Michigan Technological University, Houghton, MI, 49931, USA

SOURCE: Journal of Organic Chemistry (2002), 67(24), 8662-8665  
 CODEN: JOCEAH; ISSN: 0022-3263

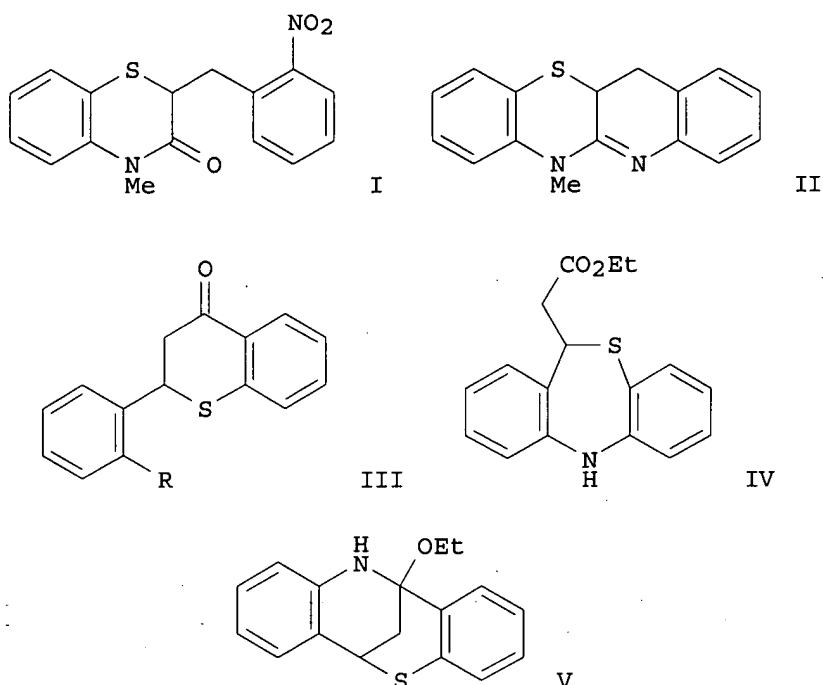
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:89698

GI



AB Cyclization products are produced in excellent yields by using std. reaction conditions for nitroarene redn. to aminoarene with  $\text{SnCl}_2$ . Thus, 4-methyl-2-(2-nitrobenzyl)-2H-1,4-benzothiazin-3(4H)-one (I), upon treatment with  $\text{SnCl}_2$  in ethanol, did not produce the expected aniline deriv. Instead, 6-methyl-11a,12-dihydro-6H-quino[3,2-b][1,4]benzothiazine (II) was produced in excellent yield, presumably via novel  $\text{Sn(IV)}$ -mediated amidine formation from the initial aniline redn. product. Under identical reaction conditions, 2-(2-nitrophenyl)-thiochroman-4-one (III, R =  $\text{NO}_2$ ) produces Et 5,11-dihydrodibenzo[b,e][1,4]thiazepin-11-ylacetate (IV). A novel semipinacol rearrangement is proposed to account for this extensive skeletal rearrangement. Aniline deriv. III (R =  $\text{NH}_2$ ), from III (R =  $\text{NO}_2$ ) treated with  $\text{FeSO}_4 \cdot 7\text{H}_2\text{O}$ , forms 12-ethoxy-11,12-dihydro-6H-6,12-methanodibenzo[b,f][1,5]thiazocine (V) upon treatment with  $\text{SnCl}_2$  in ethanol. Thiophene analogs of III (R =  $\text{NO}_2$ ,  $\text{NH}_2$ ) react similarly, forming the analogous thiazepine and cyclic N,O-acetal, resp.

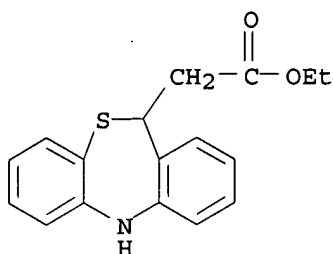
IT **483316-94-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzothiazepines, benzothiazines, thiazocines, and pyridothiazines via  $\text{SnCl}_2$ -mediated reductive cyclization-rearrangement of nitroarenyl ketones)

RN 483316-94-5 CAPLUS

CN Dibenzo[b,e][1,4]thiazepine-11-acetic acid, 5,11-dihydro-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:814143 CAPLUS

DOCUMENT NUMBER: 137:325444

TITLE: Preparation of cyclohexylbenzoyl-substituted pyrrolbenzodiazepines and related compounds as vasopressin agonists

INVENTOR(S): Failli, Amedeo Arturo; Shumsky, Jay Scott; Dusza, John Paul; Caggiano, Thomas Joseph; Memoli, Kevin Anthony

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

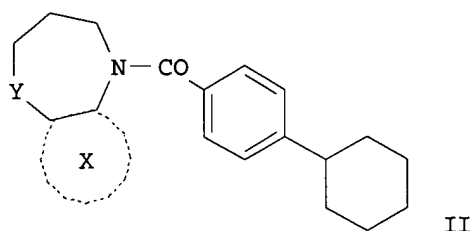
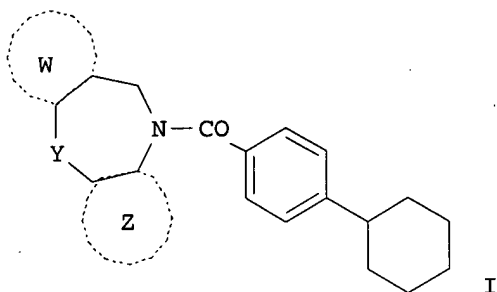
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083685	A1	20021024	WO 2002-US11538	20020411
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

US 2002198191 A1 20021226 US 2002-120917 20020411

PRIORITY APPLN. INFO.: US 2001-283387P P 20010412

OTHER SOURCE(S): MARPAT 137:325444

GI



AB The present invention provides cyclohexylbenzoyl-substituted pyrrolobenzodiazepines and related compds. (shown as I and II; e.g. 10-(4-cyclohexylbenzoyl)-10,11-dihydro-5H-pyrrolo[2,1-c][1,4]benzodiazepine) wherein Y is NR or  $-(CH_2)_n$ ; R is H or alkyl; Z represents optionally substituted Ph or a 6-membered arom. ring having one N atom; W represents a optionally substituted Ph or 5-membered arom. ring having one N atom; X represents an optionally substituted 5-membered arom. ring having one S atom; as well as methods and pharmaceutical compns. using these compds. for inducing temporary delay of urination or treatment of disorders remedied by vasopressin agonist activity, including diabetes insipidus, nocturnal enuresis, nocturia, urinary incontinence, or bleeding and coagulation disorders. Vasopressin V2 agonist effects of 4 I in normal conscious water-loaded rats are reported. Although the methods of prepn. are not claimed, 8 example prepn. are included.

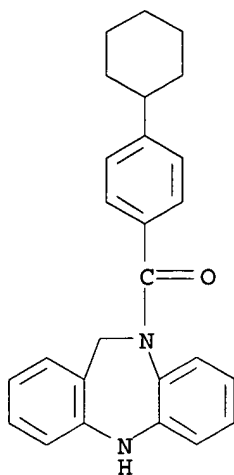
IT 473545-99-2P, (4-Cyclohexylphenyl) [5,11-dihydro-10H-dibenzo[b,e][1,4]diazepin-10-yl]methanone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of cyclohexylbenzoyl-substituted pyrrolobenzodiazepines and related compds. as vasopressin agonists)

RN 473545-99-2 CAPLUS

CN 5H-Dibenzo[b,e][1,4]diazepine, 10-(4-cyclohexylbenzoyl)-10,11-dihydro-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:813939 CAPLUS

DOCUMENT NUMBER: 137:325437

TITLE: Preparation of N-biphenylcarbonyl and N-phenylpyridylcarbonyl substituted bi- and tricyclic azepines and diazepines as vasopressin agonists

INVENTOR(S): Failli, Amedeo Arturo; Dusza, John Paul; Caggiano, Thomas Joseph; Shumsky, Jay Scott; Memoli, Kevin Anthony; Trybulski, Eugene John

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083145	A1	20021024	WO 2002-US11284	20020411
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003018024	A1	20030123	US 2002-121156	20020411

PRIORITY APPLN. INFO.: US 2001-283263P P 20010412

OTHER SOURCE(S): MARPAT 137:325437

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I or II; Y = NR, (CH<sub>2</sub>)<sub>n</sub> (wherein R = H, alkyl; n = 1);

ring Z = (un)substituted Ph, 6-membered arom. heterocyclcyl having one N atom; ring W = (un)substituted Ph, 5-membered arom. (unsatd.) heterocyclcyl having one N atom, 6-membered arom. (unsatd.) heterocyclcyl having one N atom; ring X = (un)substituted 5-membered arom. (unsatd.) heterocyclcyl having one S atom; R1 = III or IV (R2, R7-R9 = H, alkyl, OMe, halo, CF3, SMe, OCF3, SCF3, CN)], useful for treating disorders which are remedied or alleviated by vasopressin receptor agonist activity, including, but not limited to, diabetes insipidus, nocturnal enuresis, nocturia, urinary incontinence, or bleeding and coagulation disorders, were prepd. E.g., a 3-step synthesis of V, starting from Et 4-bromobenzoate and 2-methoxyboronic acid, which showed 67% decrease in urine vol. vs control at 10 mg/kg in Sprague-Dawley rats, was given.

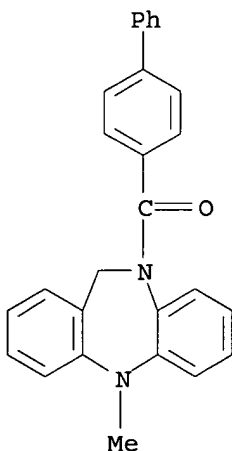
IT 473717-59-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-biphenylcarbonyl and N-phenylpyridylcarbonyl substituted bi- and tricyclic azepines and diazepines as vasopressin agonists)

RN 473717-59-8 CAPLUS

CN 5H-Dibenzo[b,e][1,4]diazepine, 10-([1,1'-biphenyl]-4-ylcarbonyl)-10,11-dihydro-5-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:810018 CAPLUS

DOCUMENT NUMBER: 138:73641

TITLE: Synthesis and characterization of luminescent copolymers containing iminodibenzyl and divinylbenzene chromophores

AUTHOR(S): Wu, Tzi-Yi; Chen, Yun

CORPORATE SOURCE: Department of Chemical Engineering, National Cheng Kung University, Tainan, 701, Taiwan

SOURCE: Journal of Polymer Science, Part A: Polymer Chemistry (2002), 40(21), 3847-3857  
CODEN: JPACEC; ISSN: 0887-624X

PUBLISHER: John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB New conjugated copolymers contg. alternating N-hexyl-3,8-iminodibenzyl and divinylbenzene chromophores {poly(N-hexyl-3,8-iminodibenzyl-1,2-ethenylene-2,5-dihexyloxy-1,4-phenylene-1,2-ethenylene) (P1) and poly[N-hexyl-3,8-iminodibenzyl-2,5-bis(hexyloxy)cyanoterephthalidene] (P2)} were

synthesized according to Wittig and Knoevenagel polymn. A copolymer contg. alternating carbazole and divinylbenzene derivs. {poly[9-(2-ethylhexyl)-3,6-carbazole-1,2-ethenylene-2,5-dihexyloxy-1,4-phenylene-1,2-ethenylene] (P3)} was also synthesized for comparison. The copolymers were sol. in common org. solvents such as THF and toluene. Absorption and photoluminescence measurements revealed that cyano substitution at the vinylene moiety in P2 brought about a significant bathochromic shift and led to an electroluminescence color change from green to orange. The band edge energies of the copolymers were estd. from cyclic voltammograms and optical band gaps. P1 and P3 showed similar HOMO (HOMO) and LUMO (LUMO) levels, indicating that the electron-donating abilities of the iminodibenzyl and carbazole chromophores were comparable. However, compared with those of P1 and P3, the HOMO and LUMO levels of P2 were greatly reduced because of conjugating and electron-withdrawing CN groups. The threshold elec. field of an Al/P1/ITO glass single-layer light-emitting diode was approx. 10 .times. 10<sup>5</sup> V/cm, whereas those for P2 and P3 were 7.5 and 16 .times. 10<sup>5</sup> V/cm, resp. The electroluminescence emission maxima of P1-P3 were 498, 514, and 559 nm, resp.

IT 482331-62-4P

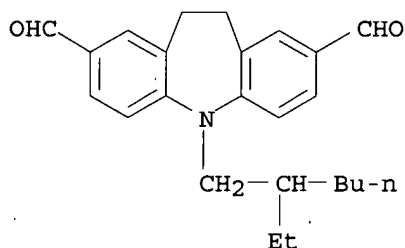
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(comparison compd.; synthesis and characterization of luminescent copolymers contg. iminodibenzyl and divinylbenzene chromophores)

RN 482331-62-4 CAPLUS

CN Phosphonium, [[2,5-bis(hexyloxy)-1,4-phenylene]bis(methylene)]bis(triphenyl-1-, dibromide, polymer with 5-(2-ethylhexyl)-10,11-dihydro-5H-dibenz[b,f]azepine-2,8-dicarboxaldehyde (9CI) (CA INDEX NAME)

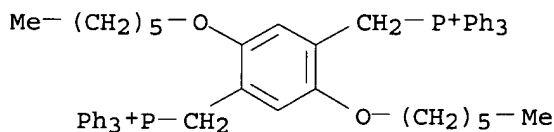
CM 1

CRN 482331-61-3  
CMF C24 H29 N O2



CM 2

CRN 165377-28-6  
CMF C56 H62 O2 P2 . 2 Br

● 2 Br<sup>-</sup>

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:672238 CAPLUS

DOCUMENT NUMBER: 137:208163

TITLE: Fluorene derivatives and long-life organic electroluminescent devices therewith

INVENTOR(S): Totani, Yoshiyuki; Shimamura, Takehiko; Tanabe, Yoshimitsu; Ishida, Tsutomu; Nakatsuka, Masakatsu

PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

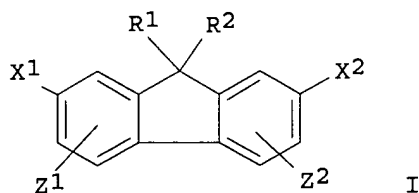
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002249484	A2	20020906	JP 2001-47638	20010223
PRIORITY APPLN. INFO.:			JP 2001-47638	20010223
OTHER SOURCE(S):		MARPAT 137:208163		

GI



AB Fluorene derivs. I [X1 = (10,11-dihydro-)N-dibenzo[b, f]azepinyl; X2 = (10,11-dihydro-)N-dibenzo[b, f]azepinyl, N-carbazolyl, N-phenothiazyl, N-phenoxazinyl, NAr1Ar2 (Ar1, Ar2 = aryl); R1, R2 = H, alkyl, aryl, aralkyl; Z1, Z2 = H, halo, alkyl(oxy), aryl] and org. electroluminescent devices including I in (emission layers or hole-transporting) layers between pair of electrodes, are claimed.

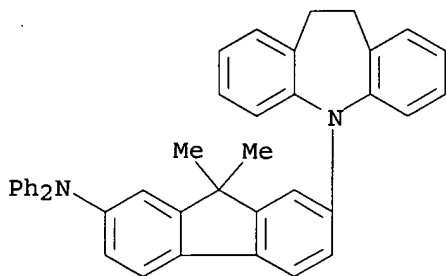
IT 453590-73-3P

RL: DEV (Device component use); IMF (Industrial manufacture); PREP (Preparation); USES (Uses)

(long-life org. electroluminescent devices contg. novel fluorene derivs.)

RN 453590-73-3 CAPLUS

CN 9H-Fluoren-2-amine, 7-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-9,9-dimethyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 19 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:637647 CAPLUS

DOCUMENT NUMBER: 137:174957

TITLE: Preparation of crystal forms of oxcarbazepine

INVENTOR(S): Aronhime, Judith; Dolitzky, Ben-zion; Berkovich, Yana; Garth, Nissim

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064557	A2	20020822	WO 2002-US4065	20020212
WO 2002064557	A3	20021024		
WO 2002064557	C2	20021128		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003004154	A1	20030102	US 2002-74181	20020212
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PRIORITY APPLN. INFO.:

US 2001-268314P P 20010212

AB The present invention provides for new crystal forms of oxcarbazepine, more particularly oxcarbazepine Forms B, C, D and E. The present invention further provides processes for the prepn. of these forms. Form B is prepd. by evapg. the solvents from a soln. of oxcarbazepine in toluene and dichloromethane. Form B is also obtained by immediately cooling the soln. of oxcarbazepine and toluene. Cooling the same soln. at a slower rate, but still fairly rapidly, results in oxcarbazepine Form C. Cooling th same soln. at even a slower rate results in another form, oxcarbazepine Form D. Oxcarbazepine Form E, a solvate of chloroform, is obtained by pptg. a soln. of oxcarbazepine and chloroform. The present invention also provides processes for converting one of the newly discovered crystal forms of oxcarbazepine into another crystal form, including Form A, which is in the prior art. These conversions may occur by storage at ambient temp., by heating one particular form or treatment with a protic solvent. Oxcarbazepine (0.15 g) was dissolved in dichloromethane (20 g) at room temp. After complete dissoln., the soln. was added to toluene (170 mL). After stirring for 5 min, the solvent was evapd. until dryness. The resulting material was analyzed by powder x-ray diffraction and found to be form B.

IT 448184-78-9P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of crystal forms of oxcarbazepine)

RN 448184-78-9 CAPLUS

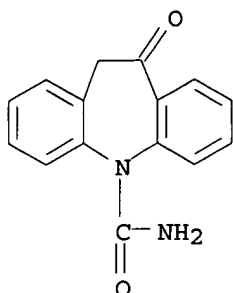
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo-, compd. with trichloromethane (9CI) (CA INDEX NAME)

CM 1

CRN 28721-07-5

10/ 076,573

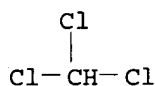
CMF C15 H12 N2 O2



CM 2

CRN 67-66-3

CMF C H Cl3



L7 ANSWER 20 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:600244 CAPLUS

DOCUMENT NUMBER: 137:301804

TITLE: Blue-Emitting Anthracenes with End-Capping  
Diarylamines

AUTHOR(S): Danel, Krzysztof; Huang, Tai-Hsiang; Lin, Jiann T.;  
Tao, Yu-Tai; Chuen, Chang-Hao

CORPORATE SOURCE: Institute of Chemistry, Academia Sinica, Taipei, WA,  
115, USA

SOURCE: Chemistry of Materials (2002), 14(9), 3860-3865  
CODEN: CMATEX; ISSN: 0897-4756

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 2-Tert-butyl-9,10-bis(bromoaryl)anthracenes were synthesized from 2-tert-butyl-9,10-anthraquinone. Pd-catalyzed C-N bond formation between these bromo compds. and diarylamines provides stable 2-tert-butyl-9,10-diarylanthracenes contg. two peripheral diarylamines (anth). They possess high thermal decompn. temp. (Td > 450.degree.) and form a stable glass (Tg > 130.degree.). also, they are fluorescent in the blue region with moderate to good quantum efficiencies. Two types of light-emitting diodes (LED) were constructed from anth, (I) ITO/anth/TPBI/Mg:Ag and (II) ITO/anth/Alq3/Mg:Ag, where TPBI and Alq3 are 1,3,5-tris(N-phenylbenzimidazol-2-yl)benzene and tris(8-hydroxyquinolinato)aluminum, resp. In type I devices, the anth function as the hole-transporting and emitting material. In type II devices, emission from Alq3 is obsd. Several blue-light-emitting type I devices exhibit good max. brightness and phys. performance. The relation between the energy levels of the anth and the performance of the light-emitting diode is discussed.

IT 468751-04-4P

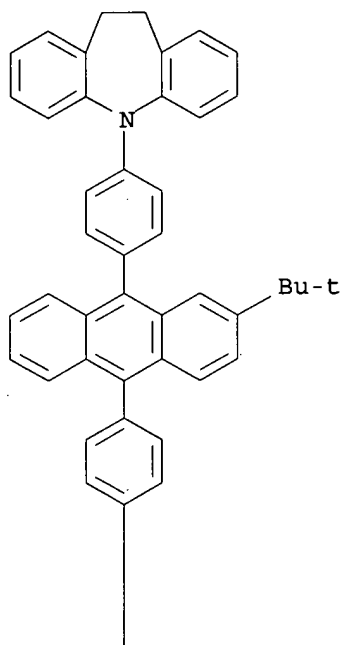
RL: DEV (Device component use); PNU (Preparation, unclassified); PRP (Properties); PREP (Preparation); USES (Uses)

(blue-emitting anthracenes with end-capping diarylamines and their properties and applications)

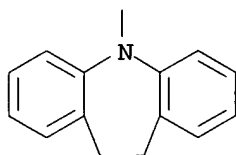
10/ 076,573

RN 468751-04-4 CAPLUS  
CN 5H-Dibenz[b,f]azepine, 5,5'-[[2-(1,1-dimethylethyl)-9,10-anthracenediyl]di-  
4,1-phenylene]bis[10,11-dihydro- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2002:594664 CAPLUS  
DOCUMENT NUMBER: 137:150217  
TITLE: Aromatic heterocyclic compounds for regulation of cell proliferation and differentiation  
INVENTOR(S): Leder, Philip; Fantin, Valeria R.  
PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA  
SOURCE: PCT Int. Appl., 102 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002060426 A2 20020808 WO 2002-US307 20020103  
 WO 2002060426 A3 20021205

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,  
 TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

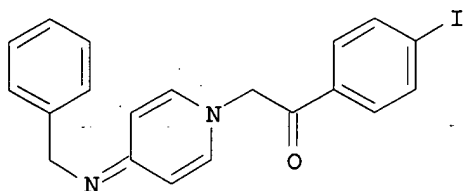
US 2001-259444P P 20010103

US 2001-297739P P 20010612

OTHER SOURCE(S):

MARPAT 137:150217

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AB The invention provides compds. and methods for normalizing the proliferation and/or modulating differentiation and/or inducing the cell death of cells. In a preferred embodiment, the invention provides methods for inhibiting proliferation of hyperproliferative cells, comprising contacting the cells with a compn. comprising a growth inhibiting amt. of one or more arom. heterocyclic compds., e.g. I, derivs. and analogs thereof, and pharmaceutically acceptable salts thereof.

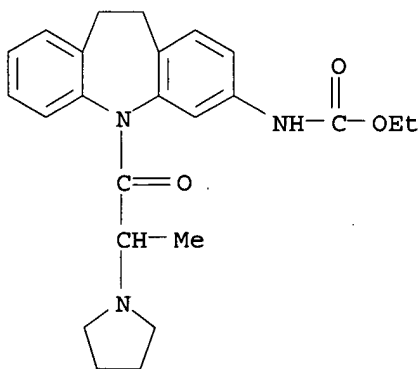
IT 368433-85-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

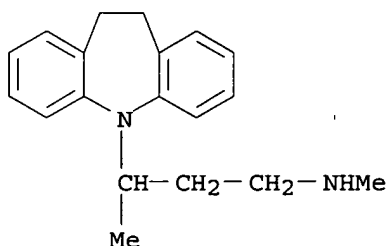
(arom. heterocyclic compds. for regulation of cell proliferation and differentiation)

RN 368433-85-6 CAPLUS

CN Carbamic acid, [10,11-dihydro-5-[1-oxo-2-(1-pyrrolidinyl)propyl]-5H-dibenz[b,f]azepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2002:572138 CAPLUS  
 DOCUMENT NUMBER: 137:272793  
 TITLE: A 3D QSAR Pharmacophore Model and Quantum Chemical Structure-Activity Analysis of Chloroquine (CQ) - Resistance Reversal  
 AUTHOR(S): Bhattacharjee, Apurba K.; Kyle, Dennis E.; Vennerstrom, Jonathan L.; Milhous, Wilbur K.  
 CORPORATE SOURCE: Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Silver Spring, MD, 20910-7500, USA  
 SOURCE: Journal of Chemical Information and Computer Sciences (2002), 42(5), 1212-1220  
 CODEN: JCISD8; ISSN: 0095-2338  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Using CATALYST, a three-dimensional QSAR pharmacophore model for chloroquine (CQ)-resistance reversal was developed from a training set of 17 compds. These included imipramine, desipramine, and 15 of their analogs, some of which fully reversed CQ-resistance, while others were without effect. The generated pharmacophore model indicates that two arom. hydrophobic interaction sites on the tricyclic ring and a hydrogen bond acceptor (lipid) site at the side chain, preferably on a nitrogen atom, are necessary for potent activity. Stereoelectronic properties calcd. by using AM1 semiempirical calcns. were consistent with the model, particularly the electrostatic potential profiles characterized by a localized neg. potential region by the side chain nitrogen atom and a large region covering the arom. ring. The calcd. data further revealed that aminoalkyl substitution at the N5-position of the heterocycle and a secondary or tertiary aliph. aminoalkyl nitrogen atom with a two or three carbon bridge to the heteroarom. nitrogen (N5) are required for potent "resistance reversal activity". Lowest energy conformers for the 17 compds. were detd. and optimized to afford stereoelectronic properties such as MO energies, electrostatic potentials, at. charges, proton affinities, octanol-water partition coeffs. (log P), and structural parameters. For the 17 compds., fairly good correlation exists between resistance reversal activity and intrinsic basicity of the nitrogen atom at the tricyclic ring system, frontier orbital energies, and lipophilicity. Significantly, nine out of 11 of a group of structurally diverse CQ-resistance reversal agents mapped very well on the 3D QSAR pharmacophore model.  
 IT 369391-51-5  
 RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (3D QSAR pharmacophore model and quantum chem. structure-activity anal. of chloroquine-resistance reversal)  
 RN 369391-51-5 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,.gamma.-dimethyl-(9CI) (CA INDEX NAME)

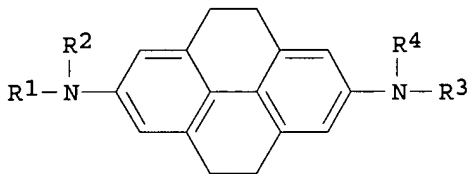


RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 23 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:538511 CAPLUS  
 DOCUMENT NUMBER: 137:101222  
 TITLE: Hole transport compound and organic thin film  
 luminescent component  
 INVENTOR(S): Ito, Yuichi  
 PATENT ASSIGNEE(S): Toppan Printing Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002203685	A2	20020719	JP 2000-399866	20001228
PRIORITY APPLN. INFO.:			JP 2000-399866	20001228
OTHER SOURCE(S):		MARPAT 137:101222		

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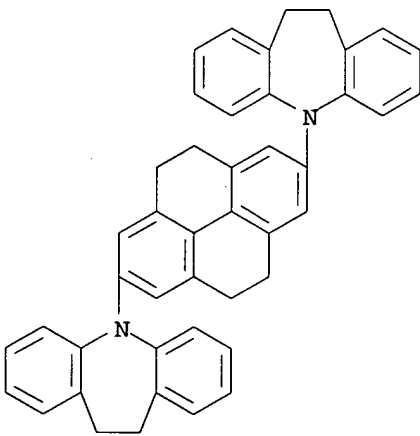
AB The invention refers to a tetrahydropyrene hole transport compd. I [R1-2 = Ph, tolyl, naphthyl, biphenyl, 9,9-dimethylfluorene-2-yl, or 4,5,9,10-tetrahydropyrene; and R1,2 and/or R3,4 may be connected and contain at least one carbazoyl or iminobenzyl, and the unconnected Rn = Ph, tolyl, naphthyl, biphenyl, 9,9-dimethylfluorene-2-yl, or 4,5,9,10-tetrahydropyrene] with heat resistance properties.

IT 442544-01-6

RL: DEV (Device component use); USES (Uses)  
 (hole transport compd. and org. thin film luminescent component)

RN 442544-01-6 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5,5'-(4,5,9,10-tetrahydro-2,7-pyrenediyl)bis[10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 24 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:484227 CAPLUS

DOCUMENT NUMBER: 137:322002

TITLE: Isolation and identification of the photodegradation products of the photosensitizing antidepressant drug clomipramine. Phototoxicity studies on erythrocytes

AUTHOR(S): Canudas, N.; Contreras, C.

CORPORATE SOURCE: Laboratorio de Fotoquímica y Fotobiología, Departamento de Química, Universidad Simón Bolívar, Caracas, Venez.

SOURCE: Pharmazie (2002), 57(6), 405-408

CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER: Govi-Verlag Pharmazeutischer Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The isolation and identification of the photodegradn. products of clomipramine (CIP) in phosphate buffered saline (PBS pH 7.4 and 6.0) soln. and methanol under aerobic conditions were studied. Six compds. were identified and four of them were isolated and characterized by spectroscopic methods. A radical mechanism with the participation of the solvent is proposed for the photodegradn. of CIP which undergoes homolytic cleavage of the carbon-chlorine bond and also photooxidn. of the amine group. CIP was able to induce photohemolysis when it was irradiated in PBS pH 7.4 and in PBS pH 6.0 contg. a suspension of human red blood cells (RBCs). The photohemolysis expts. in the presence of additives DABCO and GSH showed nearly total inhibition of drug-induced photohemolysis. The efficient inhibition of photohemolysis by the radical scavenger GSH compared with the inhibition show by DABCO suggests a moderate effect by singlet oxygen. Clomipramine-N-oxide was the unique photoproduct able to induce hemolysis and photohemolysis when it was incubated and irradiated with RBCs for 1 h. A mechanism involving singlet oxygen, radicals and photoproducts is suggested for the reported phototoxicity.

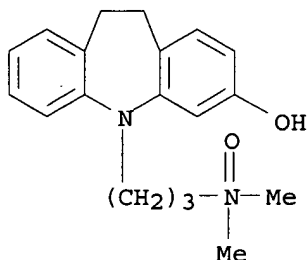
IT 473439-10-0P

RL: FMU (Formation, unclassified); PRP (Properties); PUR (Purification or recovery); FORM (Formation, nonpreparative); PREP (Preparation)

(photoproduct; photosensitizer antidepressant clomipramine photodegradn. products isolation and identification: phototoxicity study on erythrocytes)

RN 473439-10-0 CAPLUS

CN 5H-Dibenz[b,f]azepin-3-ol, 5-[3-(dimethyloxidoamino)propyl]-10,11-dihydro-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:438505 CAPLUS

DOCUMENT NUMBER: 137:268557

TITLE: Novel coupling reagents for the sensitive

spectrophotometric determination of nimesulide in pharmaceutical preparations

AUTHOR(S): Nagaraja, P.; Yathirajan, H. S.; Arunkumar, H. R.; Vasantha, R. A.

CORPORATE SOURCE: Department of Studies in Chemistry, University of Mysore, Manasagangotri, Mysore, 570 006, India

SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2002), 29(1-2), 277-282  
CODEN: JPBADA; ISSN: 0731-7085

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

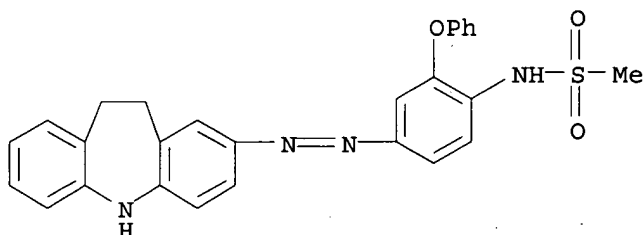
LANGUAGE: English

AB Novel coupling reagents are used for the sensitive spectrophotometric detn. of nimesulide (NIME) in either pure form or in its pharmaceutical preps. The methods are based on the diazotization of reduced NIME, followed by either coupling with alc. iminodibenzyl (IDB) in acid medium to give a deep blue colored product (.lambda.max of 600 nm) or coupling with 3-aminophenol (AP) in acid medium to produce an orange red colored product (.lambda.max of 470 nm). Both the methods are highly reproducible and have been applied to a wide variety of pharmaceutical preps. and the results compare favorably with the reported method. Common excipients used as additives in pharmaceutical preps. do not interfere in the proposed methods.

IT **461652-18-6**  
RL: ANT (Analyte); ANST (Analytical study)  
(novel coupling reagents for sensitive spectrophotometric detn. of nimesulide in pharmaceutical preps.)

RN 461652-18-6 CAPLUS

CN Methanesulfonamide, N-[4-[(10,11-dihydro-5H-dibenz[b,f]azepin-2-yl)azo]-2-phenoxyphenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:405677 CAPLUS

DOCUMENT NUMBER: 137:320228

TITLE: Effects of carbamazepine and novel 10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide derivatives on synaptic transmission in rat hippocampal slices

AUTHOR(S): Cunha, Rodrigo A.; Coelho, Joana E.; Costenla, Ana Rita; Lopes, Luisa V.; Parada, Antonio; De Mendonca, Alexandre; Sebastiao, Ana M.; Ribeiro, J. A.

CORPORATE SOURCE: Laboratory of Neurosciences, Faculty of Medicine, University of Lisbon, Lisbon, 1649-028, Port.

SOURCE: Pharmacology & Toxicology (Oxford, United Kingdom) (2002), 90(4), 208-213  
CODEN: PHTOEH; ISSN: 0901-9928

PUBLISHER: Blackwell Publishers Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effects of carbamazepine on synaptic transmission in rat hippocampal slices were compared with those of two novel analogs (BIA2-093 and BIA2-024) with equiv. anticonvulsant efficacy but with fewer side effects. Carbamazepine (10-1000 .mu.M) inhibited in a concn.-dependent manner the field excitatory postsynaptic potential (fPSP) response, with an EC50 of 263 .mu.M, and also attenuated the presynaptic volley with a similar EC50 value. Carbamazepine was more potent to inhibit the NMDA receptor component of the fPSP (fPSPNMDA), with an EC50 of 160 .mu.M. BIA2-093 and BIA2-024 were nearly equipotent with carbamazepine to inhibit synaptic transmission, and displayed similar potency to inhibit the fPSP (EC50 of 145 .mu.M and 205 .mu.M) and fPSPNMDA responses (EC50 of 198 .mu.M and 206 .mu.M). As with carbamazepine, BIA2-093 and BIA2-024 also attenuated the presynaptic volley with EC50 values ranging from 142 to 322 .mu.M. These results indicate that carbamazepine and its analogs mostly inhibit synaptic transmission through inhibition of conduction, although carbamazepine, but not BIA2-093 and BIA2-024, may also depress NMDA receptor-mediated responses.

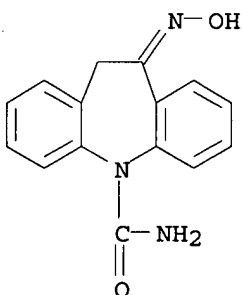
IT 199997-15-4, BIA2-024

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effects of carbamazepine and novel dihydrodibenzazepinecarboxamides on synaptic transmission in rat hippocampus)

RN 199997-15-4 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:372411 CAPLUS

DOCUMENT NUMBER: 137:109247

TITLE: Design, Synthesis, and Evaluation of New Chemosensitizers in Multi-Drug-Resistant Plasmodium falciparum

AUTHOR(S): Guan, Jian; Kyle, Dennis E.; Gerena, Lucia; Zhang, Quan; Milhous, Wilbur K.; Lin, Ai J.

CORPORATE SOURCE: Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Silver Spring, MD, 20910, USA

SOURCE: Journal of Medicinal Chemistry (2002), 45(13), 2741-2748

CODEN: JMCMAR; ISSN: 0022-2623

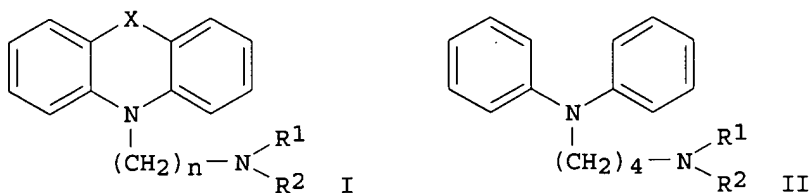
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:109247

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AB A series of new chemosensitizers (modulators) against chloroquine-resistant *Plasmodium falciparum* were designed and synthesized in an attempt to prep. modulators with enhancing drug-resistant reversing efficacy and minimal side effects. Phenothiazine, iminodibenzyl, and iminostilbene arom. amine ring systems I ( $X = S, CH_2CH_2, CH:CH$ ;  $n = 4-6$ ;  $R_1, R_2 = Me, Et, PhCH_2$ ;  $R_1R_2N =$  pyrrolinyl) and diphenylamines II ( $R_1 = R_2 = Et$ ,  $R_1R_2N =$  pyrrolinyl) were examd. Various tertiary amino groups including either noncyclic or cyclic aliph. amines were introduced to explore the steric tolerance at the end of the side chain. The new compds. showed better drug-resistant reversing activity in chloroquine-resistant than in mefloquine-resistant cell lines and were generally more effective against chloroquine-resistant *P. falciparum* isolates from Southeast Asian (W2 and TM91C235) than those from South America (PC49 and RCS). Structure-activity relationship studies revealed that elongation of the alkyl side chain of the mol. retained the chemosensitizing activity, and analogs with four-carbon side chains showed superior activity. Furthermore, new modulators with phenothiazine ring exhibited the best chemosensitizing activity among the four different ring systems examd. Terminal amino function has limited steric tolerance as evidenced by the dramatic lose of the modulating activity, when the size of substituent at the amino group increases. The fractional inhibitory concn. (FIC) index of the best new modulator I ( $X = S, n = 4, R_1R_2N =$  pyrrolinyl) is 0.21, which is superior to that of verapamil (0.51), one of the best-known multi-drug-resistant reversing agents. Some of the analogs displayed moderate intrinsic in vitro antimalarial activity against a W-2 clone of *P. falciparum*.

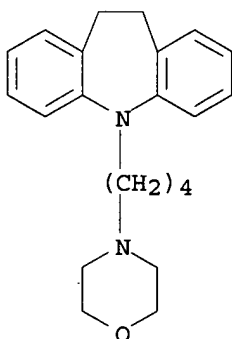
IT 246041-26-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of antimalarial drug chemosensitizing aminoalkyl phenothiazines, benzazepines, and diphenylamines)

RN 246041-26-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[4-(4-morpholinyl)butyl]- (9CI)  
(CA INDEX NAME)



RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 28 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:325900 CAPLUS

DOCUMENT NUMBER: 137:257231

TITLE: Synthesis, anticonvulsant properties and pharmacokinetic profile of novel 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide derivatives

AUTHOR(S): Learmonth, David A.; Benes, Jan; Parada, Antonio; Hainzl, Dominik; Beliaev, Alexander; Bonifacio, Maria Joao; Matias, Pedro M.; Carrondo, Maria A.; Garrett, Jose; Soares-Da-Silva, Patricio

CORPORATE SOURCE: Department of Research &amp; Development, Laboratory of Chemistry, BIAL, S. Mamede do Coronado, 4785, Port.

SOURCE: European Journal of Medicinal Chemistry (2001), 36(3), 227-236

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of novel derivs. of oxcarbazepine, 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide was synthesized and evaluated for their anticonvulsant activity and sodium channel blocking properties. One of the oxime was found to be the most active compd. from this series, displaying greater potency than its geometric isomer and exhibiting also the highest protective index value. Importantly, the metabolic profile of some compds. differs from the already established dibenz[b,f]azepine-5-carboxamide drugs which undergo rapid and complete conversion in vivo to several biol. active metabolites. One of the compd. is metabolized to only a very minor extent leading to the conclusion that the obsd. anti-convulsant effect is solely attributable to it. It is concluded that some the compds. may be very effective controlling seizures and that the low toxicity and consequently high protective index should provide the compds. with an improved side-effect profile.

IT 461670-31-5P

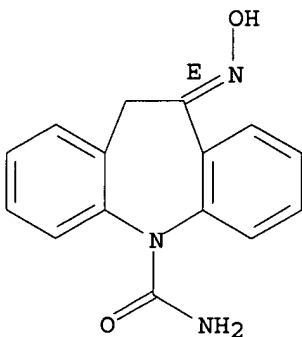
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(synthesis, anticonvulsant properties and pharmacokinetic profile of novel 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide derivs.)

RN 461670-31-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)-, (10E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.



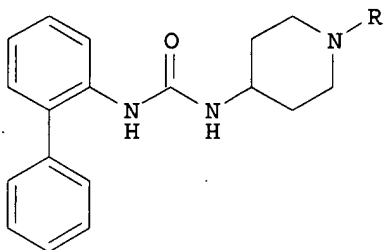
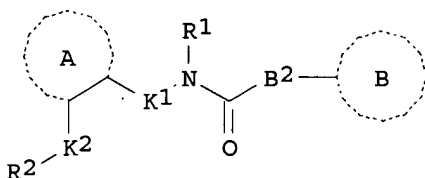
REFERENCE COUNT:

26

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 29 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:315471 CAPLUS  
 DOCUMENT NUMBER: 136:325431  
 TITLE: Preparation of 2-biphenyl 4-piperidinyl ureas having muscarinic receptor antagonist activity  
 INVENTOR(S): Mammen, Mathai; Oare, David  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U. S. Ser. No.456,170, abandoned.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002049195	A1	20020425	US 2000-732514	20001207
PRIORITY APPLN. INFO.:		US 1999-456170 B2 19991207		
OTHER SOURCE(S):		MARPAT 136:325431		
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AB The title compds. L1XL2 [L1 = I (wherein A = (hetero)aryl; B2 = NRA; Ra = H, alkyl, etc.; R1 = H, alkyl; R2 = heteroaryl, etc.; K1 = a bond, alkylene; K2 = a bond, CO, SO<sub>n</sub>, etc.; n = 0-2; B = heterocycloamino, heteroarylamino); X = a linker; L2 = an org. group comprising at least one primary, secondary, or tertiary amine] which are muscarinic receptor antagonists and agonists (biol. data given), were prepd. and formulated. E.g., a 2-step prepn. of the intermediate II [R = H] starting with biphenyl-2-isocyanate and 4-amino-N-benzylpiperidine, was given. Mass spec data for 643 compds. II [R = XL2] such as II [X = CH<sub>2</sub>CH(OH)CH<sub>2</sub>; L2 = 4-[2-(N-phenyl-N-methylamino)-2-oxoethyl]piperazin-1-yl], were presented.

IT 344432-44-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

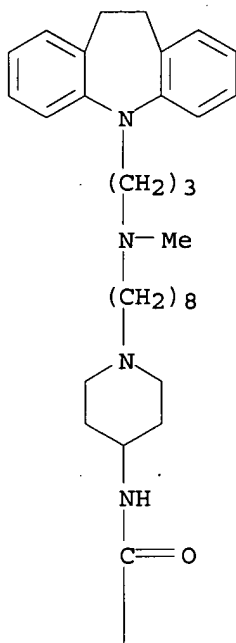
(prepn. of 2-biphenyl 4-piperidinyl ureas having muscarinic receptor

antagonist activity)

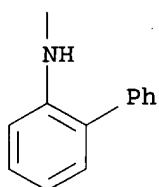
RN 344432-44-6 CAPLUS

CN Urea, N-[1,1'-biphenyl]-2-yl-N'-[1-[8-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]methylamino]octyl]-4-piperidinyl] - (9CI)  
(CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L7 ANSWER 30 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:271072 CAPLUS  
 DOCUMENT NUMBER: 136:289038  
 TITLE: Tricyclic antidepressant derivatives and immunoassay  
 INVENTOR(S): Ghoshal, Mitali; Tsai, Jane S. C.; Vitone, Stephen  
 PATENT ASSIGNEE(S): Roche Diagnostics Corporation, USA  
 SOURCE: U.S., 27 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10/ 076,573

US 6368814 B1 20020409 US 2000-747809 20001222  
EP 1216994 A2 20020626 EP 2001-130018 20011218  
EP 1216994 A3 20030326

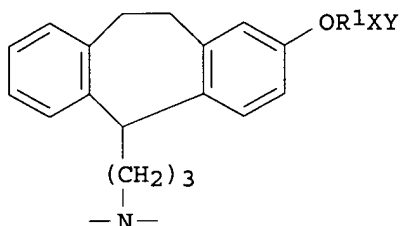
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2002302471 A2 20021018 JP 2001-386768 20011219

PRIORITY APPLN. INFO.: US 2000-747809 A 20001222

OTHER SOURCE(S): MARPAT 136:289038

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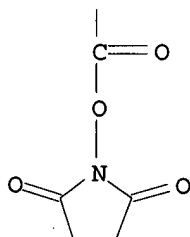
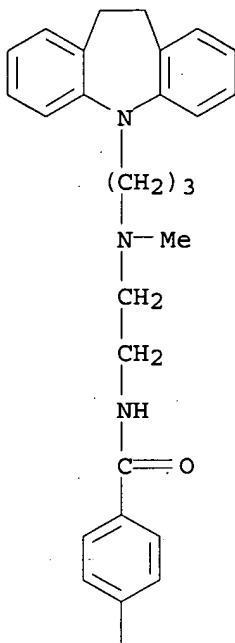
AB The invention is directed to novel tricyclic antidepressant drug derivs. synthesized for covalent attachment to proteins or polypeptide antigens for use in the prepn. of antibodies or receptors to tricyclic antidepressant drugs and tricyclic antidepressant metabolites. The new derivs. are characterized by a satd. double bond on the amitriptyline portion of the mol. and are represented by the structure (I) where R1 is a satd. or unsatd., substituted or unsubstituted, straight or branched chain of 0-10 carbon or heteroatoms, X is a linker group consisting of 0-2 substituted or unsubstituted arom. rings, and Y is an activated ester or NH-Z, where Z is a poly(amino acid). The novel tricyclic antidepressant activated hapten derivs. are useful for prepg. tracers and conjugates for tricyclic antidepressant immunoassays, including an enzyme immunoassay and a microparticle capture inhibition assay using an antibody produced from the novel immunogen with a conjugate derivatized either at the N-1 position of imipramine or at the C-2 position of dihydroamitriptyline.

IT 408502-81-8P

RL: ANT (Analyte); BSU (Biological study, unclassified); RCT (Reactant);  
SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological  
study); PREP (Preparation); RACT (Reactant or reagent)  
(tricyclic antidepressant derivs. and immunoassay)

RN 408502-81-8 CAPLUS

CN Benzamide, N-[2-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]methylamino]ethyl]-4-[[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 31 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:257421 CAPLUS

DOCUMENT NUMBER: 137:149748

TITLE: Metabolism of 10,11-dihydro-10-hydroxyimino-5H-dibenzo[b, f]azepine-5-carboxamide, a potent anti-epileptic drug

AUTHOR(S): Hainzl, D.; Loureiro, A. I.; Parada, A.; Soares-da-Silva, P.

CORPORATE SOURCE: Department of Research & Development, Laboratorios Bial, Mamede do Coronado, 4745-457, Port.

SOURCE: Xenobiotica (2002), 32(2), 131-140

CODEN: XENOBH; ISSN: 0049-8254

PUBLISHER: Taylor & Francis Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 10,11-Dihydro-10-hydroxyimino-5H-dibenzo[b, f]azepine-5-carboxamide (BIA 2-024) is a new anti-epileptic drug similar to oxcarbazepine (OXC) in

structure and efficacy, but with a preferred pharmacodynamic profile. It possesses high in vitro activity, but since oximes are usually metabolized to their corresponding ketones, it is important to know whether its in vivo potency is a result of acting as a prodrug of OXC or if it is acting on its own. The drug was given orally to rats, mice and rabbits, the metabolites identified and pharmacokinetic profiles compared between those species. Furthermore, the pharmacokinetic profile of the main metabolite was established in the rat. The results were compared to in vitro metab. studies with liver microsomes from different mammalian species and humans. In an atypical reaction for oximes, BIA 2-024 in rats was rapidly ( $t_{max} = 2$  h) metabolized to the non-active 10-nitro-deriv. (BIA 2-254), whereas rabbits and particularly mice oxidized the oxime moiety to a much lower extent. BIA 2-254 was then transformed to OXC and subsequently to the 10-hydroxy deriv. and other minor metabolites. In vitro data showed a very similar cross-species behavior as the in vivo results; human liver microsomes catalyzed the oxidn. of BIA 2-024 to the nitro metabolite only at a low rate, and the same was obsd. for the subsequent metab. to OXC. The results allow prediction of the in vivo metab. of BIA 2-024 in humans, where this drug is most likely absorbed efficiently and excreted mainly as the parent compd. with a relatively low hepatic clearance. With the exception of rat, BIA 2-024 does not act as a prodrug of OXC.

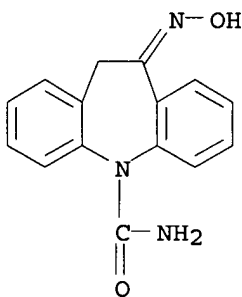
IT 199997-15-4, BIA 2-024

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(metab. of 10,11-dihydro-10-hydroxyimino-5H-dibenz[b,f]azepine-5-carboxamide, a potent anti-epileptic drug)

RN 199997-15-4 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:239958 CAPLUS

DOCUMENT NUMBER: 137:87727

TITLE: Mechanisms of action of carbamazepine and its derivatives, oxcarbazepine, BIA 2-093, and BIA 2-024  
AUTHOR(S): Ambrosio, Antonio F.; Soares-Da-Silva, Patricio; Carvalho, Caetana M.; Carvalho, Arselio P.

CORPORATE SOURCE: Department of Cell Biology, Center for Neuroscience of Coimbra, Department of Zoology, University of Coimbra, Coimbra, 3004-517, Port.

SOURCE: Neurochemical Research (2002), 27(1/2), 121-130

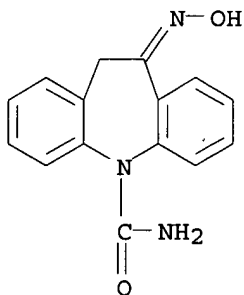
CODEN: NEREDZ; ISSN: 0364-3190

PUBLISHER: Kluwer Academic/Plenum Publishers

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

- AB A review. Carbamazepine (CBZ) has been extensively used in the treatment of epilepsy, as well as in the treatment of neuropathic pain and affective disorders. However, the mechanisms of action of this drug are not completely elucidated and are still a matter of debate. Since CBZ is not very effective in some epileptic patients and may cause several adverse effects, several antiepileptic drugs have been developed by structural variation of CBZ, such as oxcarbazepine (OXC), which is used in the treatment of epilepsy since 1990. (S)-(-)-10-acetoxy-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-093) and 10,11-dihydro-10-hydroxyimino-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-024), which were recently developed by BIAL, are new putative antiepileptic drugs, with some improved properties. In this review, we will focus on the mechanisms of action of CBZ and its derivs., OXC, BIA 2-093 and BIA 2-024. The available data indicate that the anticonvulsant efficacy of these AEDs is mainly due to the inhibition of sodium channel activity.
- IT 199997-15-4, BIA 2-024  
 RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (mechanisms of action of carbamazepine and derivs., oxcarbazepine, BIA 2-093, and BIA 2-024)
- RN 199997-15-4 CAPLUS
- CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)-(9CI) (CA INDEX NAME)



- REFERENCE COUNT: 108 THERE ARE 108 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L7 ANSWER 33 OF 200 CAPLUS COPYRIGHT 2003 ACS
- ACCESSION NUMBER: 2002:168642 CAPLUS
- DOCUMENT NUMBER: 137:190832
- TITLE: Iminodibenzyl as a novel coupling agent for the spectrophotometric determination of sulfonamide derivatives
- AUTHOR(S): Nagaraja, Padmarjaiah; Sunitha, Kallanchira R.; Vasantha, Ramanathapura A.; Yathirajan, Hemmige S.
- CORPORATE SOURCE: Department of Studies in Chemistry, University of Mysore, Mysore, India
- SOURCE: European Journal of Pharmaceutics and Biopharmaceutics (2002), 53(2), 187-192  
 CODEN: EJPBEL; ISSN: 0939-6411
- PUBLISHER: Elsevier Science Ireland Ltd.
- DOCUMENT TYPE: Journal
- LANGUAGE: English
- AB A rapid, selective and simple spectrophotometric method for the detn. of sulfa-drugs was described. The method is based on the formation of violet colored azo product by the diazotization of sulfonamides, viz. sulfathiazole (SFT), sulfadiazine (SFD), sulfacetamide (SFA),

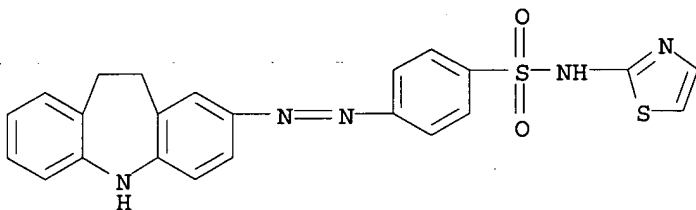
sulfamethoxazole (SFMx), sulfamerazine (SFMr), sulfaguanidine (SFG) and sulfadimidine (SFdd) followed by a coupling reaction with iminodibenzyl in alc. medium. Absorbance of the resulting violet azo product is measured at 570-580 nm and is stable for 24 h at 27.degree.C. Beer's law was obeyed in the concn. range of 0.05-6.0 .mu.g ml<sup>-1</sup> at the wavelength of max. absorption. The method was successfully employed for the detn. of sulfonamides in various pharmaceutical preps. and common excipients used as additives in pharmaceuticals do not interfere in the proposed method. The method offers the advantages of simplicity, rapidity and sensitivity without the need for extn. or heating. A reaction mechanism is proposed for the formation of the violet azo product.

IT 449772-18-3

RL: ANT (Analyte); ANST (Analytical study)  
(detn. of sulfonamide derivs. by spectrophotometry using iminodibenzyl as coupling agent)

RN 449772-18-3 CAPLUS

CN Benzenesulfonamide, 4-[(10,11-dihydro-5H-dibenz[b,f]azepin-2-yl)azo]-N-2-thiazolyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 34 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:149897 CAPLUS

DOCUMENT NUMBER: 137:341961

TITLE: Novel reagents for the sensitive spectrophotometric determination of flutamide, an anticancer drug in pharmaceutical preparations

AUTHOR(S): Nagaraja, Padmarajaiah; Arun Kumar, Hassan R.; Vasantha, Ramanathapura A.; Yathirajan, Hemmige S.

CORPORATE SOURCE: Department of Studies in Chemistry, University of Mysore, Manasagangotri, Mysore, 570 006, India

SOURCE: International Journal of Pharmaceutics (2002), 235(1-2), 113-120

CODEN: IJPHDE; ISSN: 0378-5173

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Simple and sensitive spectrophotometric methods for the detn. of flutamide (FLA) in either pure form or in its pharmaceutical preps. are described. The first method is based on the diazotization of reduced FLA, followed by coupling with alc. iminodibenzyl (IDB) in acid medium to give a purple colored product having a .lambda.max of 570 nm. In the second method, the diazotization of reduced FLA followed by coupling with 4-amino-5-hydroxy-2,7-naphthalenedisulfonic acid monosodium salt (AHND) in a buffer medium of pH 12, gives a red colored product having a .lambda.max of 520 nm. Common excipients used as additives in pharmaceutical preps. do not interfere in the proposed methods. Both the methods are highly reproducible and have been applied to a wide variety of pharmaceutical preps. and the results compare favorably with the reported method.

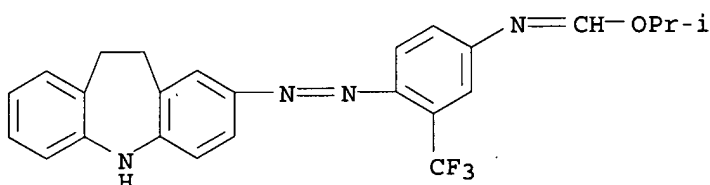
IT 474044-11-6P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(novel reagents for sensitive spectrophotometric detn. of flutamide, an anticancer drug in pharmaceutical prepsns.)

RN 474044-11-6 CAPLUS

CN Methanimidic acid, N-[4-[(10,11-dihydro-5H-dibenz[b,f]azepin-2-yl)azo]-3-(trifluoromethyl)phenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 35 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:136165 CAPLUS

DOCUMENT NUMBER: 137:6160

TITLE: Synthesis and antibacterial activity of new 9-aminoacridine, 10,11-dihydro-5H-dibenz[b,f]azepine, polyfluorinated 5,6-dihydro-1,3,5-oxadiazine derivatives

AUTHOR(S): Torgun, I. N.; Sydorenko, S. V.; Zykova, I. E.; Yudin, S. M.; Kryukova, L. Yu.; Krylov, I.; Kryukov, L. N.; Kuznetsov, S. L.; Vorontsov, E. A.; Rezvan, S. P.; Grudinina, S. A.

CORPORATE SOURCE: Center of Medical, Biological and Ecological Problems Russian Academy of Natural Sciences, National Research Centre of Antibiotics, Moscow, Russia

SOURCE: Antibiotiki i Khimioterapiya (2001), 46(10), 6-10

CODEN: ANKHEW; ISSN: 0235-2990

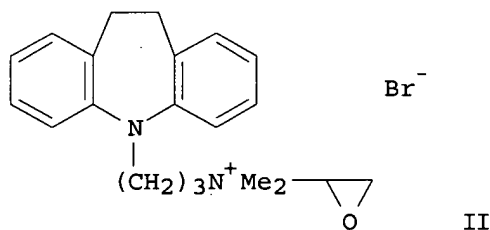
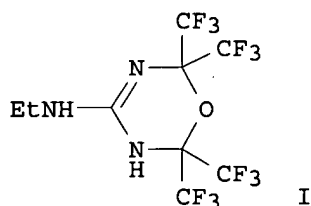
PUBLISHER: Izdatel'skii Dom "Krasnaya Ploshchad"

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 137:6160

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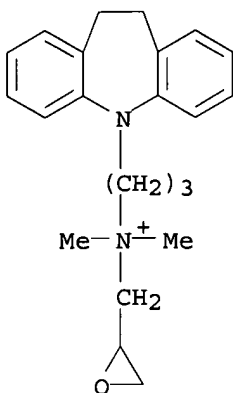
AB Title compds. such as I and II were prepd. and screened for antibacterial activity. The oxadiazines showed activity against gram-pos. microorganisms including methicillin-resistant staphylococci. Special attention was paid to the activity of iminodibenzyl derivs. against multiresistant gram-neg. microorganisms.

IT 431943-46-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and antibacterial activity of)

RN 431943-46-3 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-propanaminium, 10,11-dihydro-N,N-dimethyl-N-(oxiranylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br<sup>-</sup>

L7 ANSWER 36 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:124848 CAPLUS

DOCUMENT NUMBER: 137:179711

TITLE: Interaction of the novel anticonvulsant, BIA 2-093, with voltage-gated sodium channels: Comparison with carbamazepine

AUTHOR(S): Bonifacio, M. J.; Sheridan, R. D.; Parada, A.; Cunha, R. A.; Patmore, L.; Soares-da-Silva, P.

CORPORATE SOURCE: Department of Research and Development, BIAL, Mamede do Coronado, 4745-457, Port.

SOURCE: Epilepsia (2001), 42(5), 600-608  
CODEN: EPILAK; ISSN: 0013-9580

PUBLISHER: Blackwell Science, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB BIA 2-093 [(S)-(-)-10-acetoxy-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide] is endowed with an anticonvulsant potency similar to that of carbamazepine (CBZ), but produces less cognitive and motor impairment. This study evaluated whether voltage-gated sodium channels (VGSCs) are a primary locus for the action of BIA 2-093. We used the whole-cell voltage-clamp technique in the mouse neuroblastoma cell line NIE-115 to investigate the effects of BIA 2-093 and CBZ on VGSCs, displacement of [3H]-batrachotoxinin A 20- $\alpha$ -benzoate ([3H]-BTX), and [3H]-saxitoxin to define their relative potency to bind to rat brain sodium channels, and inhibition of uptake of <sup>22</sup>Na by rat brain cortical synaptosomes stimulated by veratridine as a measure of sodium entry. The inhibitory potencies of BIA 2-093 and CBZ increased as the holding potential was made less neg. (-100, -90, -80, and -70 mV) with median inhibitory concn. (IC<sub>50</sub>) values (in  $\mu$ M) of, resp., 4,337, 618, 238, and 139 for BIA 2-093, and 1,506, 594, 194, and 101 for CBZ. BIA 2-093 displayed a similar potency in displacing [3H]-BTX (IC<sub>50</sub> values, 222 vs. 361  $\mu$ M;  $p > 0.05$ ) and inhibiting the uptake of <sup>22</sup>Na (IC<sub>50</sub> values, 36 vs. 138  $\mu$ M;  $p > 0.05$ ). Both drugs failed to displace [3H]-saxitoxin in concns. up to 300  $\mu$ M. Thus, BIA 2-093, like CBZ, inhibits sodium currents in a voltage-dependent way by an interaction predominantly with the inactivated state of the channel and interacts with neurotoxin receptor site 2, but not with receptor site 1. BIA 2-093 displayed a potency blocking VGSCs similar to that of CBZ.

IT 236395-14-5, BIA 2-093

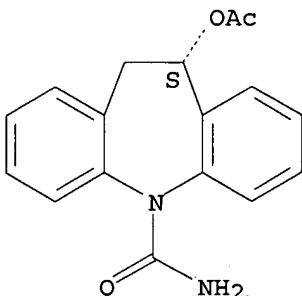
RL: BSU (Biological study, unclassified); DMA (Drug mechanism of action);  
 PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological  
 study); USES (Uses)

(interaction of the novel anticonvulsant, BIA 2-093, with voltage-gated  
 sodium channels and comparison with carbamazepine)

RN 236395-14-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro-, (10S)-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 37 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:89166 CAPLUS

DOCUMENT NUMBER: 137:103780

TITLE: The novel anticonvulsant BIA 2-093 inhibits  
 transmitter release during opening of voltage-gated  
 sodium channels: a comparison with carbamazepine and  
 oxcarbazepine

AUTHOR(S): Parada, Antonio; Soares-da-Silva, Patricio

CORPORATE SOURCE: Department of Research and Development, BIAL, S.  
 Mamede do Coronado, 4785, Port.

SOURCE: Neurochemistry International (2002), 40(5), 435-440  
 CODEN: NEUIDS; ISSN: 0197-0186

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB (S)-(-)-10-acetoxy-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-093) is endowed with high anticonvulsant activity and shares with carbamazepine (CBZ) and oxcarbazepine (OXC) the capability to inhibit voltage-gated sodium channels (VGSC). The present study was aimed to compare the effects of BIA 2-093, CBZ and OXC on the release of glutamate, aspartate, .gamma.-aminobutyric acid (GABA) and dopamine from striatal slices induced by the VGSC opener veratrine. The release of glutamate, aspartate, GABA and aspartate by veratrine from rat striatal slices was a concn. and time dependent process. All the three dibenzazepine carboxamide derivs., BIA 2-093, CBZ and OXC inhibited in a concn. dependent manner (from 30 to 300 .mu.M) the veratrine-induced release of glutamate, aspartate, GABA and dopamine. CBZ, OXC and BIA 2-093 were endowed with similar potencies in inhibiting veratrine-induced transmitter release. It is concluded that BIA 2-093, CBZ and OXC inhibit veratrine-induced transmitter release, which is in agreement with their capability to block VGSC. This property may be of importance for the anticonvulsant effects of BIA 2-093.

IT 236395-14-5, BIA 2-093

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

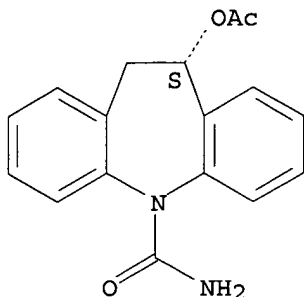
10/ 076,573

(anticonvulsant BIA 2-093 inhibits transmitter release during opening of voltage-gated sodium channels)

RN 236395-14-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro-, (10S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 38 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:72099 CAPLUS

DOCUMENT NUMBER: 136:118467

TITLE: Preparation of indoloquinazolinones as PARP inhibitors

INVENTOR(S): Zimmermann, Kaspar; Portmann, Robert; Rigel, Dean Franklin

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006284	A1	20020124	WO 2001-EP8192	20010716

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

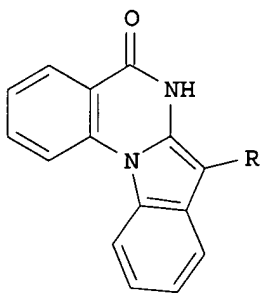
EP 1303517	A1	20030423	EP 2001-957972	20010716
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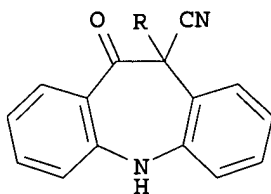
PRIORITY APPLN. INFO.: GB 2000-17508 A 20000717  
WO 2001-EP8192 W 20010716

OTHER SOURCE(S): MARPAT 136:118467

GI



I



II

AB The title compds. [I; R = (CH<sub>2</sub>)<sub>n</sub>X (wherein n = 1-3; X = alkyl, alkoxy, CO<sub>2</sub>H, etc.), CH<sub>2</sub>CONR<sub>1</sub>R<sub>2</sub> (R<sub>1</sub>, R<sub>2</sub> = H, OH, alkyl, etc.; or NR<sub>1</sub>R<sub>2</sub> = morpholino, alkylpiperazinyl, pyrrolidinyl, etc.)], useful as pharmaceuticals, for use in the treatment of any state assocd. with high levels of activated PARP, were prepd. by reacting II with NaOMe. Thus, treating 10-oxo-10,11-dihydro-dibenzo[b,f]azepine-5-carbonitrile with tBuOK in 1,2-dichloroethane followed by reacting the resulting 11-oxo-10,11-dihydro-5H-dibenzo[b,f]azepine-10-carbonitrile with EtI in K<sub>2</sub>CO<sub>3</sub>, and then after removal of solid, addn. of NaOMe afforded I [R = Et]. Biol. data for one of the title compds. I were given.

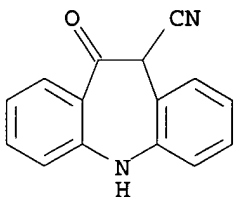
IT 391671-05-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of indoloquinazolinones as PARP inhibitors)

RN 391671-05-9 CAPLUS

CN 5H-Dibenz[b,f]azepine-10-carbonitrile, 10,11-dihydro-11-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 39 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:59016 CAPLUS

DOCUMENT NUMBER: 136:257030

TITLE: Novel Tricyclic-.alpha.-alkyloxyphenylpropionic Acids: Dual PPAR.alpha./gamma. Agonists with Hypolipidemic and Antidiabetic Activity

AUTHOR(S): Sauerberg, Per; Pettersson, Ingrid; Jeppesen, Lone; Bury, Paul S.; Mogensen, John P.; Wassermann, Karsten; Brand, Christian L.; Sturis, Jeppe; Woeldike, Helle F.; Fleckner, Jan; Andersen, Anne-Sofie T.; Mortensen, Steen B.; Svensson, L. Anders; Rasmussen, Hanne B.; Lehmann, Soren V.; Polivka, Zdenek; Sindelar, Karel; Panajotova, Vladimira; Ynddal, Lars; Wulff, Erik M.

CORPORATE SOURCE: Novo Nordisk Park, Novo Nordisk A/S, Malov, 2760, Den.

SOURCE: Journal of Medicinal Chemistry (2002), 45(4), 789-804

CODEN: JMCMAR; ISSN: 0022-2623

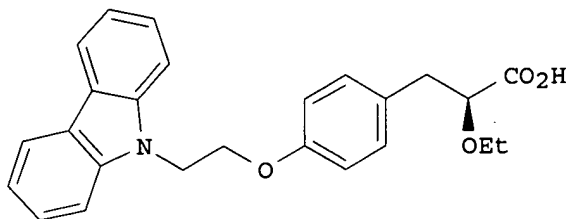
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

10/ 076,573

LANGUAGE:  
GI

English



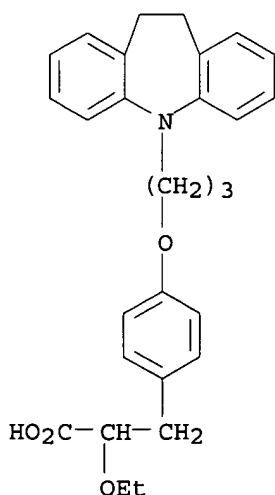
AB Tricyclic .alpha.-ethoxy phenylpropionic acid derivs. such as nonracemic carbazoleethoxypropionic acid I were prepd. and tested for their PPAR.alpha. and PPAR.gamma. agonist activities as potential antihyperlipidemic and antidiabetic agents. Mol. mechanics and X-ray crystallog. data of the complex of the PPAR.gamma. receptor with I were obtained. Db/db mice treated with I showed improved insulin sensitivity over treatment with either pioglitazone or rosiglitazone, suggesting in vivo PPAR.gamma. activity. Rats fed a high-cholesterol diet and treated with I also showed decreased plasma triglycerides and cholesterol after 4 days treatment, indicating in vivo PPAR.alpha. activity. Pharmacokinetics of selected compds. suggested that extended drug exposure improved the in vivo activity of in vitro active compds.

IT 265301-15-3P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and PPAR.alpha. and PPAR.gamma. agonist activity of tricyclic .alpha.-ethoxyphenylpropionic acids prepd. as potential antihyperlipidemic and antidiabetic agents)

RN 265301-15-3 CAPLUS

CN Benzenepropanoic acid, 4-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

63

THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 40 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:10247 CAPLUS

10/ 076,573

DOCUMENT NUMBER: 136:74317  
TITLE: Cosmetic compositions containing iminodibenzyl or fluorene derivatives  
INVENTOR(S): Bajor, John Steven; Pocalyko, David Joseph  
PATENT ASSIGNEE(S): Unilever Plc, UK; Unilever Nv; Hindustan Lever Ltd.  
SOURCE: PCT Int. Appl., 29 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000186	A2	20020103	WO 2001-EP6373	20010605
WO 2002000186	A3	20020613		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002028804	A1	20020307	US 2001-873159	20010601
US 6355687	B1	20020312		

PRIORITY APPLN. INFO.: US 2000-215648P P 20000630

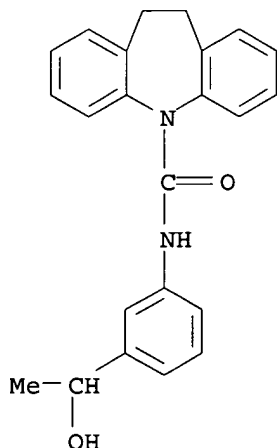
AB Cosmetic methods and compns. contg. selected iminodibenzyl or fluorene derivs. are described. When used for skin or hair care, the compns. provide control of sebum secretion from sebocytes, improved oil control and improved feel, and prevent shine and stickiness. Thus, a iminodibenzyl deriv. (1 .mu.M) and retinol (1 .mu.M) in a cosmetic compn. showed sebum suppression activity.

IT 384847-27-2

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (cosmetic compns. contg. iminodibenzyl or fluorene derivs.)

RN 384847-27-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-N-[3-(1-hydroxyethyl)phenyl]- (9CI) (CA INDEX NAME)



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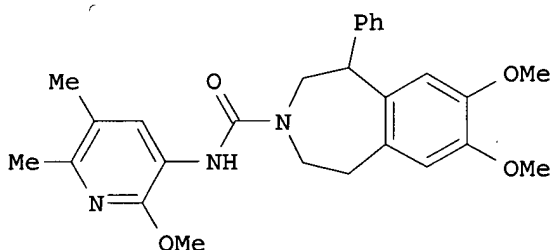
DOCUMENT NUMBER: 136:53769  
TITLE: Preparation of ureas as anti-cancer agents  
INVENTOR(S): Kim, Joong Young; Yoon, Byung Hoon; Hwang, Sun Kyung;  
Oh, Chul Min; Park, Mee Seon; Song, Kyoung Ok; Oh,  
Seong Soo  
PATENT ASSIGNEE(S): Chaconne NSI Co., Ltd., S. Korea  
SOURCE: PCT Int. Appl., 97 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE.
WO 2001095856	A2	20011220	WO 2001-KR1017	20010613
WO 2001095856	A3	20020627		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001064376	A5	20011224	AU 2001-64376	20010613
US 2002019389	A1	20020214	US 2001-880823	20010614

PRIORITY APPLN. INFO.:

KR 2000-32925	A	20000615
KR 2000-32927	A	20000615
KR 2000-32930	A	20000615
KR 2000-45427	A	20000805
WO 2001-KR1017	W	20010613

OTHER SOURCE(S): MARPAT 136:53769  
GI



II

AB The title compds. BYC(:X)Het [I; X = O, S, NH, N(CN); Y = a bond, NH, O, S; B = alkyl, (un)substituted 3-pyridyl, diphenylmethyl, imidazol-1-yl, etc.; Het = (un)substituted 4-phenylpiperazino, 3H-benzazepin-3-yl, 4,4-diphenylpiperidino, etc.] and their pharmaceutically acceptable acid addn. salts, useful as anti-cancer agents, were prepd. Thus, reacting N-(5,6-dimethyl-2-methoxypyridin-3-yl)phenylcarbamate with 7,8-dimethoxy-1-phenyl-2,3,4,5-tetrahydro-3H-benzazepine in the presence of DBU in THF afforded 82% II. The anti-cancer activity of all exemplified compds. I was evaluated in vitro using A549 (lung cancer), SUN638 (gastric cancer), HCT116 (rectal cancer), and A431 (ovarian cancer) cell lines. Compds. I showed a superior anti-cancer activity in all mentioned above cell lines (detailed data given).

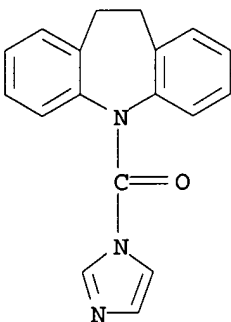
10/ 076,573

IT 381249-31-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of ureas as anti-cancer agents)

RN 381249-31-6 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-(1H-imidazol-1-ylcarbonyl)- (9CI)  
(CA INDEX NAME)



L7 ANSWER 42 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:895650 CAPLUS

DOCUMENT NUMBER: 136:37404

TITLE: Preparation of phenyl amides and ureas as neuropeptide Y5 receptor antagonists

INVENTOR(S): Dugar, Sundeep; Neustadt, Bernard R.; Stamford, Andrew W.; Wu, Yusheng

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 42 pp.

CODEN: USXXAM

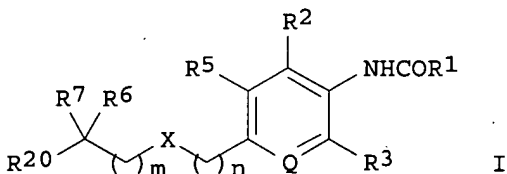
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6329395	B1	20011211	US 1999-326575	19990607
PRIORITY APPLN. INFO.:			US 1998-88422P	P 19980608
OTHER SOURCE(S):		MARPAT 136:37404		
GI				



AB The title compds. [I; m, n = 0-2, provided that the sum m + n = 0-3; Q = CR4, N; X = O, S, SO, etc.; R1 = (un)substituted aryl, heteroaryl, amino, etc.; R2-R5 = H, alkyl, (un)substituted cycloalkyl, etc.; R6, R7 = H, alkyl, alkenyl, etc.; CR6R7 = 3-7-membered carbocyclic ring, 4-7-membered heterocyclic ring; R20 = alkyl, cycloalkyl, hydroxyalkyl, etc.], useful in the treatment of eating disorders and diabetes, were prepd. Thus, amidation of 4-[1,1-dimethylbutylthio]aniline with trimethylacetyl

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chloride in CH<sub>2</sub>Cl<sub>2</sub> afforded 76% I [Q = CH; R<sub>1</sub> = Me<sub>3</sub>C; R<sub>2</sub> = R<sub>3</sub> = R<sub>5</sub> = H; R<sub>6</sub> = R<sub>7</sub> = Me; R<sub>20</sub> = Pr; X = S; m = n = 0] which showed K<sub>i</sub> of 3 nM against human NPY<sub>5</sub> receptor binding.

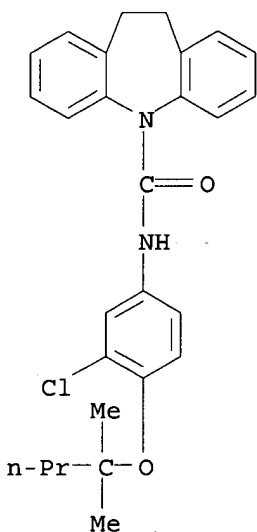
IT **252346-34-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of Ph amides and ureas as neuropeptide Y<sub>5</sub> receptor antagonists)

RN 252346-34-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, N-[3-chloro-4-(1,1-dimethylbutoxy)phenyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 43 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:884634 CAPLUS

DOCUMENT NUMBER: 136:200235

TITLE: C-phosphorylation of 5,10-dimethyl-5,10-

dihydrophenazine and its carbo- and heteroanalogs

AUTHOR(S): Ivonin, Sergei P.; Kopteva, Svetlana D.; Serdyuk, Viktor N.; Tolmachev, Andrei A.; Pinchuk, Aleksandr M.

CORPORATE SOURCE: Department of Chemistry, Dnepropetrovsk State University, Dnepropetrovsk, 320625/10, Ukraine

SOURCE: Heteroatom Chemistry (2001), 12(7), 652-657

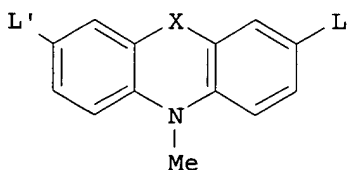
CODEN: HETCE8; ISSN: 1042-7163

PUBLISHER: John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English.

GI



I

AB This study covers phosphorylation of heterocyclic analogs of N-methyldiphenylamine, e.g., I (L = L' = H, X = NMe, O, S, CH<sub>2</sub>CH<sub>2</sub>), with P tribromide in pyridine soln. The reaction proceeds regioselectively in accordance with the orienting effect of the amino group. Mono and bis-phosphorylated derivs. of the heterocycles, e.g., I (L = PBr<sub>2</sub>, L' = H; L = L' = PBr<sub>2</sub>, resp.), were isolated and characterized. It is pointed out that the heterocyclic systems under study exhibit reduced reactivity in electrophilic phosphorylation as compared to N-methyldiphenylamine. The results of calcns. by the PM3 method are reported for the starting mols. and their .sigma.-complexes.

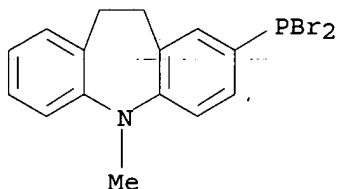
IT 400723-88-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and condensation reaction with morpholine and sulfur to give dimorpholinothiophosphonate)

RN 400723-88-8 CAPLUS

CN Phosphonous dibromide, (10,11-dihydro-5-methyl-5H-dibenz[b,f]azepin-2-yl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 44 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:780018 CAPLUS

DOCUMENT NUMBER: 136:128575

TITLE: A new class of antiarrhythmic-Defibrillatory agents

AUTHOR(S): Levy, Ofra; Erez, Mordechai; Varon, Dalia; Keinan, Ehud

CORPORATE SOURCE: Technion-Israel Institute of Technology, Department of Chemistry and Institute of Catalysis Science and Technology, Technion City, Haifa, 32000, Israel

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(22), 2921-2926

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Novel dibenzoazepine and 11-oxo-dibenzodiazepine derivs. are shown to be effective ventricular defibrillating drug candidates. They exhibit significant in vivo defibrillatory activity with no obsd. changes in ECG either before or after the VF event. These compds. also exhibit antifibrillatory activity by elevating the fibrillation threshold potential, all suggesting that such drugs could be used to treat VF either by themselves or together with elec. defibrillators.

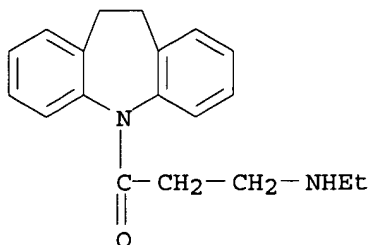
IT 328405-82-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(dibenzoazepine and oxo-dibenzodiazepine derivs. as new class of antiarrhythmic-ventricular defibrillatory agents)

RN 328405-82-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[3-(ethylamino)-1-oxopropyl]-10,11-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



Ⓢ HCl

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 45 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:730702 CAPLUS

DOCUMENT NUMBER: 135:273216

TITLE: Preparation of carbamate caspase inhibitors

INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Kay, David; Knegtel, Ronald; Golec, Julian; Mortimore, Michael; Studley, John

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

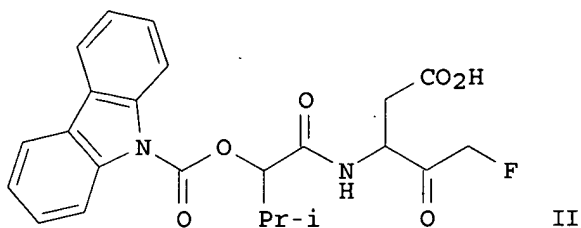
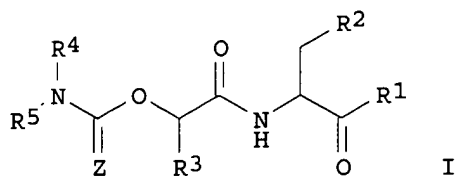
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072707	A2	20011004	WO 2001-US10182	20010329
WO 2001072707	A3	20020523		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028803	A1	20020307	US 2001-821161	20010329
EP 1268425	A2	20030102	EP 2001-922868	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009588	A	20030204	BR 2001-9588	20010329
NO 2002004661	A	20021126	NO 2002-4661	20020927
PRIORITY APPLN. INFO.:				
			US 2000-192826P	P 20000329
			WO 2001-US10182	W 20010329

OTHER SOURCE(S): MARPAT 135:273216

GI



AB Carbamate derivs. I [Z is O, S; R1 is H, CHN2, R (R is C1-12 aliph., aryl, aralkyl, heterocyclyl, or heterocyclylalkyl), CH2OR, CH2SR, or CH2Y (Y is an electroneg. leaving group); R2 is CO2H, CH2CO2H or esters, amides or isosteres; R3 is a group capable of fitting into the S2 subsite of a caspase enzyme; R4R5N is a mono-, bi- or tricyclic heterocyclic ring system] were prepd. as caspase inhibitors. The compds. are effective inhibitors of apoptosis and IL-1.β secretion. Thus, compd. II was prepd. by amidation of (S)-3-methyl-2-(carbazole)carbamoyloxybutyric acid (prepn. given) with 3-amino-5-fluoro-4-hydroxypentanoic acid tert-Bu ester, followed by oxidn. of the hydroxy group using Dess-Martin periodinane and ester cleavage.

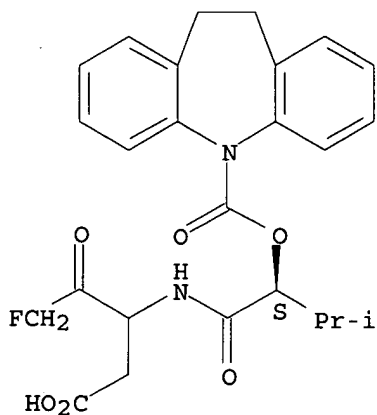
IT 363155-16-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of carbamate caspase inhibitors)

RN 363155-16-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, 10,11-dihydro-,  
(1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/ 076,573

ACCESSION NUMBER: 2001:718997 CAPLUS  
DOCUMENT NUMBER: 135:278027  
TITLE: Zero-order sustained release delivery system for carbamazepine derivatives  
INVENTOR(S): Katzhendler, Ifat; Friedman, Michael  
PATENT ASSIGNEE(S): Yisum Research Development Company of the Hebrew University of Jerusalem, Israel  
SOURCE: U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 436,886, abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6296873	B1	20011002	US 2000-539504	20000331
US 5980942	A	19991109	US 1998-12265	19980123
PRIORITY APPLN. INFO.:			US 1997-35892P	P 19970123
			US 1998-12265	A1 19980123
			US 1999-436886	B2 19991109

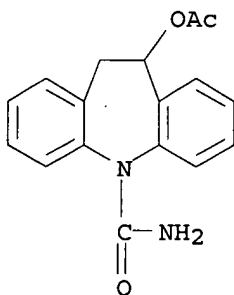
AB A zero-order sustained-release delivery system for delivery of carbamazepine or a deriv. thereof is disclosed. A polymeric matrix formulation of carbamazepine comprises hydrophilic polymer or hydrophilic/hydrophilic polymer mixt. which permits carbamazepine or carbamazepine deriv. to be released from the polymer matrix in zero-order release kinetics. Carbamazepine (200/mg) and hydroxypropyl methylcellulose (HPMC) in different amts. were thoroughly mixed using a pestle and a mortar to produce different HPMC/carbamazepine ratios. Cylindrical tablets were prepd. by direct compression of drug-polymer blends contg. 200 mg carbamazepine. When NaCl, PEG 4,000 or PEG 20,000 were incorporated into the dry matrix, they were sieved through a 60 mesh sieve and thoroughly mixed with the drug and polymer using a pestle and mortar. Hydroxypropyl methylcellulose was added in an amt. from 0-99% per tablet. Dissoln rate of the tablets were measured.

IT 186694-11-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(zero-order sustained release delivery system for carbamazepine derivs.)

RN 186694-11-1 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 47 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2001:674728 CAPLUS

10/ 076,573

DOCUMENT NUMBER: 136:38001  
TITLE: Synthesis, optical and electrochemical properties of luminescent copolymers containing N-hexyl-3,8-iminodibenzyl chromophores  
AUTHOR(S): Chen, Y.; Wu, T.-Y.  
CORPORATE SOURCE: Department of Chemical Engineering, National Cheng Kung University, Tainan, 701, Taiwan  
SOURCE: Polymer (2001), 42(25), 09895-09901  
CODEN: POLMAG; ISSN: 0032-3861  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

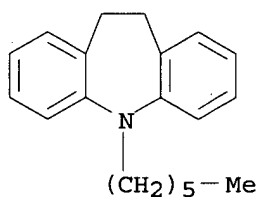
AB Novel copolymers carrying N-hexyl-3,8-iminodibenzyl chromophores were synthesized by polycondensation of N-hexyl-3,8-diformyliminodibenzyl with 1,4-xylylene-bis(diethylphosphonate) via the Horner (P1) reaction or with 1,4-phenylenediacetonitrile via the Knoevenagel reaction (P2). The reduced viscosities of P1 and P2 are 1.17 and 0.43 dL/g, resp. The P2 with electron-withdrawing CN groups can be dissolved in common org. solvents such as chloroform, THF, and toluene. Absorption, fluorescence, and cyclic voltammetric methods were used to investigate their optical and electrochem. properties. The photoluminescence wavelength maxima of P1 and P2 are 494 (blue-green) and 542 nm (yellow-green), resp. The oxidn. potential of model N-hexyliminodibenzyl (1.33 V) is much smaller than that of conventional 9-hexylcarbazole (1.73 V), indicating iminodibenzyl is an effective chromophore in raising HOMO level. Comparing with P1 (HOMO: 4.96 eV, LUMO: 2.41 eV), incorporation of CN groups in P2 readily lowers the energy levels of HOMO (5.15 eV) and LUMO (2.84 eV). The energy barrier between an aluminum cathode (.PHI.=4.3 eV) and P2 is narrowed significantly so that improved charge injection can be attained.

IT 380538-31-8P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; in synthesis of monomers for prepn. of luminescent copolymers contg. N-hexyl-3,8-iminodibenzyl chromophores)

RN 380538-31-8 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-hexyl-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 48 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:631910 CAPLUS

DOCUMENT NUMBER: 135:195510

TITLE: Preparation of carbamazepine

INVENTOR(S): Citterio, Attilio; Breviglieri, Gabriele; Bruno, Giacomo

PATENT ASSIGNEE(S): Farchemia S.r.l., Italy

SOURCE: Eur. Pat. Appl., 10 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1127877	A2	20010829	EP 2001-103475	20010214
EP 1127877	A3	20021127		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6384217	B1	20020507	US 2001-788048	20010217

PRIORITY APPLN. INFO.: IT 2000-MI345 A 20000225.

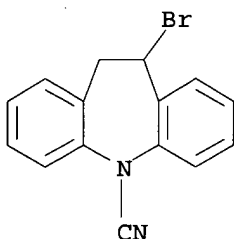
OTHER SOURCE(S): CASREACT 135:195510; MARPAT 135:195510

AB The title process comprises a method which does not employ 9,10-unsatd. precursors. Thus, 5-cyano-10,11-dihydro-5H-dibenz[b,f]azepine was brominated and the product hydroxylated to give 5-cyano-10-hydroxy-10,11-dihydro-5H-dibenz[b,f]azepine which was converted to the title compd.

IT **356760-07-1P**  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of carbamazepine from 5-cyano-10,11-dihydro-5H-dibenz[b,f]azepine)

RN 356760-07-1 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carbonitrile, 10-bromo-10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 49 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:623566 CAPLUS

DOCUMENT NUMBER: 135:329126

TITLE: Structural analysis of chloroquine resistance reversal by imipramine analogs

AUTHOR(S): Bhattacharjee, Apurba K.; Kyle, Dennis E.; Vennerstrom, Jonathan L.

CORPORATE SOURCE: Department of Medicinal Chemistry, Walter Reed Army Institute of Research, Washington, DC, 20307-5100, USA

SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(9), 2655-2657  
 CODEN: AMACCQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal

LANGUAGE: English

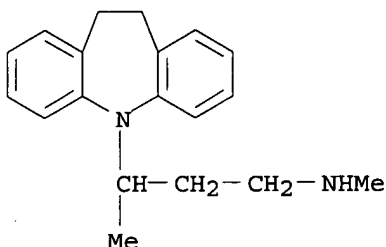
AB For imipramine, desipramine, and 8 analogs of these well-known drugs, an N-5-aminoalkyl substitution was a min. but insufficient structural feature assocd. with chloroquine resistance reversal. Although a 2nd distal aliph. N atom was unnecessary for resistance reversal, the direction of the dipole moment vector was crit.

IT **369391-51-5**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (structural anal. of chloroquine resistance reversal by imipramine analogs)

RN 369391-51-5 CAPLUS

10/ 076,573

CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,.gamma.-dimethyl-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 50 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:623542 CAPLUS

DOCUMENT NUMBER: 136:212608

TITLE: Isolation of rat dihydrofolate reductase gene and  
characterization of recombinant enzyme

AUTHOR(S): Wang, Yangzhou; Bruenn, Jeremy A.; Queener, Sherry F.;  
Cody, Vivian

CORPORATE SOURCE: Structural Biology Department, Hauptman Woodward  
Medical Research Institute, Buffalo, NY, 14203, USA

SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(9),  
2517-2523

CODEN: AMACCQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal

LANGUAGE: English

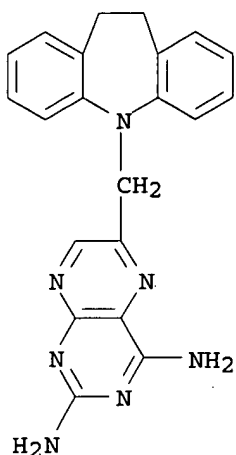
AB While assays of many antifolate inhibitors for dihydrofolate reductase (DHFR) have been performed using rat DHFR as a target, neither the sequence nor the structure of rat DHFR is known. The isolation of the rat DHFR gene through screening of a rat liver cDNA library is now reported. The rat liver DHFR gene has an open reading frame of 561 bp encoding a protein of 187 amino acids. Comparisons of the rat enzyme with those from other species indicate a high level of conservation at the primary sequence level and more so for the amino acid residues comprising the active site of the enzyme. Expression of the rat DHFR gene in bacteria produced a recombinant protein with high enzymic activity. The recombinant protein also paralleled the human enzyme with respect to the inhibition by most of the antifolates tested with PT652 and PT653 showing a reversal in their patterns. The results indicated that rat DHFR can be used as a model to study antifolate compds. as potential drug candidates. However, variations between rat and human DHFR enzymes, coupled with unique features in the inhibitors, could lead to the obsd. differences in enzyme sensitivity and selectivity.

IT 251658-84-1

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibition by; isolation of rat dihydrofolate reductase gene and  
characterization of recombinant enzyme)

RN 251658-84-1 CAPLUS

CN 2,4-Pteridinediamine, 6-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)methyl]-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 51 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:620087 CAPLUS

DOCUMENT NUMBER: 135:371677

TITLE: 4-Functionally substituted 3-heterylpyrazoles: III.  
3-Aryl(heteryl)pyrazole-4-carboxylic acids and their derivatives

AUTHOR(S): Bratenko, M. K.; Chornous, V. A.; Vovk, M. V.

CORPORATE SOURCE: Bukovinskaya State Medical Academy, Chernovtsy, 58000, Ukraine

SOURCE: Russian Journal of Organic Chemistry (Translation of Zhurnal Organicheskoi Khimii) (2001), 37(4), 552-555  
CODEN: RJOCEQ; ISSN: 1070-4280

PUBLISHER: MAIK Nauka/Interperiodica Publishing

DOCUMENT TYPE: Journal

LANGUAGE: English

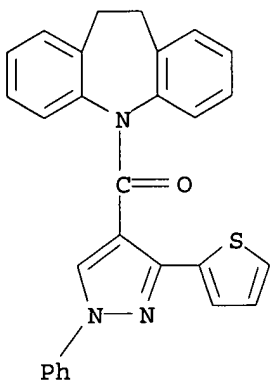
AB 3-Aryl(heteryl)-4-formylpyrazoles were cleanly oxidized by potassium permanganate in water-pyridine medium to afford in high yield 3-aryl(heteryl)pyrazole-4-carboxylic acids, that were further converted into the corresponding chlorides and amides.

IT 367512-28-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of functionally substituted (phenyl)pyrazolecarboxamides and their derivs.)

RN 367512-28-5 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[[1-phenyl-3-(2-thienyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 52 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:618456 CAPLUS  
 DOCUMENT NUMBER: 135:175432  
 TITLE: Receptor ligands  
 INVENTOR(S): Rosenberg, Martin; Widdowson, Katherine Louisa  
 PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont. of U.S. Ser. No. 963,835, abandoned.  
 CODEN: USXXCO

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

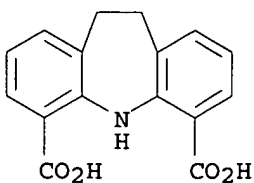
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001016569	A1	20010823	US 2001-804852	20010313
PRIORITY APPLN. INFO.:			US 1996-30391P	P 19961105
			US 1997-963835	B1 19971104

AB Non-antibody multimeric receptor ligands, methods for making and identifying them and their use for agonizing or antagonizing multimeric receptors.

IT **355805-80-0P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (spacer; multimeric receptor ligands in relation to agonist and antagonist activity and spacer prepn.)

RN 355805-80-0 CAPLUS

CN 5H-Dibenz[b,f]azepine-4,6-dicarboxylic acid, 10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 53 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:594095 CAPLUS  
 DOCUMENT NUMBER: 135:203279

10/ 076,573

TITLE: Crystal structure of bis[(10,11-dihydro-dibenzo[b,f]azepin-5-yl)-2-methylpropyldimethylammonium] tetrachlorocuprate(II), (C<sub>20</sub>H<sub>27</sub>N<sub>2</sub>)<sub>2</sub>[CuCl<sub>4</sub>]

AUTHOR(S): Kamel, L. T.; El Essawi, M.; Wartchow, R.; Berthold, H. J.

CORPORATE SOURCE: Chemistry Department, University of Cairo, Egypt

SOURCE: Zeitschrift fuer Kristallographie - New Crystal Structures (2001), 216(3), 359-360  
CODEN: ZKNSFT; ISSN: 1433-7266

PUBLISHER: R. Oldenbourg Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The title compd. is monoclinic, space group I2/a, a 13.206(3), b 9.370(2), c 33.354(8) .ANG., .beta. 97.95(3).degree.; Z = 8; Rgt(F) = 0.076, wRgt(F<sub>2</sub>) = 0.078, wRall(F<sub>2</sub>) = 0.127; T = 300 K. At. coordinates are given. The trimipraminium cation does not form a coordination complex with Cu<sup>2+</sup>, but a salt-like compd. contg. the [CuCl<sub>4</sub>]<sup>2-</sup> anion and 2 sym. equiv. monovalent cations of the org. amine.

IT 356068-42-3  
RL: PRP (Properties)  
(crystal structure of)

RN 356068-42-3 CAPLUS

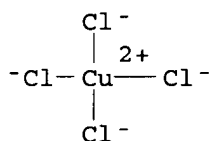
CN Cuprate(2-), tetrachloro-, (T-4)-, dihydrogen, compd. with 10,11-dihydro-N,N,.beta.-trimethyl-5H-dibenz[b,f]azepine-5-propanamine (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 47984-60-1

CMF Cl<sub>4</sub> Cu . 2 H

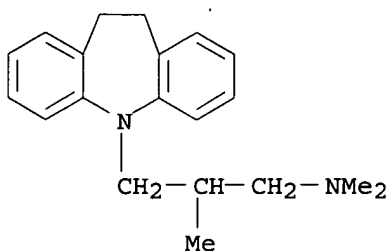
CCI CCS



2 H<sup>+</sup>

CM 2

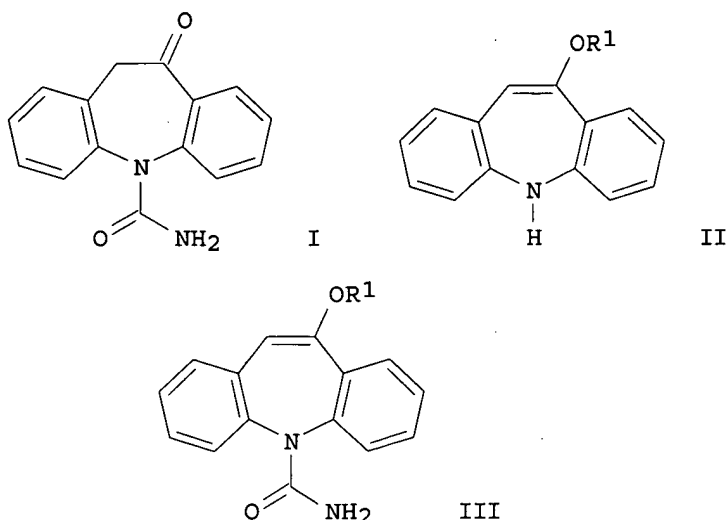
CRN 739-71-9  
CMF C<sub>20</sub> H<sub>26</sub> N<sub>2</sub>



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 54 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:581847 CAPLUS  
 DOCUMENT NUMBER: 135:166785  
 TITLE: Preparation of dibenzo[b,f]azepine derivatives  
 INVENTOR(S): Fuenfschilling, Peter; Kaufmann, Daniel; Lohse,  
 Olivier; Beutler, Ulrich; Zaugg, Werner  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen  
 Verwaltungsgesellschaft m.b.H.  
 SOURCE: PCT Int. Appl., 15 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056992	A2	20010809	WO 2001-EP1330	20010207
WO 2001056992	A3	20020124		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2001007922	A	20021022	BR 2001-7922	20010207
EP 1265868	A2	20021218	EP 2001-915203	20010207
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
NO 2002003575	A	20020726	NO 2002-3575	20020726
US 2003032800	A1	20030213	US 2002-182980	20020802
PRIORITY APPLN. INFO.:			GB 2000-2740	A 20000207
			WO 2001-EP1330	W 20010207
OTHER SOURCE(S):			CASREACT 135:166785; MARPAT 135:166785	
GI				



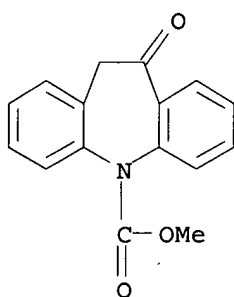
AB The invention relates to new processes for the prepn. of the pharmaceutical oxcarbazequine I, as well as novel intermediates prepd. by or used for said processes, and the prepn. of said intermediates. Thus, carbamoylation of II [R1 = alkyl] (prepn. given for R1 = Me) with a metal cyanate in AcOH followed by hydrolysis of III affords the dibenzo[b,f]azepine I.

IT 353497-31-1P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of dibenzo[b,f]azepine derivs.)

RN 353497-31-1 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, 10,11-dihydro-10-oxo-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 55 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:572875 CAPLUS

DOCUMENT NUMBER: 136:160351

TITLE: Thermal and biocidal activity of Pd(II) complexes with nitrogen containing ligands

AUTHOR(S): Naik, H. S. Bhojya

CORPORATE SOURCE: Department of Studies and Research in Industrial Chemistry, Kuvempu University, Karnataka, India

SOURCE: Journal of Saudi Chemical Society (2001), 5(1), 37-46

CODEN: JSCSFO; ISSN: 1319-6103

PUBLISHER: Saudi Chemical Society

DOCUMENT TYPE: Journal  
 LANGUAGE: English

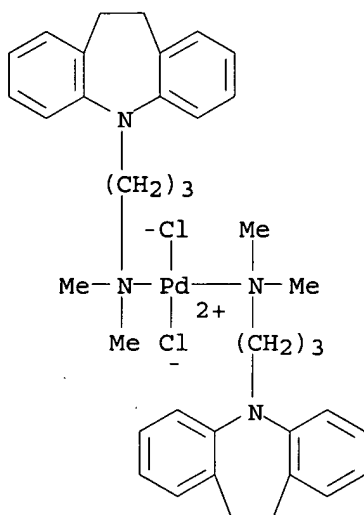
AB New complexes of Pd (II) with doxepin, dothiepin, diphenhydramine and imipramine were synthesized and characterized by elemental analyses, IR, <sup>1</sup>H-NMR and electronic spectra, TGA, mol. wt. detn., cond. measurements and magnetic susceptibility data. From spectral data, square planer structures are proposed for all the new complexes. The thermal degrdn. of complexes in N atm. was studied by TGA technique from ambient temp. to 700.degree.. The data were processed to yield various kinetic and thermodyn. parameters following Broido method. The energies of activation, Ea for the decompn. of complexes are in the range 24.2-133.3 kJ mol<sup>-1</sup>. The complexes exhibit enhanced antimicrobial properties compared to free ligands.

IT 394218-64-5P

RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent) (prepn., antimicrobial activity, and kinetics and thermodyn. of thermal decompn.)

RN 394218-64-5 CAPLUS

CN Palladium, dichlorobis(10,11-dihydro-N,N-dimethyl-5H-dibenz[b,f]azepine-5-propanamine-.kappa.NN5) - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 56 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:526067 CAPLUS

DOCUMENT NUMBER: 135:107243

TITLE: Preparation of tricyclic heterocycles for

pharmaceutical use as herpes antiviral agents

INVENTOR(S): Booth, Richard John; Josyula, Vara Prasad Venkata Nagendra; Meyer, Annette Lynn; Steinbaugh, Bruce Allan

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

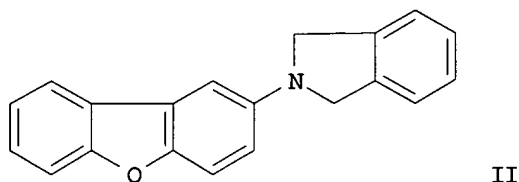
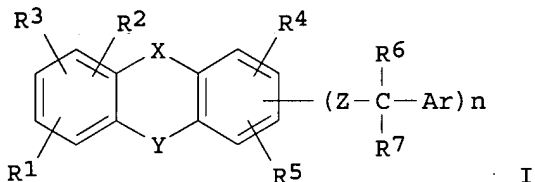
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051479	A2	20010719	WO 2000-US32571	20001130
WO 2001051479	A3	20020214		
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1248777	A2	20021016	EP 2000-980882	20001130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000016937	A	20021231	BR 2000-16937	20001130
PRIORITY APPLN. INFO.:			US 2000-174883P	P 20000107
			WO 2000-US32571	W 20001130
OTHER SOURCE(S):		MARPAT 135:107243		
GI				



AB Tricyclic heterocycles, such as I [Ar = Ph, substituted Ph, benzoheterocyclyl, heterocyclyl; X, Y, Z = O, (CH<sub>2</sub>)<sub>m</sub>, S, SO, SO<sub>2</sub>, NH, NR<sub>8</sub>; R<sub>1</sub>-5 = H, OH, NH<sub>2</sub>, CN, NO<sub>2</sub>, CF<sub>3</sub>, OCF<sub>3</sub>, halogen, dialkylamino, alkoxy, aminoalkyl, aminoaryl, aryl, heterocyclyl; R<sub>6</sub>, R<sub>7</sub> = H, CF<sub>3</sub>, alkyl, cycloalkyl, halogen, alkoxy, aminoalkyl, aminoaryl, heterocyclyl; R<sub>8</sub> = H, Ph, alkyl, cycloalkyl, substituted Ph; m = 1-3, n = 0-2], having useful antiviral activity against viruses of the herpes family were prepd. for pharmaceutical use. Thus, dibenzofuran II was prepd. by cyclocondensation of 2-dibenzofuranamine and 1,2-bis(bromomethyl)benzene in CH<sub>2</sub>Cl<sub>2</sub> using Et<sub>3</sub>N. The prepd. heterocycles were tested for antiviral efficacy against HSV-1 using a yield redn. assay.

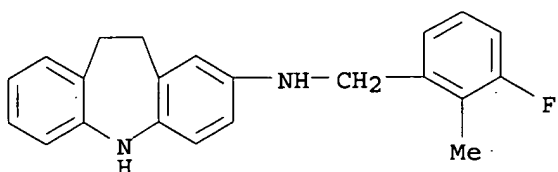
IT 350020-84-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of tricyclic heterocycles for pharmaceutical use as herpes antiviral agents)

RN 350020-84-7 CAPLUS

CN 5H-Dibenz[b,f]azepin-2-amine, N-[(3-fluoro-2-methylphenyl)methyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 57 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:498884 CAPLUS

DOCUMENT NUMBER: 135:331409

TITLE: MCC/SNAr methodology. Part 1: Novel access to a range of heterocyclic cores

AUTHOR(S): Tempest, P.; Ma, V.; Kelly, M. G.; Jones, W.; Hulme, C.

CORPORATE SOURCE: Department of Combinatorial Chemistry, AMGEN Inc., Thousand Oaks, CA, 91320, USA

SOURCE: Tetrahedron Letters (2001), 42(30), 4963-4968

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

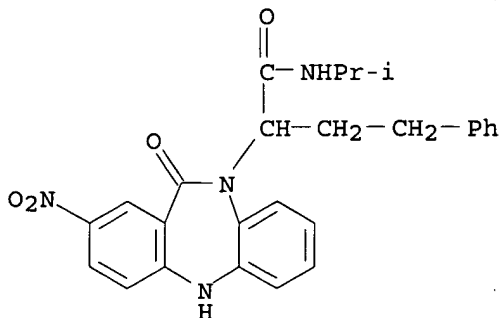
AB The novel soln.-phase syntheses of arrays of biol. relevant indazolinones, benzazepines and benzoxazepines, utilizing multi-component condensation (MCC)/SNAr methodol. is reported. Reaction of com. available 2-fluoro-5-nitrobenzoic acid with an aldehyde, isonitrile and a primary amine tethered to a Boc-protected internal amino or hydroxyl nucleophile, affords the Ugi product in good yield. Subsequent acid treatment followed by proton scavenging using polymer-supported reagents promotes cyclization of internal amino nucleophiles to a variety of ring sizes. Base treatment alone is sufficient to generate benzoxazepines. Interestingly, this method also introduces a highly efficient two-step route to benzimidazoles.

IT 370069-08-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(soln.-phase prepn. of heterocyclic compds. by multi-component condensation using polymer-supported reagents)

RN 370069-08-2 CAPLUS

CN 10H-Dibenzo[b,e][1,4]diazepine-10-acetamide, 5,11-dihydro-N-(1-methylethyl)-2-nitro-11-oxo-.alpha.-(2-phenylethyl)- (9CI) (CA INDEX NAME)



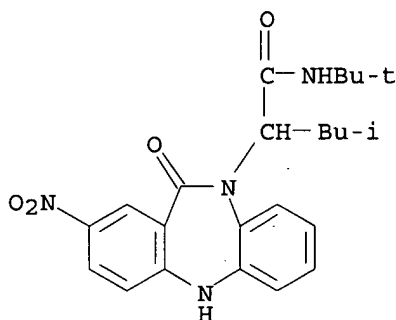
REFERENCE COUNT:

30

THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/ 076,573

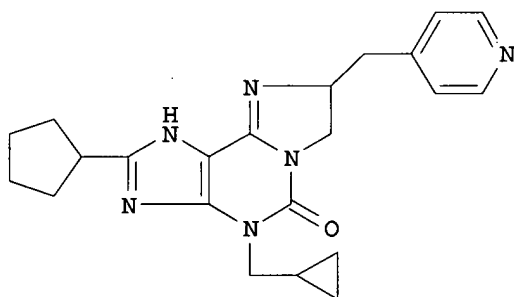
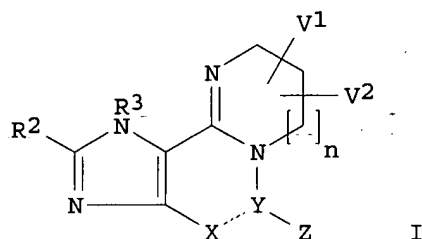
ACCESSION NUMBER: 2001:498883 CAPLUS  
DOCUMENT NUMBER: 135:344419  
TITLE: Two-step solution-phase synthesis of novel  
benzimidazoles utilizing a UDC (Ugi/de-Boc/cyclize)  
strategy  
AUTHOR(S): Tempest, P.; Ma, V.; Thomas, S.; Hua, Z.; Kelly, M.  
G.; Hulme, C.  
CORPORATE SOURCE: Department of Combinatorial Chemistry, AMGEN Inc.,  
Thousand Oaks, CA, 91320, USA  
SOURCE: Tetrahedron Letters (2001), 42(30), 4959-4962  
CODEN: TELEAY; ISSN: 0040-4039  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB The novel soln.-phase synthesis of an array of biol. relevant  
benzimidazoles in a simple two-step procedure is revealed.  
Transformations are carried out in excellent yield by condensation of  
mono-Boc protected ortho-phenylenediamine and supporting Ugi reagents.  
Subsequent acid treatment and evapn. affords benzimidazoles in good to  
excellent yield. The described protocol represents a highly attractive  
soln.-phase procedure for the rapid generation of benzimidazole libraries.  
IT 371158-10-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of benzimidazoles by Ugi multi-component condensation-  
cyclization strategy)  
RN 371158-10-0 CAPLUS  
CN 10H-Dibenzo[b,e] [1,4]diazepine-10-acetamide, N-(1,1-dimethylethyl)-5,11-  
dihydro-.alpha.-(2-methylpropyl)-2-nitro-11-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 59 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2001:489404 CAPLUS  
DOCUMENT NUMBER: 135:76901  
TITLE: Preparation of quinazoline and quinoline derivatives  
as remedies for diseases mediated by  
autophosphorylation of PDGF receptors  
INVENTOR(S): Ueno, Kimihisa; Ogawa, Akira; Ohta, Yoshihisa; Nomoto,  
Yuji; Takasaki, Kotaro; Kusaka, Hideaki; Yano,  
Hiroshi; Suzuki, Chiharu; Nakanishi, Satoshi  
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 126 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047931 A1		20010705	WO 2000-JP9160	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR				
PRIORITY APPLN. INFO.:			JP 1999-366313	19991224
OTHER SOURCE(S):		MARPAT 135:76901		
GI				



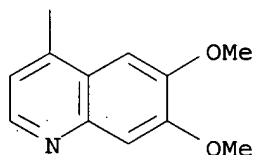
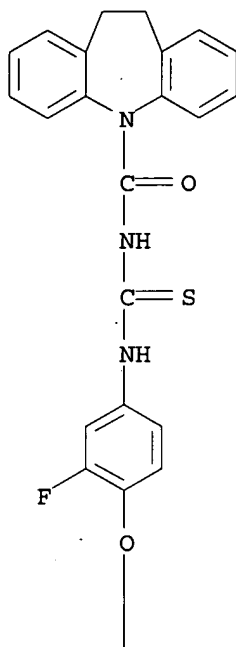
AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2CH2OCONH, 4-CF3C6H4CH2OCONH, CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclylalkyl] and pharmaceutically acceptable salts are prepd. as remedies for diseases mediated by autophosphorylation of PDGF receptors. Thus, the title claimed compd. II was prepd. and biol. tested.

IT 347160-05-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)

RN 347160-05-8 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, N-[[[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-3-fluorophenyl]amino]thioxomethyl]-10,11-dihydro- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 60 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:489372 CAPLUS  
 DOCUMENT NUMBER: 135:92649  
 TITLE: Preparation of quinazoline and quinoline derivatives  
 as remedies for diseases mediated by  
 autophosphorylation of PDGF receptors  
 INVENTOR(S): Sakai, Teruyuki; Senga, Teruhumi; Furuta, Takayuki;  
 Miwa, Atushi  
 PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan  
 SOURCE: PCT Int. Appl., 1068 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047890	A1	20010705	WO 2000-JP9157	20001222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,  
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001022232 A5 20010709 AU 2001-22232 20001222

EP 1243582 A1 20020925 EP 2000-985844 20001222

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:

JP 1999-377486 A 19991224

JP 1999-374494 A 19991228

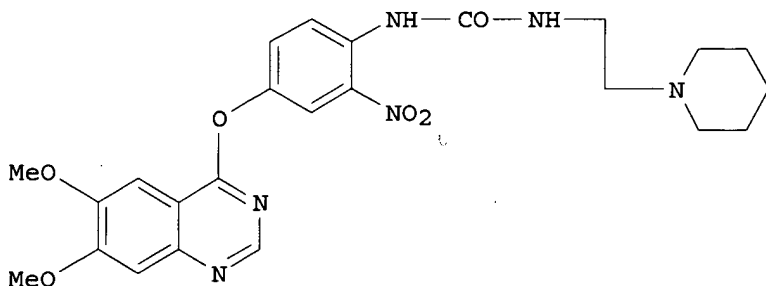
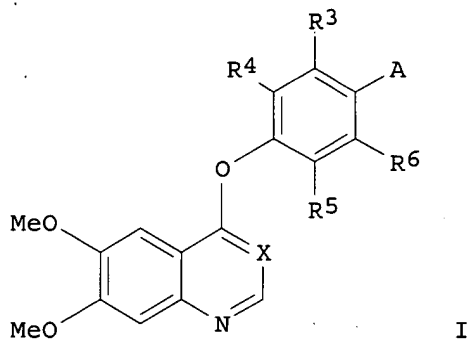
JP 2000-177790 A 20000614

WO 2000-JP9157 W 20001222

OTHER SOURCE(S):

MARPAT 135:92649

GI



AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2OCONH, 4-CF3C6H4CH2OCONH, CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclylalkyl] and pharmaceutically acceptable salts are prepd. as remedies for diseases mediated by autophosphorylation of PDGF receptors, particularly useful as intimal thickening inhibitors. Thus, the title claimed compd. II was prepd. and biol. tested.

IT 347160-05-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

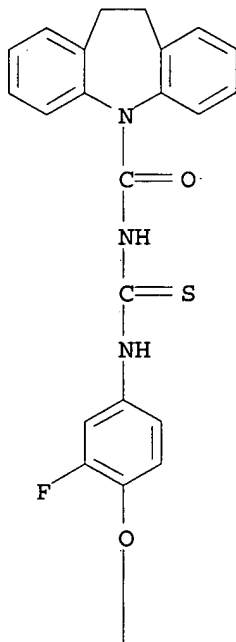
10/ 076,573

BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of quinazolines and quinolines as remedies for diseases  
mediated by autophosphorylation of PDGF receptors)

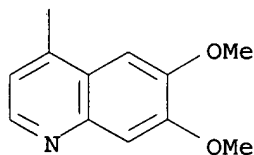
RN 347160-05-8 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, N-[[[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-3-fluorophenyl]amino]thioxomethyl]-10,11-dihydro- (9CI)  
(CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 61 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:489367 CAPLUS

DOCUMENT NUMBER: 135:76874

TITLE: Preparation of heterocyclic compounds as remedies for hepatitis C

INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

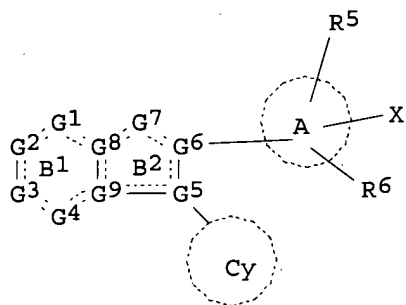
LANGUAGE: Japanese

10/ 076,573

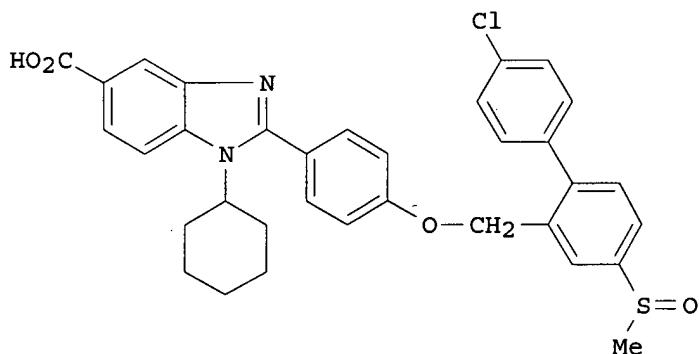
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1162196	A1	20011212	EP 2000-987728	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008525	A	20020102	BR 2000-8525	20001222
NZ 514403	A	20021025	NZ 2000-514403	20001222
NO 2001004134	A	20011022	NO 2001-4134	20010824
US 2003050320	A1	20030313	US 2001-939374	20010824
PRIORITY APPLN. INFO.:			JP 1999-369008	A 19991227
			WO 2000-JP9181	W 20001222
			JP 2000-391904	A 20001225
			JP 2001-193786	A 20010626

OTHER SOURCE(S): MARPAT 135:76874  
GI



I



II

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy =

(un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011 .mu.M against hepatitis C virus polymerase. A formulation is given.

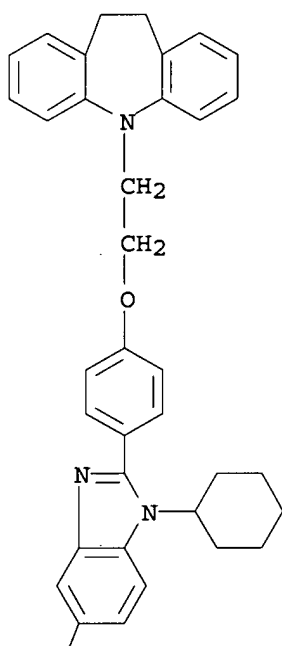
IT 347166-36-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of heterocyclic compds. as remedies for hepatitis C)

RN 347166-36-3 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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HO<sub>2</sub>C

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 62 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:445017 CAPLUS

DOCUMENT NUMBER: 135:189274

TITLE: Preparation, characterization, and thermodynamic studies of promazine, chlorpromazine, promethazine, imipramine, and ciprofloxacin ion-associates with some metal complex ions

AUTHOR(S): El-Ansary, A. L.; El-Hawary, W. F.; Issa, Y. M.; Ahmed, A. F.

CORPORATE SOURCE: Chemistry Department, Faculty of Science, Cairo University, Giza, Egypt

10/ 076,573

SOURCE: Synthesis and Reactivity in Inorganic and  
Metal-Organic Chemistry (2001), 31(3), 441-456  
CODEN: SRIMCN; ISSN: 0094-5714

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The ion-assoc. complexes of Promazine (Prom.Cl), Chlorpromazine (Chlorprom.Cl), Promethazine (Prometh.Cl), Imipramine (Imip.Cl) and Ciprofloxacin (Cipro.Cl) hydrochlorides with  $K_3Fe(CN)_6$ ,  $(NH_4)[Cr(NH_3)_2(SCN)_4]$  and  $Na_3[Co(NO_2)_6]$  were prepd. The pptd. ion-assocs. were subjected to elemental analyses, IR spectral studies, TGA and detn. of the metal content for elucidation of their structures. The solubilities of the solid ion-assoc. complexes were studied and their soly. products were detd. at different temps. at the optimum conditions of pH and ionic strength for their quant. pptn.

IT 354986-01-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and soly. product and thermodyn. of formation)

RN 354986-01-9 CAPLUS

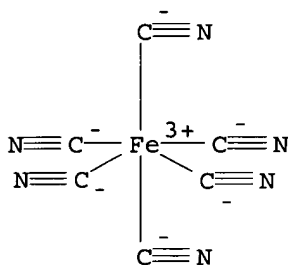
CN Ferrate(3-), hexakis(cyano-.kappa.C)-, (OC-6-11)-, trihydrogen, compd.  
with 10,11-dihydro-N,N-dimethyl-5H-dibenz[b,f]azepine-5-propanamine (1:3)  
(9CI) (CA INDEX NAME)

CM 1

CRN 17126-46-4

CMF C6 Fe N6 . 3 H

CCI CCS

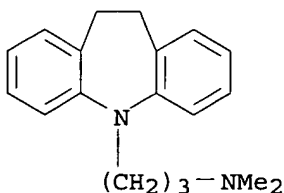


3 H<sup>+</sup>

CM 2

CRN 50-49-7

CMF C19 H24 N2



REFERENCE COUNT:

28

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 63 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:435045 CAPLUS

DOCUMENT NUMBER: 135:46100

TITLE: Preparation of 2-biphenyl 4-piperidinyl ureas having muscarinic receptor antagonist activity

INVENTOR(S): Mammen, Mathai; Oare, David

PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA

SOURCE: PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

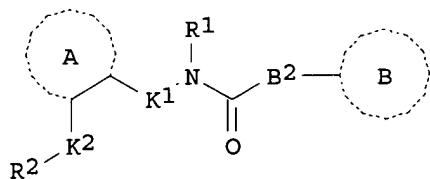
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

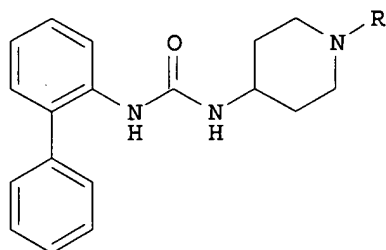
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001042213	A1	20010614	WO 2000-US33155	20001207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000015963	A	20020806	BR 2000-15963	20001207
EP 1235803	A1	20020904	EP 2000-982493	20001207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003516391	T2	20030513	JP 2001-543514	20001207
NO 2002002683	A	20020702	NO 2002-2683	20020606
PRIORITY APPLN. INFO.: US 1999-456170 A2 19991207				
WO 2000-US33155 W 20001207				

OTHER SOURCE(S): MARPAT 135:46100

GI



II



III

AB The title compds. L1XL2 [I; L1 = II (wherein A = (hetero)aryl; B2 = NRa; Ra = H, alkyl, etc.; R1 = H, alkyl; R2 = heteroaryl, etc.; K1 = a bond, alkylene; K2 = a bond, CO, SOn, etc.; n = 0-2; B = heterocycloamino, heteroarylamino); X = a linker; L2 = an org. group comprising at least one primary, secondary, or tertiary amine] which are muscarinic receptor antagonists and agonists (biol. data given), were prepd. and formulated. E.g., a 2-step prepn. of the intermediate III [R = H] starting with biphenyl-2-isocyanate and 4-amino-N-benzylpiperidine, was given. Mass spec data for 643 compds. III [R = XL2] were presented.

IT 344432-44-6P

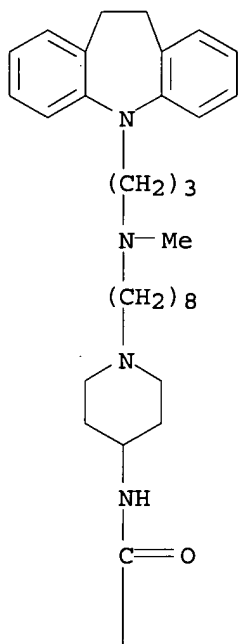
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-biphenyl 4-piperidinyl ureas having muscarinic receptor antagonist activity)

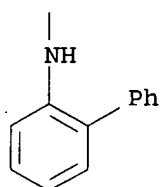
RN 344432-44-6 CAPLUS

CN Urea, N-[1,1'-biphenyl]-2-yl-N'-[1-[8-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]methylamino]octyl]-4-piperidinyl]- (9CI)  
(CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 64 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:392453 CAPLUS

DOCUMENT NUMBER: 135:174640

TITLE: Isolation and identification of clozapine metabolites in patient urine

AUTHOR(S): Schaber, Gisela; Wiatr, Gerlinde; Wachsmuth, Helmut; Dachtler, Markus; Albert, Klaus; Gaertner, Ines; Breyer-Pfaff, Ursula

CORPORATE SOURCE: Department of Toxicology, University of Tuebingen, Tuebingen, D-72074, Germany

SOURCE: Drug Metabolism and Disposition (2001), 29(6), 923-931  
CODEN: DMDSAI; ISSN: 0090-9556

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Biotransformation products of the atypical neuroleptic clozapine were isolated from urine samples of three schizophrenic patients by solid-phase extn., liq.-liq. extn. for the sepn. of nonpolar and polar metabolites, and thin-layer chromatog. followed by final purifn. by high-performance liq. chromatog. Their structures were elucidated by mass spectrometry and <sup>1</sup>H NMR spectroscopy and in some cases by enzymic deconjugation. Besides the known metabolites desmethylclozapine, clozapine N-oxide, 8-deschloro-8-hydroxyclozapine, and 8-deschloro-8-hydroxydesmethylclozapine, the unpolar fraction contained 7-hydroxyclozapine and a compd. in which the piperazine ring of clozapine was partially degraded to an ethylenediamine deriv. Novel metabolites identified in the polar fraction were the sulfate and glucuronide conjugates of 7-hydroxyclozapine N-oxide, 8-deschloro-8-hydroxyclozapine-O-glucuronide, and the O-glucuronide of N-hydroxydesmethylclozapine; further conjugates were tentatively identified as 9-hydroxydesmethylclozapine-O-sulfate and 6-hydroxyclozapine-O-sulfate. In addn., the previously described conjugates 7-hydroxydesmethylclozapine-O-sulfate, 7-hydroxyclozapine-O-glucuronide and -O-sulfate, 8-deschloro-8-hydroxydesmethylclozapine-O-glucuronide, and the quaternary ammonium glucuronide of clozapine were detected.

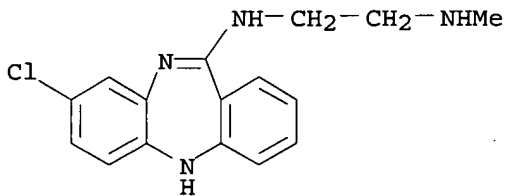
IT 355005-36-6

RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative)

(isolation and identification of clozapine metabolites in patient urine)

RN 355005-36-6 CAPLUS

CN 1,2-Ethanediamine, N-(8-chloro-5H-dibenzo[b,e][1,4]diazepin-11-yl)-N'-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 65 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:392066 CAPLUS

10/ 076,573

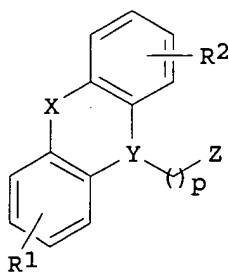
DOCUMENT NUMBER: 135:5537  
TITLE: Synthesis and use of N-substituted dibenzazaheterocyclic carboxylic acids and derivatives thereof for treatment of pain, hyperalgesia and inflammatory conditions  
INVENTOR(S): Dorwald, Florenzio Zaragossa; Andersen, Knud Erik; Hohlweg, Rolf; Madsen, Peter; Jorgensen, Tine Krogh; Olsen, Uffe Bang; Andersen, Henrik Sune; Treppendahl, Svend; Zdenek, Polivka; Alexandra, Silhankova; Karel, Sindelar  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
SOURCE: U.S., 19 pp., Cont.-in-part of U.S. 5,874,428.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6239148	B1	20010529	US 1998-55574	19980406
US 5595989	A	19970121	US 1995-367648	19950103
ZA 9500031	A	19960704	ZA 1995-31	19950104
US 5688788	A	19971118	US 1995-444140	19950518
US 5693649	A	19971202	US 1995-544502	19951018
US 5712292	A	19980127	US 1995-544905	19951018
US 5721254	A	19980228	US 1995-544500	19951018
US 5795888	A	19980818	US 1995-544682	19951018
US 5668129	A	19970916	US 1996-626745	19960327
US 5874428	A	19990223	US 1996-623289	19960328
ZA 9602732	A	19961024	ZA 1996-2732	19960404
US 6043239	A	20000328	US 1998-12918	19980123

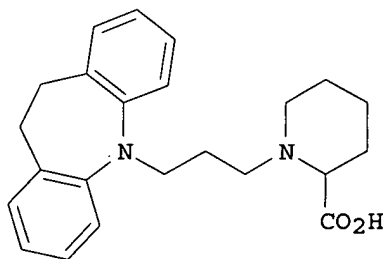
PRIORITY APPLN. INFO.:

DK 1994-19	A	19940104
DK 1994-1290	A	19941109
US 1995-367648	A3	19950103
DK 1995-405	A	19950407
DK 1995-1005	A	19950911
US 1995-544682	A2	19951018
US 1996-623289	A2	19960328

OTHER SOURCE(S): MARPAT 135:5537  
GI



I



II

AB Compds. I are synthesized and used as analgesics [wherein; R1, R2 = H, halo, CF3, amino, OH, alkyl or alkoxy; Y = CH or C=CH-; X = (CH2)2, CH2-CO, CO CH2 or CH=CH; p = 1-3; Z = (partially unsatd.) (unsubstituted) piperidin-1-yl]. Twenty-seven synthetic examples were provided. Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was N-acylated

by ClCH<sub>2</sub>CH<sub>2</sub>COCl and the reduced product aminated by Et 2-piperidinecarboxylate HCl and base to give, after sapon., title compd. II. Compds. I inhibited a formalin-induced pain response in mice (hot plate test); e.g. II inhibited pain by 36% at a dose of 0.1 mg/kg. An exemplary tablet formulation (claimed 0.5 - 1000 mg a.i./unit dose) for compds. I is provided.

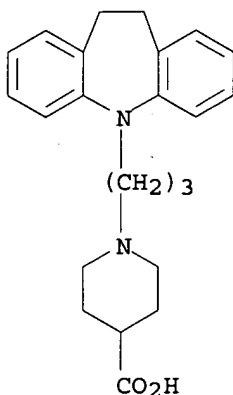
IT 183785-31-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and use of N-substituted dibenzazaheterocyclic carboxylic acids and derivs. thereof for treatment of pain, hyperalgesia and inflammatory conditions)

RN 183785-31-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 66 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:375007 CAPLUS

DOCUMENT NUMBER: 135:137390

TITLE: Hexamethonium-type allosteric modulators of the muscarinic receptors bearing lateral dibenzazepine moieties

AUTHOR(S): Li, Ruanto; Trankle, Christian; Mohr, Klaus; Holzgrabe, Ulrike

CORPORATE SOURCE: Department of Organic Chemistry, School of Pharmaceutical Sciences, Beijing Medical University, Beijing, 100083, Peop. Rep. China

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2001), 334(4), 121-124

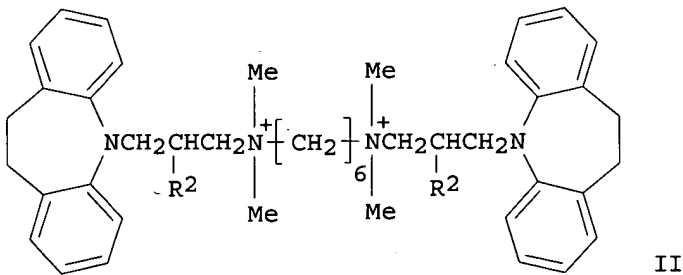
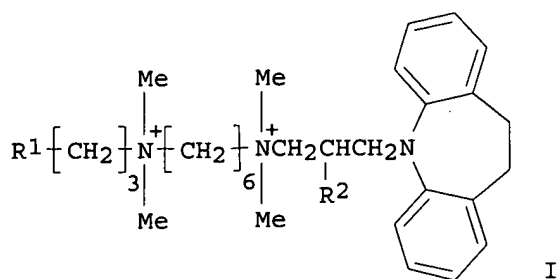
CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Alkane-bisammonium compds. carrying lateral phthalimido substituents are known to have a high affinity for the allosteric binding site of the acetylcholine M2 receptor. The purpose of this study was to replace the lateral phthalimido moieties with rigid tricyclic skeletons of a large vol. in order to learn more about the function of the lateral heterocycles. In addn., Me groups were introduced into the lateral connecting chains. Thus, phthalimido and dibenzazepine ammonium compds. I (R1 = phthalimido, R2 = H, Me; R1 = 10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl, R2 = Me) and II (R2 = H, Me) were prepd. Allosteric inhibition of the dissocn. of [3H]N-methylscopolamine from the M2 receptors in porcine cardiac homogenates served to indicate binding of the test compds. to the allosteric site. The phthalimido groups could be replaced with dibenzazepine moieties without any loss in potency. Interestingly, the addnl. Me group in the lateral spacer seems to have a significant influence on the allosteric behavior.

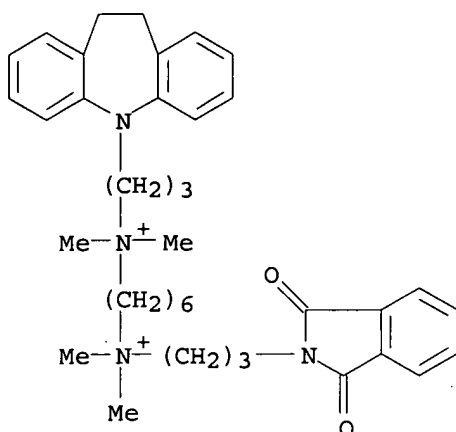
IT 351860-15-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and M2 receptor allosteric modulating activity of alkane-bisammonium phthalimido and benzazepine compds.)

RN 351860-15-6 CAPLUS

CN 1,6-Hexanediaminium, N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-N'-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-N,N,N',N'-tetramethyl-, dibromide (9CI) (CA INDEX NAME)

● 2 Br<sup>-</sup>

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 67 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:368136 CAPLUS

DOCUMENT NUMBER: 135:131732

TITLE: Synthesis of Novel .gamma.-Aminobutyric Acid (GABA) Uptake Inhibitors. 5.Preparation and Structure-Activity Studies of Tricyclic Analogues of Known GABA Uptake Inhibitors

AUTHOR(S): Andersen, Knud Erik; Sorensen, Jan L.; Lau, Jesper; Lundt, Behrend F.; Petersen, Hans; Huusfeldt, Per O.; Suzdak, Peter D.; Swedberg, Michael D. B.

CORPORATE SOURCE: Health Care Discovery, Novo Nordisk A/S, Malov, DK 2760, Den.

SOURCE: Journal of Medicinal Chemistry (2001), 44(13), 2152-2163

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB On the basis of the SAR of a series of known .gamma.-aminobutyric acid (GABA) uptake inhibitors, including SKF 89976, new tricyclic analogs have been prepd. These novel compds. are derivs. of nipecotic acid, guvacine, and homo-.beta.-proline, substituted at the nitrogen of these amino acids by various lipophilic moieties such as (10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)alkoxyalkyl or (10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)alkoxyalkyl. The in vitro values for inhibition of [3H]-GABA uptake in rat synaptosomes was detd. for each compd. in this new series, and it was found that several of the novel compds. showed a high potency comparable with that of several ref. compds. Several of the novel compds. were also evaluated for their ability in vivo to inhibit clonic seizures induced by a 15 mg/kg (i.p.) dose of Me 6,7-dimethoxy-4-ethyl-.beta.-carboline-3-carboxylate (DMCM). One compd., (R)-1-(2-(2-(10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)ethoxy)ethyl)-3-piperidinecarboxylic acid, was selected for further biol. investigations and showed a protective index comparable to or slightly better than that of the recently launched anticonvulsant tiagabine ((R)-1-(4,4-bis(3-methyl-2-thienyl)-3-butenyl)-3-piperidinecarboxylic acid).

IT 192764-62-8P

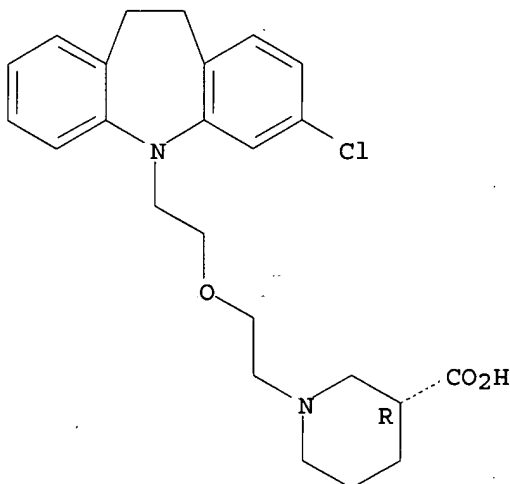
10/ 076,573

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. and structure-activity studies on tricyclic analogs of known GABA uptake inhibitors)

RN 192764-62-8 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[2-(3-chloro-10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]ethyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 68 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:343843 CAPLUS

DOCUMENT NUMBER: 135:116552

TITLE: Pharmacokinetic interaction between imipramine and carbamazepine in patients with major depression

AUTHOR(S): Szymura-Oleksiak, Joanna; Wyska, Elzbieta; Wasieczko, Andrzej

CORPORATE SOURCE: Department of Pharmacokinetics and Physical Pharmacy, Jagiellonian University, Krakow, 30-688, Pol.

SOURCE: Psychopharmacology (Berlin, Germany) (2001), 154(1), 38-42

CODEN: PSCHDL; ISSN: 0033-3158

PUBLISHER: Springer-Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Despite the fact that carbamazepine (CBZ) is frequently added to the existing tricyclic antidepressant (TCA) therapy, to date little is known about serum levels of pharmacol. active hydroxy metabolites of TCAs, as well as about possible changes in free (non-protein-bound) concns. of these drugs and their metabolites during such combination treatment of depression. The aim of this study was to evaluate the effect of CBZ on steady-state total and free serum concns. of imipramine (IMI) and its metabolites, desipramine (DMI), 2-hydroxyimipramine and 2-hydroxydesipramine, in depressed patients. In addn., the free and total

serum concns. of CBZ and 10,11-epoxycarbamazepine were measured. Thirteen patients with DSM-III-R diagnosis of major depression were enrolled in the study. All patients hospitalized at the Department of Psychiatry, Collegium Medicum, Jagiellonian University were treated with IMI at a dose of 2 mg/kg per day for 3 wk, after which CBZ at a dose of 400 mg/day was added. Steady-state serum concns. of IMI, CBZ and their metabolites were assayed by HPLC. Free drug concns. were measured by ultrafiltration. After 2 wk of combination therapy a significant decrease in mean steady-state total serum concns. of IMI (from 168.84. $\pm$ .102.18 to 98.12. $\pm$ .43.79 ng/mL) and DMI (from 293.89. $\pm$ .171.93 to 221.85. $\pm$ .153.21 ng/mL) was obsd. Simultaneously, steady-state serum concns. of total hydroxy metabolites and free IMI and its metabolites, measured just before and 2 wk after CBZ were started, did not differ significantly. In consequence, a significant increase in free fraction of the parent drug was obsd. (3.36. $\pm$ .3.24% vs. 5.75. $\pm$ .3.60%). Also free fraction of DMI tended to be higher after CBZ addn. CBZ affects not only the metab. of IMI and its metabolites, but also their protein binding. Therefore, despite considerable redns. in total serum levels of IMI and DMI, but when the unchanged free fraction concn. of these compds. is maintained, a dosage elevation of IMI does not seem to be necessary after CBZ addn. to TCA therapy.

IT 350687-80-8

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmacokinetic interaction between imipramine and carbamazepine in patients with major depression)

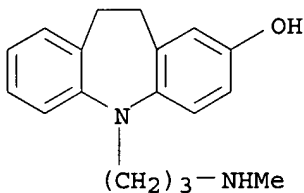
RN 350687-80-8 CAPLUS

CN 5H-Dibenz[b,f]azepin-2-ol, 10,11-dihydro-5-[3-(methylamino)propyl]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 1977-15-7

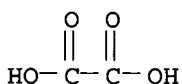
CMF C18 H22 N2 O



CM 2

CRN 144-62-7

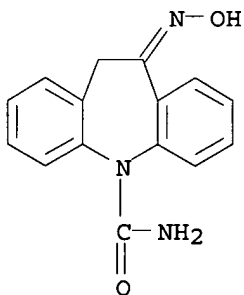
CMF C2 H2 O4



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/ 076,573

DOCUMENT NUMBER: 135:132297  
TITLE: Inhibition of glutamate release by BIA 2-093 and BIA 2-024, two novel derivatives of carbamazepine, due to blockade of sodium but not calcium channels  
AUTHOR(S): Ambrosio, A. F.; Silva, A. P.; Malva, J. O.; Soares-da-Silva, P.; Carvalho, A. P.; Carvalho, C. M.  
CORPORATE SOURCE: Center for Neuroscience of Coimbra, Department of Cell Biology, University of Coimbra, Coimbra, 3004-517, Port.  
SOURCE: Biochemical Pharmacology (2001), 61(10), 1271-1275  
CODEN: BCPA6; ISSN: 0006-2952  
PUBLISHER: Elsevier Science Inc.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB We investigated the mechanism(s) of action of two new putative antiepileptic drugs (AEDs), (S)-(-)-10-acetoxy-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-093) and 10,11-dihydro-10-hydroxyimino-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-024), by comparing their effects on the release of endogenous glutamate in hippocampal synaptosomes, with those of carbamazepine (CBZ) and oxcarbazepine (OXC). The AEDs inhibited the release of glutamate evoked by 4-aminopyridine (4-AP) or veratridine in a concn.-dependent manner, being CBZ more potent than the other AEDs. Using conditions of stimulation (30 mM KCl), where Na<sup>+</sup> channels are inactivated, the AEDs did not inhibit either the Ca<sup>2+</sup>-dependent or -independent release of glutamate. The results indicate that BIA 2-093 and BIA 2-024 have sodium channel-blocking properties, but CBZ and OXC are more potent than the new AEDs. Moreover, the present data also indicate that Ca<sup>2+</sup> channels coupled to the exocytotic release of glutamate and the activity of the glutamate transporter were not affected by the AEDs.  
IT 199997-15-4; BIA 2-024  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(inhibition of glutamate release by carbamazepine derivs. BIA 2-093 and BIA 2-024 due to blockade of sodium but not calcium channels)  
RN 199997-15-4 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 70 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2001:293197 CAPLUS  
DOCUMENT NUMBER: 136:226260  
TITLE: Metabolism of two new antiepileptic drugs and their principal metabolites S(+)- and R(-)-10,11-dihydro-10-hydroxy carbamazepine

AUTHOR(S): Hainzl, D.; Parada, A.; Soares-da-Silva, P.  
 CORPORATE SOURCE: Department of Research and Development, Laboratorios Bial, A Av. da Siderurgia Nacional, Mamede do Coronado, 4745-457, Port.  
 SOURCE: Epilepsy Research (2001), 44(2-3), 197-206  
 CODEN: EPIRE8; ISSN: 0920-1211  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB BIA 2-093 and BIA 2-059 are two stereoisomers under development as new antiepileptic drugs. They act as prodrugs for the corresponding hydroxy derivs. (S(+)- or R(-)-10,11-dihydro-10-hydroxy carbamazepine, resp.) which are known to be the active metabolites of the antiepileptic drug oxcarbazepine (OXC). The purpose of this study was to define the metabolic pathway esp. in terms of stereoselectivity, and to est. the possibility of racemization in humans. For in vivo studies, the rat, mouse and rabbit were chosen as models in order to cover a broad spectrum of metabolic activity. In addn., incubations with liver microsomes from these three species plus dog and monkey were compared to results obtained with human liver microsomes. It was found that both drugs were almost instantly hydrolyzed to the corresponding 10-hydroxy compds. in mice, rats and rabbits. Mice and rabbits were not able to oxidize the 10-hydroxy compds. to OXC in significant amts. In the rat, BIA 2-093 also gave origin to OXC, whereas BIA 2-059 resulted in the formation of OXC and the trans-diol metabolite in equal amts. It could be shown that the rat is able to reduce the formed OXC in liver to S(+)-10-hydroxy metabolite, resulting in a loss of enantiomeric purity after treatment with BIA 2-059 rather than in the case of BIA 2-093. Human liver microsomes hydrolyzed BIA 2-093 and BIA 2-059 to their corresponding 10-hydroxy compds. and to OXC in a very small extent with BIA 2-093 only. Therefore, BIA 2-093 and BIA 2-059 seem to be preferable drugs over OXC since they most likely exhibit a 'cleaner' metab. From a therapeutic point of view BIA 2-059 would be less appropriate than BIA 2-093 for the purpose of treating epileptic patients due to its propensity to undergo inactivation to the trans-diol.

IT 236395-14-5, BIA 2-093

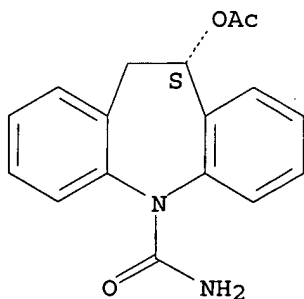
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(BIA 2-093; antiepileptic prodrugs BIA 2-093 and BIA 2-059 metab. in liver)

RN 236395-14-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro-, (10S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

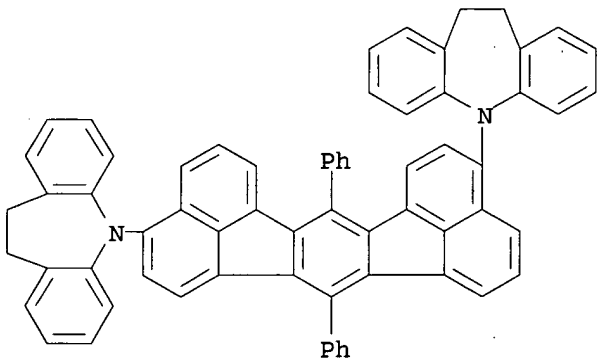


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/ 076,573

ACCESSION NUMBER: 2001:247437 CAPLUS  
DOCUMENT NUMBER: 134:273348  
TITLE: Organic electroluminescent device  
INVENTOR(S): Tagami, Sanae; Ikeda, Hidetsugu; Hosokawa, Chishio;  
Arakane, Takashi  
PATENT ASSIGNEE(S): Idemitsu Kosan Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 77 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023497	A1	20010405	WO 2000-JP6658	20000927
W: CN, IN, JP, KR RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1138745	A1	20011004	EP 2000-962882	20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2003054200	A1	20030320	US 2002-244164	20020916
PRIORITY APPLN. INFO.: JP 1999-279462 A 19990930 WO 2000-JP6658 W 20000927 US 2000-675201 A3 20000929				
AB	The invention refers to an org. electroluminescent device contg. a compd. with a fluoranthan skeleton and at least one substituted amine or alkenyl.			
IT	331965-35-6 RL: DEV (Device component use); USES (Uses) (org. electroluminescent device)			
RN	331965-35-6 CAPLUS			
CN	5H-Dibenz[b,f]azepine, 5,5'-(7,14-diphenylacenaphtho[1,2-k]fluoranthene- 3,10-diyl)bis[10,11-dihydro- (9CI) (CA INDEX NAME)			



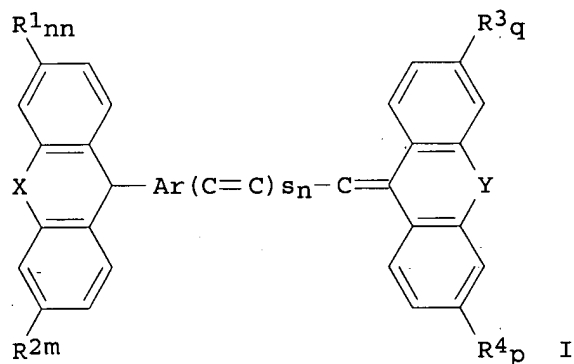
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L7 ANSWER 72 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2001:237908 CAPLUS  
DOCUMENT NUMBER: 134:252275  
TITLE: Preparation of triarylamine structure-containing  
trisubstituted ethylenes as charge-transporting agents  
INVENTOR(S): Sato, Tadahisa; Motogi, Masuji  
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
CODEN: JKXXAF

10/ 076,573

DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001089680	A2	20010403	JP 1999-268837	19990922
PRIORITY APPLN. INFO.:			JP 1999-268837	19990922
OTHER SOURCE(S):			MARPAT 134:252275	
GI				



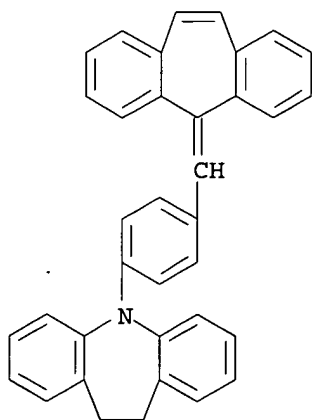
AB Title compds. I (X = single bond, C<sub>2</sub>H<sub>4</sub>; Y = single bond, C<sub>2</sub>H<sub>4</sub>, CH:CH; Ar = arylene; R<sub>1</sub>-R<sub>4</sub> = H, halo, alkyl, aryl, alkoxy, aryloxy, substituted amino; nn, m, p, q = 1-4; n = 0, 1) are prepd. as charge-transporting agents for electrophotog. photoreceptors or electroluminescent devices (no data). 5-[(4-Iodophenyl)methylene]-5H-dibenzo[a,d]cycloheptene (prepn. given) was treated with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of Cu and K<sub>2</sub>CO<sub>3</sub> in o-C<sub>6</sub>H<sub>4</sub>Cl<sub>2</sub> under reflux for 50 h to give 36.8% I (X = C<sub>2</sub>H<sub>4</sub>, Y = CH:CH, Ar = p-C<sub>6</sub>H<sub>4</sub>, R<sub>1</sub>-R<sub>4</sub> = H, n = 0).

IT 331663-98-0P

RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
(prepn. of triarylamine structure-contg. triarylethylenes as charge-transporting agents)

RN 331663-98-0 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidenemethyl)phenyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 73 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:216424 CAPLUS  
DOCUMENT NUMBER: 135:40884  
TITLE: Analysis of the muscarinic receptor subtype mediating inhibition of the neurogenic contractions in rabbit isolated vas deferens by a series of polymethylene tetra-amines  
AUTHOR(S): Budriesi, R.; Cacciaguerra, S.; Di Toro, R.; Bolognesi, M. L.; Chiarini, A.; Minarini, A.; Rosini, M.; Spampinato, S.; Tumiatti, V.; Melchiorre, C.  
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Bologna, Bologna, 40126, Italy  
SOURCE: British Journal of Pharmacology (2001), 132(5), 1009-1016  
CODEN: BJPCBM; ISSN: 0007-1188  
PUBLISHER: Nature Publishing Group  
DOCUMENT TYPE: Journal  
LANGUAGE: English

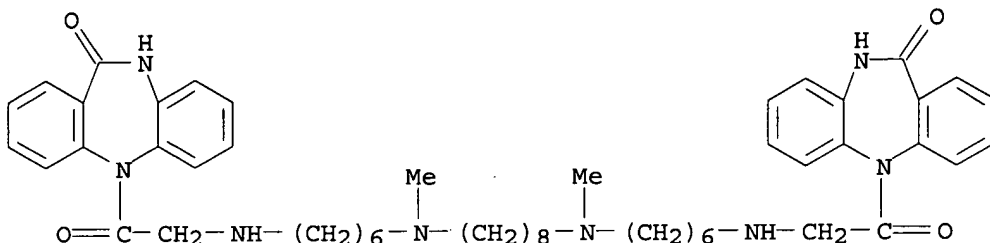
AB The pharmacol. characteristics of the presynaptic muscarinic receptor subtype, which mediates inhibition of the neurogenic contractions in the prostatic portion of rabbit vas deferens, have been investigated by using a series of polymethylene tetra-amines, which were selected for their ability to differentiate among muscarinic receptor subtypes. It was found that all tetra-amines antagonized McN-A-343-induced inhibition in elec. stimulated rabbit vas deferens in a competitive manner and with affinity values (pA<sub>2</sub>) ranging between 6.27.+-0.09 (spirotramine) and 8.51.+-0.02 (AM170). Competition radioligand binding studies, using native muscarinic receptors from rat tissues (M1, cortex; M2, heart; M3, submaxillary gland) or from NG 108-15 cells (M4) and human cloned muscarinic M1-M4 receptors expressed in CHO-K1 cells, were undertaken with the same tetra-amines employed in functional assays. All antagonists indicated a one-site fit. The affinity ests. (pK<sub>i</sub>) of tetra-amines calcd. in binding assays using native receptors were similar to those obtained using cloned receptors. Among these compds. some displayed selectivity between muscarinic receptor subtypes, indicating that they may be valuable tools in receptor characterization. Spirotramine was selective for M1 receptors vs. all other subtypes (pK<sub>i</sub> native: M1, 7.32.+-0.10; M2, 6.50.+-0.11; M3, 6.02.+-0.13; M4, 6.28.+-0.16; pK<sub>i</sub> cloned: M1, 7.69.+-0.08; M2, 6.22.+-0.14; M3, 6.11.+-0.16; 6.35.+-0.11) whereas CC8 is highly selective for M2 receptors vs. the other subtypes (pK<sub>i</sub> native: M1, 7.50.+-0.04; M2, 9.01.+-0.12; M3, 6.70.+-0.08; M4, 7.56.+-0.04; pK<sub>i</sub> cloned: M1, 7.90.+-0.20; M2, 9.04.+-0.08; M3, 6.40.+-0.07; M4, 7.40.+-0.04). Furthermore, particularly relevant for this investigation were tetra-amines dipitramine and AM172 for their ability to significantly differentiate M1 and M4 receptors. Thlle apparent affinity values (pA<sub>2</sub>) obtained for tetra-amines in functional studies using the prostatic portion of rabbit vas deferens correlated most closely with the values (pK<sub>i</sub>) obtained at either native or human recombinant muscarinic M4 receptors. This supports the view that the muscarinic receptor mediating inhibition of neurogenic contractions of rabbit vas deferens may not belong to the M1 type but rather appears to be of the M4 subtype.

IT 214751-07-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(anal. of muscarinic receptor subtype mediating inhibition of neurogenic contractions in rabbit isolated vas deferens by a series of polymethylene tetra-amines)

RN 214751-07-2 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,5'-(10,19-dimethyl-1,28-dioxo-3,10,19,26-tetraazaocacosane-1,28-diyl)bis[5,10-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 74 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:167774 CAPLUS  
 DOCUMENT NUMBER: 134:207730  
 TITLE: Preparation of N-aminoacyldibenzazepines and analogs as defibrillating agents  
 INVENTOR(S): Erez, Mordechai; Levy, Ofra; Keinan, Ehud  
 PATENT ASSIGNEE(S): Technion Research and Development Foundation Ltd., Israel  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001015656	A2	20010308	WO 2000-IL510	20000827
WO 2001015656	A3	20010830		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IL 1999-131685 A 19990901

OTHER SOURCE(S): MARPAT 134:207730

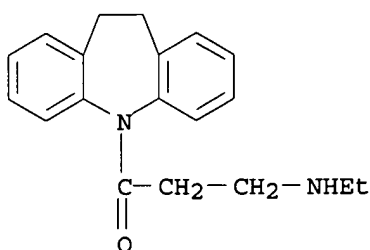
AB RR1 [I; R = (un)substituted 10,11-dihydro-5H-dibenz[b,f]azepin-5-yl; R1 = (un)satd. alkyl, amino-alc. (sic), diamino (sic), cycloalkyl, CO(CH2)nNR'R'', (CH2)nCH(OH)CH2NR'R''; R',R'' = H, halogen (sic), OH, alkyl, etc.] were prepd. Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was N-acylated by ClCH2CH2COCl to give I [R = 10,11-dihydro-5H-dibenz[b,f]azepin-5-yl, R1 = COCH2CH2R2] (II; R2 = Cl) which was converted to, e.g., II.HCl (R2 = Me). Data for biol. activity of I were given.

IT 328405-82-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-aminoacyldibenzazepines and analogs as defibrillating agents)

RN 328405-82-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[3-(ethylamino)-1-oxopropyl]-10,11-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L7 ANSWER 75 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:132748 CAPLUS

DOCUMENT NUMBER: 134:178816

TITLE: Preparation of amino acid derivatives as pharmaceuticals for treatment of neurological and neuropsychiatric disorders

INVENTOR(S): Ognyanov, Vassil Iliya; Borden, Laurence A.; Bell, Stanley Charles; Zhang, Jing

PATENT ASSIGNEE(S): Allelix Neuroscience Inc., USA

SOURCE: U.S., 52 pp., Cont.-in-part of U. S. Ser. No.656,063, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6191165	B1	20010220	US 1997-866007	19970530
US 2001012857	A1	20010809	US 2001-757011	20010109
PRIORITY APPLN. INFO.:				
			US 1996-41503P	P 19960531
			US 1996-41504P	P 19960531
			US 1996-655912	B2 19960531
			US 1996-656063	B2 19960531
			US 1997-44387P	P 19970227
			US 1997-70900P	P 19970227
			US 1997-808754	B2 19970227
			US 1997-808755	A2 19970227
			US 1997-807682	A2 19970228
			US 1997-866007	A3 19970530

OTHER SOURCE(S): MARPAT 134:178816

AB Amino acid derivs. R<sub>2</sub>R<sub>x</sub>R<sub>y</sub>XR<sub>1</sub>NR<sub>3</sub>(R<sub>3</sub>\*)nCR<sub>4</sub>R<sub>4</sub>\*R<sub>5</sub> [X = N, C (R<sub>2</sub> not present when X = N); R<sub>2</sub> = H, alkyl, alkoxy, cyano, alkanoyl, etc.; R<sub>x</sub>, R<sub>y</sub> = aryl, heteroaryl, adamantyl, or nonarom. ring linked to X via a single bond, alkylene, etc.; R<sub>1</sub> = alkylene, iminoxyethylene, etc.; R<sub>3</sub> = H, alkyl, (un)substituted Ph or phenylalkyl, etc.; R<sub>3</sub>\* = alkyl, O; n = 0, 1; R<sub>4</sub>, R<sub>4</sub>\* = H, alkyl, hydroxyalkyl; R<sub>5</sub> = (un)substituted carbamoyl, carboxy, aminosulfonyl, phosphoryl, etc.] were prepd. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders. Thus, N-(4,4-diphenyl-3-butenyl)glycine Et ester was by alkylation of glycine Et ester hydrochloride with 4-bromo-1,1-diphenyl-1-butene. Binding assays to measure interaction of compds. with the glycine site on the NMDA receptor are illustrated.

IT 200005-20-5P

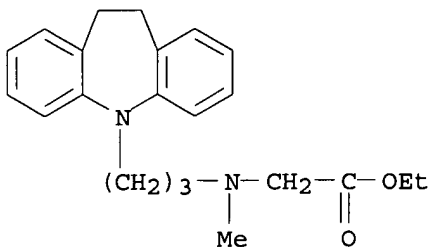
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

RN 200005-20-5 CAPLUS

CN Glycine, N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-N-methyl-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 76 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:115130 CAPLUS

DOCUMENT NUMBER: 134:178474

TITLE: Preparation of oxobenzazepinealkanoates and analogs as integrin receptor antagonists

INVENTOR(S): Kling, Andreas; Geneste, Herve; Lange, Udo; Lauterbach, Arnulf; Graef, Claudia Isabella; Subkowski, Thomas; Holzenkamp, Uta; Mack, Helmut; Sadowski, Jens; Hornberger, Wilfried; Laux, Volker

PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

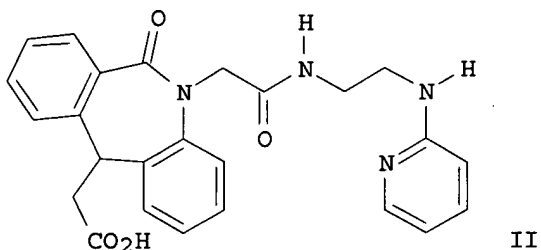
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010847	A2	20010215	WO 2000-EP7440	20000801
WO 2001010847	A3	20011101		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
DE 19936780	A1	20010215	DE 1999-19936780	19990809
EP 1202988	A2	20020508	EP 2000-958347	20000801
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
BR 2000013265	A	20020514	BR 2000-13265	20000801
JP 2003506441	T2	20030218	JP 2001-515313	20000801
BG 106395	A	20021229	BG 2002-106395	20020206
NO 2002000644	A	20020318	NO 2002-644	20020208
PRIORITY APPLN. INFO.:			DE 1999-19936780 A	19990809
			WO 2000-EP7440 W	20000801

10/ 076,573

OTHER SOURCE(S) :  
GI

MARPAT 134:178474



AB RZZ1R1 [I; R = group contg, .gtoreq.1 non-H H-bonding atom; R1 = CO2H, or group hydrolizable to CO2H; Z = e.g., (hetero)annulated 2-oxo-1-benzazepin-1,5-diyl; Z1 = bond, (un)substituted NHCH2, -OCH2, -alkylene, -CH:CH, etc.] were prepd. Thus, Me 11-methoxycarbonylmethyl-6-oxo-6,11-dihydro-5H-dibenz[b,e]azepine-5-acetate (prepn. given) was amidated by N-(2-aminoethyl)pyridine-2-amine to give, after sapon., title compd. II. Data for biol. activity of I were given.

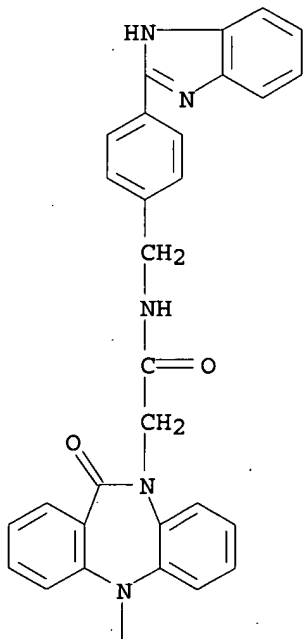
IT 326399-88-6P

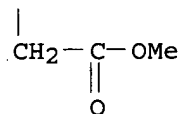
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of oxobenzazepinealkanoates and analogs as integrin receptor antagonists)

RN 326399-88-6 CAPLUS

CN 5H-Dibenzo[b,e][1,4]diazepine-5-acetic acid, 10-[2-[[[4-(1H-benzimidazol-2-yl)phenyl]methyl]amino]-2-oxoethyl]-10,11-dihydro-11-oxo-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A





L7 ANSWER 77 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:112009 CAPLUS

DOCUMENT NUMBER: 134:285537

TITLE: Behavior of Tricyclic Antidepressants in Aqueous Solution: Self-Aggregation and Association with .beta.-Cyclodextrin

AUTHOR(S): Junquera, E.; Romero, J. C.; Aicart, E.

CORPORATE SOURCE: Departamento de Quimica Fisica I Facultad de Ciencias Quimicas, Universidad Complutense, Madrid, 28040, Spain

SOURCE: Langmuir (2001), 17(6), 1826-1832

CODEN: LANGD5; ISSN: 0743-7463

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Cond. measurements have been carried out to study the behavior of the aq. solns. of three tricyclic antidepressant drugs (TCAs), imipramine, desipramine, and amitriptyline hydrochlorides, in the absence and in the presence of .beta.-cyclodextrin (.beta.-CD) at 25.degree.C. The TCAs studied herein have been found to show an aggregation behavior in aq. soln. A model has been proposed to det. the aggregation no. of small aggregates from cond. measurements. Several parameters, such as the aggregation no.,  $N_{ag}$ , the crit. aggregation concn.,  $cac$ , and the dissocn. degree of the aggregates, .beta., have been detd. In the presence of .beta.-CD, the TCAs form inclusion complexes with 1:1 stoichiometries and binding const. in the range of 1500-3000 M<sup>-1</sup>. The ionic molar conductivities of the TCA<sup>+</sup> ion, free in soln., .lambda.TCA<sup>0+</sup>, assocd. with the .beta.-CD, .lambda.CD/TCA<sup>0+</sup>, and self-aggregated, .lambda.ag<sup>0</sup>, have been calcd. as well. The effect of .beta.-CD on the aggregation behavior of the drugs has been evaluated by detg. the apparent crit. aggregation concn.,  $cac^*$  (the  $cac$  for the ternary .beta.-CD/TCA/H<sub>2</sub>O systems), and the dissocn. degree. Complementary measurements of pH, UV-vis, and fluorescence as well as a preliminary simulation of the complexes from manual docking studies were done to support some evidence.

IT 333780-87-3

RL: FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)

(self-aggregation and assocn. with .beta.-cyclodextrin of tricyclic antidepressants in aq. soln.)

RN 333780-87-3 CAPLUS

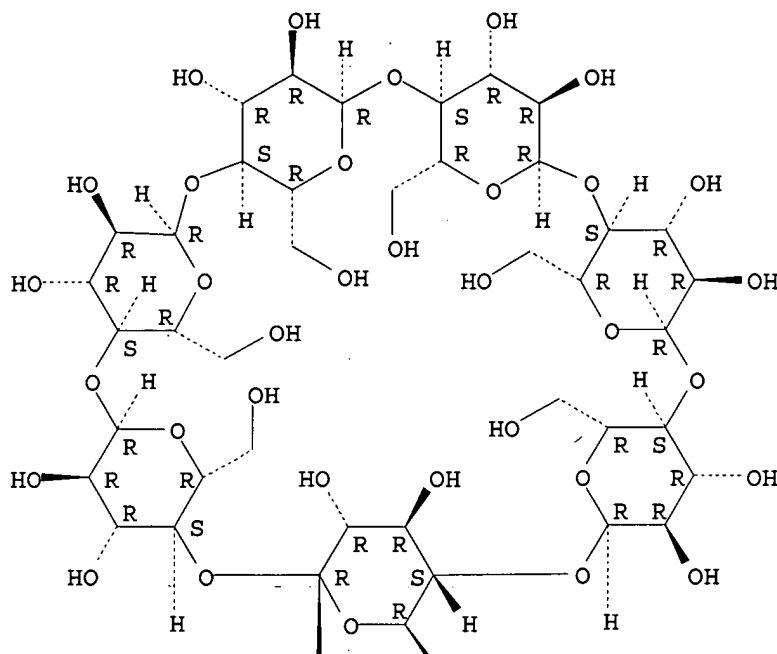
CN .beta.-Cyclodextrin, compd. with 10,11-dihydro-N-methyl-5H-dibenz[b,f]azepine-5-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 7585-39-9

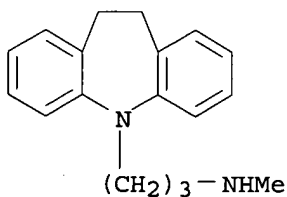
CMF C42 H70 O35

Absolute stereochemistry.



CM 2

CRN 50-47-5  
CMF C18 H22 N2



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 78 OF 200 CAPLUS COPYRIGHT 2003 ACS

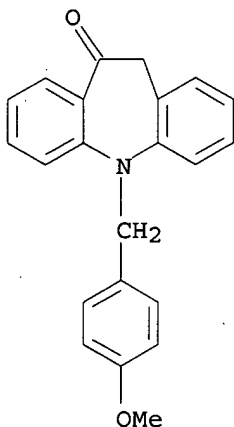
ACCESSION NUMBER: 2001:47292 CAPLUS

DOCUMENT NUMBER: 134:266193

TITLE: New synthesis of oxcarbazepine via remote metalation of protected N-(ortho-tolyl)anthranilamide derivatives  
 AUTHOR(S): Lohse, O.; Beutler, U.; Funfschilling, P.; Furet, P.; France, J.; Kaufmann, D.; Penn, G.; Zaugg, W.

10/ 076,573

CORPORATE SOURCE: Novartis Pharma AG, Chemical and Analytical  
Development, Basel, CH-4002, Switz.  
SOURCE: Tetrahedron Letters (2001), 42(3), 385-389  
CODEN: TELEAY; ISSN: 0040-4039  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 134:266193  
AB Benzyl- and allyl-protected N-tol-2-ylanthranilamides were efficiently  
prepd. by Buchwald-Hartwig C-N cross coupling reactions, followed by  
protection of the amino group. Under directed remote metalation  
conditions, protected dibenzoazepinones were obtained in good yields.  
Deprotection of the amine and conversion to an urea furnished a new and  
efficient synthesis of the antiepileptic drug Trileptal.  
IT **332081-68-2P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. of oxcarbazepine via remote metalation of protected  
N-tolyanthranilamides)  
RN 332081-68-2 CAPLUS  
CN 10H-Dibenz[b,f]azepin-10-one, 5,11-dihydro-5-[(4-methoxyphenyl)methyl]-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 79 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2001:31490 CAPLUS  
DOCUMENT NUMBER: 134:100776  
TITLE: Preparation of 5H-dibenz[b,f]azepines for  
pharmaceutical use as selective M2 muscarinic receptor  
antagonists  
INVENTOR(S): Terni, Patrizia Maria Luisa; Mandelli, Giacomina  
Roberta; Maiorana, Stefano; Imbimbo, Bruno Pietro  
PATENT ASSIGNEE(S): Mediolanum Farmaceutici S.p.A., Italy  
SOURCE: PCT Int. Appl., 48 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002386	A1	20010111	WO 2000-EP6020	20000628

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

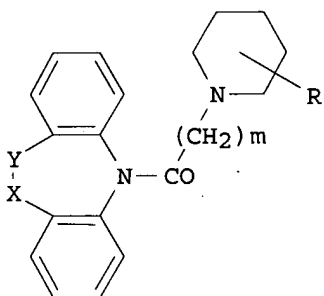
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

IT 99MI1452 A1 20010102 IT 1999-MI1452 19990701

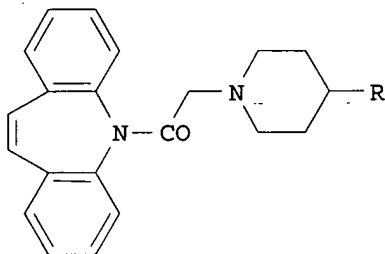
PRIORITY APPLN. INFO.: IT 1999-MI1452 A 19990701

OTHER SOURCE(S): MARPAT 134:100776

GI



I



II

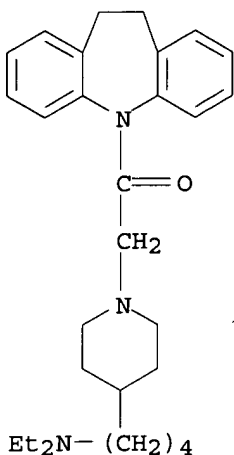
AB 5H-dibenzo[b,f]azepines, such as I [R = (CH<sub>2</sub>)<sub>n</sub>NR<sub>1</sub>R<sub>2</sub>; R<sub>1</sub> = H, Ph, benzyl, phenethyl, alkyl, etc.; R<sub>2</sub> = Ph, benzyl, phenethyl, alkyl, etc.; XY = CH<sub>2</sub>-CH<sub>2</sub>, CH=CH, CH=CR<sub>3</sub>; R<sub>3</sub> = OH, OPh, alkoxy; n, m = 1 - 10], were prepd. for use as selective M<sub>2</sub> muscarinic receptor antagonists and can be used in the treatment of cardiovascular disorders, particularly bradycardias and bradyarrhythmias and in the treatment of cognitive disorders such as Alzheimer's disease. Thus, 5H-dibenzo[b,f]azepine II [R = (CH<sub>2</sub>)<sub>4</sub>NEt<sub>2</sub>] was prepd. via a multistep synthetic sequence starting from 1-benzyl-4-piperidone, tri-Et 4-phosphonocrotonate, and 5-(chloroacetyl)-5H-dibenz[b,f]azepine. The prepd. 5H-dibenzo[b,f]azepines were tested for muscarinic receptor binding affinity and were found to have selectivity for the M<sub>2</sub> receptor.

IT 316363-32-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 5H-dibenz[b,f]azepines for pharmaceutical use as selective M<sub>2</sub> muscarinic receptor antagonists)

RN 316363-32-3 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[[4-[4-(diethylamino)butyl]-1-piperidinyl]acetyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 80 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:15514 CAPLUS

DOCUMENT NUMBER: 134:204940

TITLE: Efficacies of lipophilic inhibitors of dihydrofolate reductase against parasitic protozoa

AUTHOR(S): Lau, Hollis; Ferlan, Jill T.; Brophy, Victoria Hertle; Rosowsky, Andre; Sibley, Carol Hopkins

CORPORATE SOURCE: Department of Genetics, University of Washington, Seattle, WA, 98195-7360, USA

SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(1), 187-195

CODEN: AMACQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Competitive inhibitors of dihydrofolate reductase (DHFR) are used in chemotherapy or prophylaxis of many microbial pathogens, including the eukaryotic parasites *Plasmodium falciparum* and *Toxoplasma gondii*. Unfortunately, point mutations in the DHFR gene can confer resistance to inhibitors specific to these pathogens. We have developed a rapid system for testing inhibitors of DHFRs from a variety of parasites. We replaced the DHFR gene from the budding yeast *Saccharomyces cerevisiae* with the DHFR-coding region from humans, *P. falciparum*, *T. gondii*, *Pneumocystis carinii*, and bovine or human-derived *Cryptosporidium parvum*. We studied 84 dicyclic and tricyclic 2,4-diaminopyrimidine derivs. in this heterologous system and identified those most effective against the DHFR enzymes from each of the pathogens. Among these compds., six tetrahydroquinazolines were effective inhibitors of every strain tested, but they also inhibited the human DHFR and were not selective for the parasites. However, two quinazolines and four tetrahydroquinazolines were both potent and selective inhibitors of the *P. falciparum* DHFR. These compds. show promise for development as antimalarial drugs.

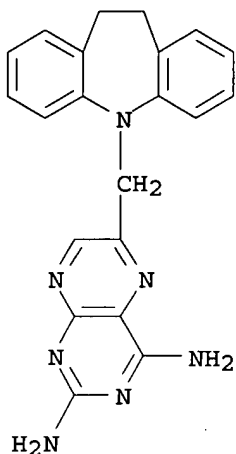
IT 251658-84-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(efficacies of lipophilic inhibitors of dihydrofolate reductase against parasitic protozoa)

RN 251658-84-1 CAPLUS

CN 2,4-Pteridinediamine, 6-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)methyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 81 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:865069 CAPLUS

DOCUMENT NUMBER: 134:25384

TITLE: Sphingomyelinase inhibitor compositions and therapeutic use

INVENTOR(S): Deigner, Hans-Peter; Meisner, Michael; Kinscherf, Ralf; Bibak, Nilofar

PATENT ASSIGNEE(S): Universitat Heidelberg, Germany; Friedrich-Schiller-Universitat Jena

SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19924148	A1	20001207	DE 1999-19924148	19990526
WO 2000072833	A2	20001207	WO 2000-EP4738	20000524
WO 2000072833	A3	20010525		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1207886 A2 20020529 EP 2000-927242 20000524

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

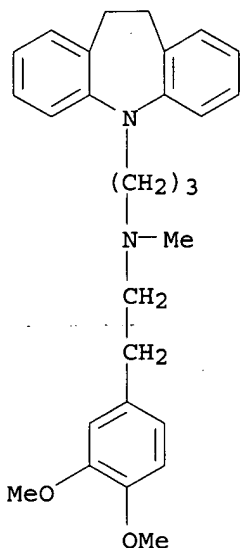
PRIORITY APPLN. INFO.: DE 1999-19924148 A 19990526  
WO 2000-EP4738 W 20000524

OTHER SOURCE(S): MARPAT 134:25384

AB The invention discloses pharmaceutical compns. with antiapoptotic and antiseptic effects, which can be used as sphingomyelinase inhibitors. The pharmaceutical compns. of the invention are particularly useful for the treatment of sepsis, arteriosclerosis, neurodegenerative illnesses (e.g.

10/ 076,573

Alzheimer's disease), and retroviral (e.g. HIV) infections.  
IT 311332-81-7  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(sphingomyelinase inhibitor compns. and therapeutic use)  
RN 311332-81-7 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-propanamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-10,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 82 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2000:823175 CAPLUS  
DOCUMENT NUMBER: 133:367675  
TITLE: Organic electroluminescent devices  
INVENTOR(S): Sato, Tadahisa; Hara, Shintaro  
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan; Matsushita Electric Industrial Co., Ltd.  
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000323281	A2	20001124	JP 1999-135920	19990517
PRIORITY APPLN. INFO.:			JP 1999-135920	19990517
OTHER SOURCE(S):		MARPAT 133:367675		

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The devices comprise a hole transport layer comprising I, II, III, IV or V

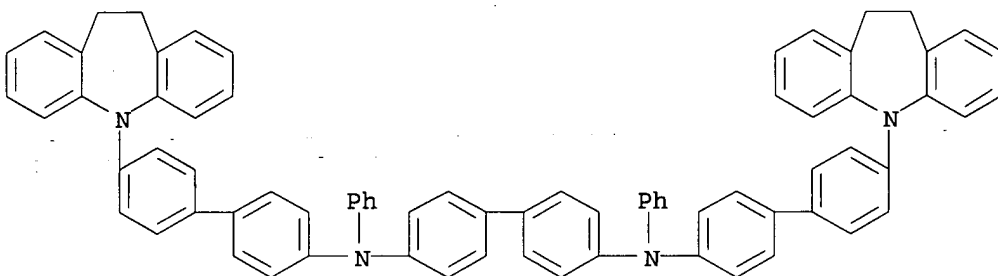
(A1-9, B1-9, C1-9 = (substituted) ethylene, (substituted) vinylene, (substituted) o-arylene; Ar1-5 = (substituted) arom. hydrocarbon, (substituted) arom. heterocyclic hydrocarbon; a, b, c = 1-4; d = 0 - 2; Ar6-8 = Ar1-5 when Y = N; Ar6-8 = (substituted) benzene ring when Y = 1,3,5-benzenetolyl; e, f, g = 1-3; Ar9 = Ar1-5 except benzene ring, (substituted) polyaryl methane; h = 1-4; Ar10,11 = Ar1-5; i, k = 1-4; j .gtoreq. 1; Z = 1-4 valent group of arom. ring, arom. heterocyclic, triarylamine, polyarylethane; m = 1-4; l .gtoreq. 1; n = 1-4).

IT 307531-12-0

RL: DEV (Device component use); USES (Uses)  
(org. electroluminescent devices)

RN 307531-12-0 CAPLUS

CN [1,1'-Biphenyl]-4,4'-diamine, N,N'-bis[4'-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)[1,1'-biphenyl]-4-yl]-N,N'-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 83 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:788179 CAPLUS

DOCUMENT NUMBER: 134:86143

TITLE: Synthesis of new cardioselective M2 muscarinic  
receptor antagonists

AUTHOR(S): Mandelli, Giacomina R.; Maiorana, Stefano; Terni,  
Patrizia; Lamperti, Giuseppina; Colibretti, Maria  
Luisa; Imbimbo, Bruno P.

CORPORATE SOURCE: Research and Development Department, Mediolanum  
Farmaceutici, Milan, 20143, Italy

SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(11),  
1611-1622

CODEN: CPBTAL; ISSN: 0009-2363

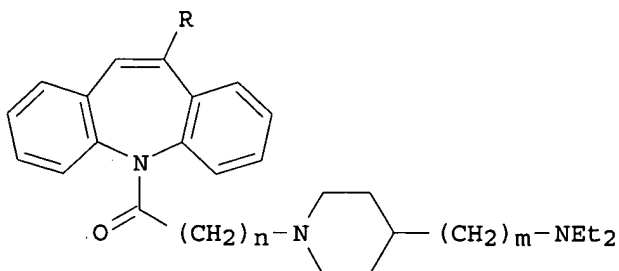
PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:86143

GI



AB A series of 5H-dibenz[b,f]azepines, e.g. I (R = H, MeO, EtO, BuO, PhO; n = 1, 5, 9; m = 2, 4, 7), was prepd. and evaluated for binding affinities to muscarinic receptors in vitro. Among them, compd. I (R = H; n = 1; m = 4) (II) showed a high affinity for human recombinant M2 receptors ( $K_i=2.6$  nM), a low affinity for M4 receptors (39-fold less than for M2 receptors) and a very low affinity for M1 and M3 receptors (119- and 112-fold less than for M2 receptors, resp.). This high M2 selectivity may be attributed to the olefinic bond of the azepine ring. Functional expts. showed II to be a competitive antagonist with high affinity to the cardiac ( $pA_2=7.1$ ) and low affinity to the intestinal muscarinic receptors ( $IC_{50}=0.54$  . $\mu$ M). In vivo expts. confirmed the in vitro M2 selectivity of II.

Acetylcholine-induced bradycardia was dose-dependently antagonized in rats after both i.v. and intraduodenal administration of II. In rats, cholinergic functions mediated by M1 or M3 receptors (salivary secretion, pupil diam., gastric emptying, intestinal transit time) were not affected by the oral administration of II even at doses as high as 30 times the antibradycardic ED. Furthermore, II had no analgesic activity in mice, indicating poor central nervous system penetration. In dogs, nocturnal bradycardia was dose-dependently inhibited by the oral route with a duration of action of about 24 h. Compd. II appears to be a promising cardioselective antimuscarinic agent for the treatment of dysfunctions of the cardiac conduction system such as sinus or nodal bradycardia ("sick-sinus syndrome") and atrioventricular block.

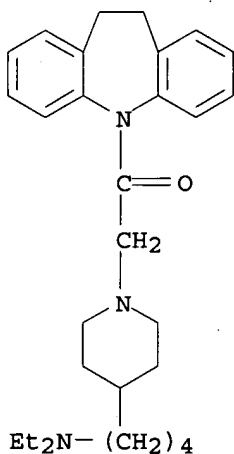
IT 316363-32-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and biol. evaluation of N-substituted dibenzazepines as cardioselective M2 muscarinic receptor antagonists)

RN 316363-32-3 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[[4-[4-(diethylamino)butyl]-1-piperidinyl]acetyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 84 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:772618 CAPLUS

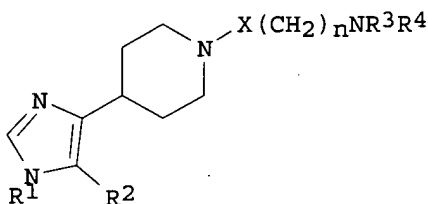
DOCUMENT NUMBER: 133:321883

TITLE: Preparation of piperidylimidazole derivatives useful in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor

INVENTOR(S): Dorwald, Florencio Zaragoza; Andersen, Knud Erik; Jorgensen, Tine Krogh; Wulff, Birgitte Schjellerup;

Pettersson, Ingrid  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim  
 International, G.m.b.H.  
 SOURCE: PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064884	A1	20001102	WO 2000-DK186	20000414
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			DK 1999-565	A 19990426
OTHER SOURCE(S):		MARPAT 133:321883		
GI				



AB Piperidylimidazole derivs. I [R1 = H, functional group; R2 = H, cyano, halo, alkyl; X = CO, CS, CH2; n = 0-10; R3, R4 = cycloalkyl, heteroaryl, etc.], useful in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor, were prepd. E.g., reaction of 4-(4-piperidyl)imidazole dihydrochloride with 5-(3-chloropropyl)-10,11-dihydro-5H-dibenzo[b,f]azepine in presence of potassium carbonate and potassium iodide gave 5-(3-(4-(1H-imidazol-4-yl)piperidin-1-yl)propyl)-10,11-dihydro-5H-dibenzo[b,f]azepine. The affinity of I for histamine H3 receptors was detd.

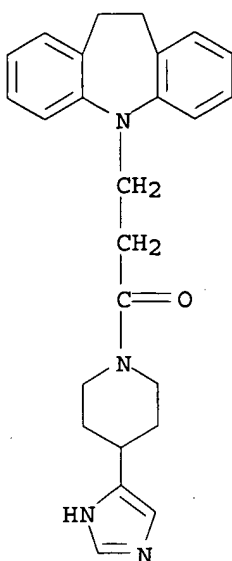
IT 302919-83-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperidylimidazole derivs. useful in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor)

RN 302919-83-1 CAPLUS

CN Piperidine, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-1-oxopropyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 85 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:756707 CAPLUS

DOCUMENT NUMBER: 133:321874

TITLE: Preparation of malonic acid derivatives useful in the treatment and/or prevention of conditions mediated by Peroxisome Proliferator-Activated Receptors

INVENTOR(S): Jeppesen, Lone; Sauerberg, Per; Murray, Anthony; Bury, Paul Stanley

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

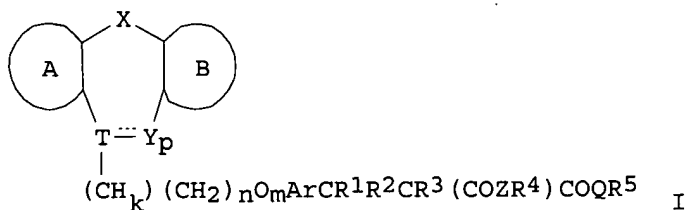
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063209	A1	20001026	WO 2000-DK191	20000417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000039581	A5	20001102	AU 2000-39581	20000417
EP 1171438	A1	20020116	EP 2000-918726	20000417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002542246	T2	20021210	JP 2000-612299	20000417
US 2002010171	A1	20020124	US 2001-878670	20010611
US 6534517	B2	20030318		

PRIORITY APPLN. INFO.:

DK 1999-535 A 19990420  
WO 2000-DK191 W 20000417

OTHER SOURCE(S):  
GI

MARPAT 133:321874



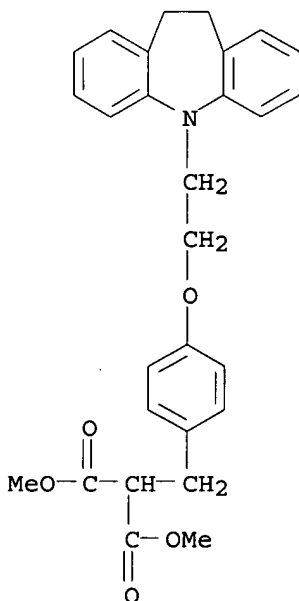
AB The title compds. I [ring A and ring B, fused to the ring contg. X and T, independently of each other represents a 5-6 membered cyclic ring, optionally substituted; T is N or CR14; Y is C, O, S, CO, SO, SO2, NR11; k = 1, 2; Ar = arylene, heteroarylene, divalent heterocyclic group; R1 = H, OH, halo, alkoxy, etc.; R2 = H, OH, alkyl, alkynyl, etc.; R3 = H, OH, alkyl, etc.; R4 = H, alkenyl, aryl, etc.; R5 = H, alkyl, heteroaryl, etc.; Z = O, NR12; Q = O, NR13; n = 0-3; m = 0-1; p = 0-1], useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR), were prepd. E.g., 2-[4-(2-.beta.-carbolin-9-yl-ethoxy)benzyl]malonic acid hydrochloride was prepd.

IT 302589-16-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of malonic acid derivs. useful in the treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors)

RN 302589-16-8 CAPLUS

CN Propanedioic acid, [[4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



10/ 076,573

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 86 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:756706 CAPLUS

DOCUMENT NUMBER: 133:321882

TITLE: Preparation of substituted fused imidazoles for  
treatment and/or prevention of diseases and disorders  
related to the histamine H3 receptor

INVENTOR(S): Dorwald, Florencio Zaragoza; Andersen, Knud Erik;  
Jorgensen, Tine Krogh; Peschke, Bernd; Wulff, Birgitte  
Schjellerup; Pettersson, Ingrid; Rudolf, Klaus;  
Stenkamp, Dirk; Hurnaus, Rudolf; Muller, Stephan  
Georg; Krist, Bernd

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim  
International, G.m.b.H.

SOURCE: PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

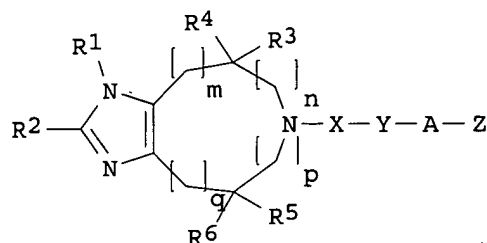
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

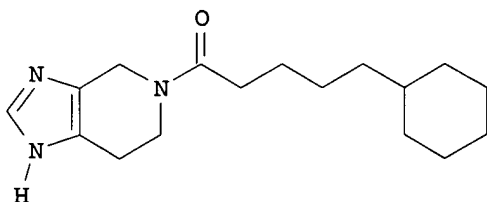
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063208	A1	20001026	WO 2000-DK179	20000413
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1173438	A1	20020123	EP 2000-918714	20000413
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2002542245	T2	20021210	JP 2000-612298	20000413
PRIORITY APPLN. INFO.:			DK 1999-508	A 19990416
			DK 1999-1345	A 19990922
			DK 2000-42	A 20000112
			WO 2000-DK179	W 20000413

OTHER SOURCE(S): MARPAT 133:321882

GI



I



II

AB The title compds. [I; R1 = H, a functional group which can be converted to H in vivo; R2 = H, alkyl, halo, etc.; R3-R6 = H, CO2H, alkoxycarbonyl, etc.; m, n, p, q = 0-2; X = a bond, CH2, CO, etc.; Y = a bond, O, NR12 (R12 = H, alkyl, aryl, etc.); A = a bond, alkylene, alkenylene, etc.; Z = R13, OR13, SR13, etc. (R13 = H, alkyl, aryl, etc.)], useful for the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor (more particularly, useful for the treatment and/or prevention of diseases and disorders, in which an interaction with the histamine H3 receptor is beneficial), were prepd. and formulated. E.g., treatment of 5-cyclohexylpentanoic acid with carbonyldiimidazole in DCM followed by addn. of 4,5,6,7-tetrahydroimidazo[4,5-c]pyridine in DCM afforded 24% II. Compds. I are effective at 0.05-10 mg/kg/day.

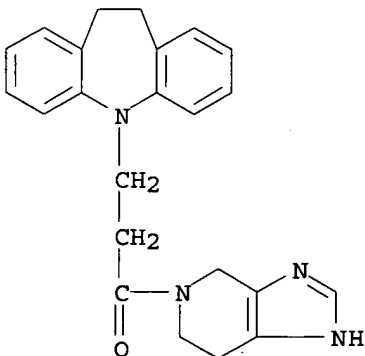
IT 303019-87-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted fused imidazoles for treatment and/or prevention of diseases and disorders related to the histamine H3 receptor)

RN 303019-87-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 5-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-1-oxopropyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

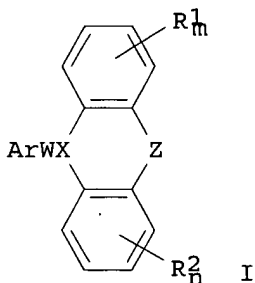


## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 87 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:725613 CAPLUS  
 DOCUMENT NUMBER: 133:296425  
 TITLE: Preparation of compounds as inhibitors of dihydrofolatereductase  
 INVENTOR(S): Rosowsky, Andre  
 PATENT ASSIGNEE(S): Dana-Farber Cancer Institute, Inc., USA  
 SOURCE: PCT Int. Appl., 59 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059884	A1	20001012	WO 2000-US1968	20000125
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1154997	A1	20011121	EP 2000-907039	20000125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002541144	T2	20021203	JP 2000-609396	20000125
PRIORITY APPLN. INFO.:			US 1999-117321P	P 19990126
			WO 2000-US1968	W 20000125
OTHER SOURCE(S):		MARPAT 133:296425		
GI				



AB Compds. I [Ar = aryl, heteroaryl; W = bond, amino, alkylene, aminoalkylene; X = N, C; Z = bond, methylene, ethylene, etc.; R1, R2 = halo, amino, OH, NO2, etc.; m, n = 0, 4], inhibitors of dihydrofolatereductase and useful for the treatment or prophylaxis of diseases assocd. with parasitic infection such as toxoplasmosis, cryptosporidiosis, leishmaniasis, and malaria. E.g., addn. of NaH to a soln. of Ph2NH and 2,4-diamino-6-bromomethylpteridine hydrobromide gave 54% N-(2,4-diaminopteridin-6-yl)methyl-N,N-diphenylamine.

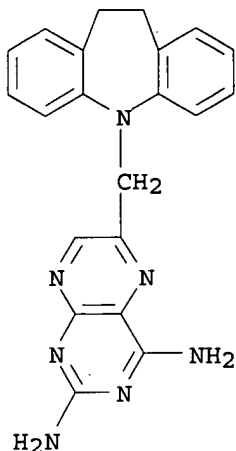
IT 251658-84-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of compds. as inhibitors of dihydrofolate reductase)

RN 251658-84-1 CAPLUS

CN 2,4-Pteridinediamine, 6-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)methyl]-

(9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 88 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:708002 CAPLUS

DOCUMENT NUMBER: 134:29374

TITLE: Synthesis of 2,4-diaminopyrido[2,3-d]pyrimidines and 2,4-diaminoquinazolines with bulky dibenz[b,f]azepine and dibenzo[a,d]-cycloheptene substituents at the 6-position as inhibitors of dihydrofolate reductase from *Pneumocystis carinii*, *Toxoplasma gondii*, and *Mycobacterium avium*

AUTHOR(S): Rosowsky, Andre; Fu, Hongning; Queener, Sherry F.  
CORPORATE SOURCE: Dana-Farber Cancer Institute and the Department of

Biological Chemistry and Molecular Pharmacology, Harvard Medical School, Boston, MA, 02115, USA

SOURCE: Journal of Heterocyclic Chemistry (2000), 37(4), 921-926

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:29374

AB The synthesis of four previously undescribed 2,4-diaminopyrido[2,3-d]pyrimidines and 2,4-diaminoquinazolines with a bulky tricyclic arom. group at the 6-position is described. Condensation of dibenz[b,f]azepine with 2,4-diamino-6-bromomethylpyrido[2,3-d]pyrimidine and 2,4-diamino-6-bromomethylquinazoline in the presence of sodium hydride afforded N-[(2,4-diaminopyrido[2,3-d]-pyrimidin-6-yl)methyl]dibenz[b,f]azepine and N-[(2,4-diaminoquinazolin-6-yl)methyl]dibenz[b,f]azepine, resp. Condensation of 5-chlorodibenzo[a,d]cycloheptene and 5-chloro-10,11-dihydrodibenzo[a,d]cycloheptene with 2,4,6-triaminoquinazoline (13) afforded 5-[(2,4-diaminoquinazolin-6-yl)amino]-5H-dibenzo[a,d]cycloheptene and the corresponding 10,11-dihydro deriv., resp. The bromides, as hydrobromic acid salts, were obtained from the corresponding nitriles according to a std. three-step sequence consisting of treatment with Raney nickel in formic acid followed by redn. with sodium borohydride and bromination with dry hydrogen bromide in glacial acetic acid. The title compds. were evaluated in vitro for the ability to inhibit dihydrofolate reductase from *Pneumocystis carinii*, *Toxoplasma gondii*, *Mycobacterium avium*, and rat liver. They were potent inhibitors of all four enzymes,

with IC50 values in the 0.03-0.1  $\mu$ M range. However the selectivity of these compds. for the parasite enzymes relative to the rat enzyme was <10-fold, whereas the recently reported lead compd. in this series, N-[(2,4-diaminopteridin-6-yl)methyl]dibenz[b,f]azepine has >100-fold selectivity for the T. gondii and M. avium enzyme and 21-fold selectivity for the P. carinii enzyme.

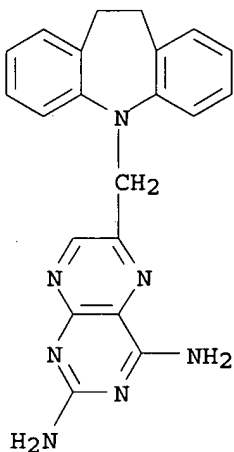
IT 251658-84-1DP, bioisosteres

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of 2,4-diaminopyrido[2,3-d]pyrimidines and 2,4-diaminoquinazolines dihydrofolate reductase inhibitors from Pneumocystis carinii, Toxoplasma gondii, and Mycobacterium avium)

RN 251658-84-1 CAPLUS

CN 2,4-Pteridinediamine, 6-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)methyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 89 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:706352 CAPLUS

DOCUMENT NUMBER: 133:276324

TITLE: Inhibitors of cellular nicotinamide mononucleotide formation, therapeutic use thereof, and identification and metabolic methods

INVENTOR(S): Biedermann, Elfi; Eisenburger, Rolf; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Schulz, Michael; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja

PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany

SOURCE: Ger. Offen., 20 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19908483	A1	20001005	DE 1999-19908483	19990226
PRIORITY APPLN. INFO.:			DE 1999-19908483	19990226
AB Biol. active substances are described which inhibit the cellular formation of NMN, an essential intermediate in NAD(P) biosynthesis in the cell.				

These substances can be used for a pharmaceutical compn. for the treatment of cancer, leukemia, or for Immunosuppression. Addnl., methods are described for the identification of such substances and for the investigation of a given cell type for its dependence on nicotinamide as a precursor in NAD synthesis.

IT 299400-68-3

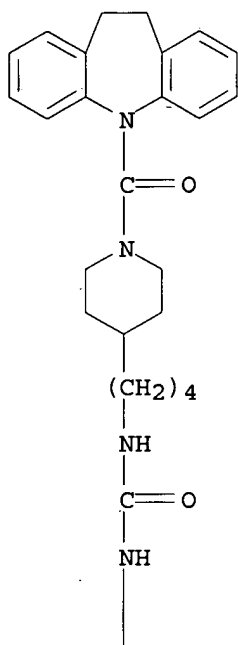
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(NMN formation inhibitors, therapeutic use thereof, and identification and metabolic methods)

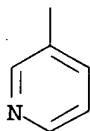
RN 299400-68-3 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[[4-[4-[[[3-pyridinylamino)carbonyl]amino]butyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 90 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:701347 CAPLUS

DOCUMENT NUMBER: 134:66061

TITLE: Neurotoxic/neuroprotective profile of carbamazepine, oxcarbazepine and two new putative antiepileptic

drugs, BIA 2-093 and BIA 2-024

AUTHOR(S): Ambrosio, A. F.; Silva, A. P.; Araujo, I.; Malva, J. O.; Soares-da-Silva, P.; Carvalho, A. P.; Carvalho, C. M.

CORPORATE SOURCE: Center for Neuroscience of Coimbra, Department of Cell Biology, University of Coimbra, Coimbra, 3004-517, Port.

SOURCE: European Journal of Pharmacology (2000), 406(2), 191-201  
CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

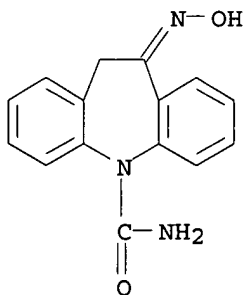
LANGUAGE: English

AB The toxicity profiles, as well as possible neuroprotective effects, of the title antiepileptic drugs were compared in cultured rat hippocampal neurons. Two novel carbamazepine derivs., (S)-(-)-10-acetoxy-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-093) and 10,11-dihydro-10-hydroxyimino-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-024), were compared with the established compds. carbamazepine and oxcarbazepine. The assessment of neuronal injury was made by the MTT assay, as well as by analyzing morphol. and nuclear chromatin condensation (propidium iodide staining), after hippocampal neurons were exposed to the drugs for 24 h. The putative antiepileptic drugs BIA 2-093 or BIA 2-024 (at 300 .mu.M) only slightly decreased MTT redn., whereas carbamazepine or oxcarbazepine were much more toxic at lower concns. Treatment with the antiepileptic drugs caused nuclear chromatin condensation, which is characteristic of apoptosis, in some neurons and increased the activity of caspase-3-like enzymes, mainly in neurons treated with carbamazepine and oxcarbazepine. The toxic effect caused by carbamazepine was not mediated by N-methyl-D-aspartate (NMDA) or by .alpha.-amino-3-hydroxy-5-methylisoxazole-4-propionate (AMPA) receptors. Moreover, the antiepileptic drugs failed to protect hippocampal neurons from the toxicity caused by kainate, veratridine, or ischemia-like conditions.

IT 199997-15-4, BIA 2-024  
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(neurotoxic/neuroprotective profile of the antiepileptics carbamazepine, oxcarbazepine, BIA 2-093 and BIA 2-024)

RN 199997-15-4 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 91 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2000:666712 CAPLUS  
DOCUMENT NUMBER: 133:237875

TITLE: Preparation of 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide via nitration of 5-chlorocarbonyl-5H-dibenz[b,f]azepine.

INVENTOR(S): Eidenhammer, Gerhard; Altreiter, Johann; Schwendinger, Karl

PATENT ASSIGNEE(S): DSM Fine Chemicals Austria G.m.b.H., Austria

SOURCE: PCT Int. Appl., 24 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055138	A1	20000921	WO 2000-EP1279	20000217
W: AE, AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AT 9900452	A	20010215	AT 1999-452	19990315
AT 408224	B	20010925		

PRIORITY APPLN. INFO.: AT 1999-452 A 19990315

OTHER SOURCE(S): CASREACT 133:237875

AB 10,11-Dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide (I) was prepd. by nitration of 5-chlorocarbonyl-5H-dibenz[b,f]azepine (II) to give the 10-nitro compd., which was converted either by (a) redn. and hydrolysis to the 10-oxo compd. which reacted with NH<sub>3</sub> to give I or (b) by redn. to the corresponding isonitroso compd. which reacted with NH<sub>3</sub> to give the 10-oxime-5-carboxamide which was hydrolyzed to I. Thus, II in aq. HOAc was treated with N<sub>2</sub>O<sub>4</sub> in HOAc over 1 h at 25.degree. followed by heating at 50.degree. for 3 h to give 87% 5-chlorocarbonyl-10-nitro-5H-dibenz[b,f]azepine. This was warmed with HCl in Me iso-Bu ketone under addn. of Fe over 1.5 h at 40.degree. followed by 2 h stirring to give after filtration an org. residue which was treated with NH<sub>3</sub> for 2 h at 50.degree. to give 72% I.

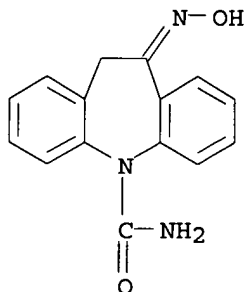
IT 199997-15-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide via nitration of 5-chlorocarbonyl-5H-dibenz[b,f]azepine)

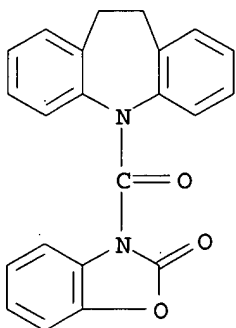
RN 199997-15-4 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)-(9CI) (CA INDEX NAME)



## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 92 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:632971 CAPLUS  
 DOCUMENT NUMBER: 133:321822  
 TITLE: Synthesis of some 3-acylbenzoxazolinones  
 AUTHOR(S): Ayupova, A. T.; Aliev, N. A.  
 CORPORATE SOURCE: Inst. Khim. Rastitel. Veschestv, AN RUz, Uzbekistan  
 SOURCE: O'zbekiston Kimyo Jurnalı (2000), (2), 30-33  
 CODEN: OKJZA6; ISSN: 0042-1707  
 PUBLISHER: Izdatel'stvo Fan  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 OTHER SOURCE(S): CASREACT 133:321822  
 AB Acylation of benzoxazolinone by 3-(trifluoromethyl)phenyl isocyanate, perfluorobenzenesulfonyl chloride, and acid chlorides gave new 3-acylbenzoxazolinones. The reaction of benzoxazolinone with .beta.-methylacryloyl chloride gave both acylation and addn. products.  
 IT 302782-81-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 302782-81-6 CAPLUS  
 CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[(2-oxo-3(2H)-benzoxazolyl)carbonyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 93 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:626428 CAPLUS  
 DOCUMENT NUMBER: 133:309629  
 TITLE: N-NO Bond Dissociation Energies of N-Nitroso Diphenylamine Derivatives (Or Analogues) and Their Radical Anions: Implications for the Effect of Reductive Electron Transfer on N-NO Bond Activation and for the Mechanisms of NO Transfer to Nitranions  
 AUTHOR(S): Zhu, Xiao-Qing; He, Jia-Qi; Li, Qian; Xian, Ming; Lu, Jianming; Cheng, Jin-Pei  
 CORPORATE SOURCE: Department of Chemistry, Nankai University, Tianjin, 300071, Peop. Rep. China  
 SOURCE: Journal of Organic Chemistry (2000), 65(20), 6729-6735  
 CODEN: JOCEAH; ISSN: 0022-3263  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The heterolytic and homolytic N-NO bond dissocn. energies [i.e., .DELTA.Hhet(N-NO) and .DELTA.Hhomo(N-NO)] of 12 N-nitroso-diphenylamine derivs. and two N-nitrosoindoles in acetonitrile were detd. by titrn. calorimetry and from a thermodyn. cycle, resp. Comparison of these two sets of data indicates that homolysis of the N-NO bonds to generate

NO.bul. and nitrogen radical is energetically much more favorable (by 23.3-44.8 kcal/mol) than the corresponding heterolysis to generate a pair of ions, giving hints for the driving force and possible mechanism of NO-initiated chem. and biol. transformations. The first (N-NO)- .bul. bond disocn. energies [i.e., .DELTA.H(N-NO)- .bul. and .DELTA.H'(N-NO)- .bul.] of corresponding radical anions were also derived on the basis of appropriate cycles utilizing the exptl. measured .DELTA.Hhet(N-NO) and electrochem. data. Comparisons of these two quantities with those of the neutral N-NO bonds indicate a remarkable bond activation upon a possible one-electron transfer to the N-NO bonds, with an av. bond-weakening effect of 48.8 +/- 0.3 kcal/mol for heterolysis and 22.3 +/- 0.3 kcal/mol for homolysis, resp. The good to excellent linear correlations among the energetics of the related heterolytic processes [.DELTA.Hhet(N-NO), .DELTA.H(N-NO)- .bul., and pKa(N-H)] and the related homolytic processes [.DELTA.Hhomo(N-NO), .DELTA.H'(N-NO)- .bul., and BDE(N-H)] imply that the governing structural factors for these bond scissions are similar. Examples illustrating the use of such bond energetic data jointly with relevant redox potentials for analyzing various mechanistic possibilities for nitrosation of nitranions are presented.

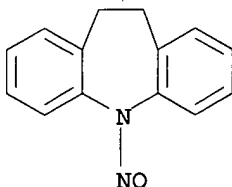
IT 301834-43-5

RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)

(heterolytic and homolytic N-NO bond disocn. energies of N-nitrosodiphenylamine derivs. and N-nitrosoindoles in acetonitrile)

RN 301834-43-5 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-nitroso-, radical ion(1-) (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 94 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:606859 CAPLUS

DOCUMENT NUMBER: 133:193091

TITLE: Preparation of 1-(dibenzazepinoalkyl)azacycloalkanecarboxylic acids and analogs as CGRP inhibitors

INVENTOR(S): Dorwald, Florenzio Zaragossa; Andersen, Knud Erik; Hohlweg, Rolf; Madsen, Peter; Joslashedrgensen, Tine Krogh; Olsen, Uffe Bang; Andersen, Henrik Sune; Treppendahl, Svend; Zdenek, Polivka; Karel, Sindelar; Alexandra, Silhankova

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: U.S., 21 pp., Cont.-in-part of U.S. 5,874,428.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

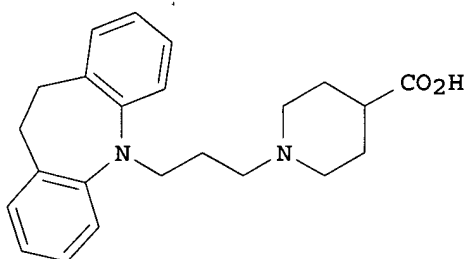
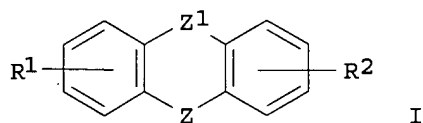
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6110913	A	20000829	US 1998-55633	19980406
US 5595989	A	19970121	US 1995-367648	19950103

10/ 076,573

ZA 9500031	A	19960704	ZA 1995-31	19950104
US 5688788	A	19971118	US 1995-444140	19950518
US 5693649	A	19971202	US 1995-544502	19951018
US 5712292	A	19980127	US 1995-544905	19951018
US 5721254	A	19980228	US 1995-544500	19951018
US 5795888	A	19980818	US 1995-544682	19951018
US 5668129	A	19970916	US 1996-626745	19960327
US 5874428	A	19990223	US 1996-623289	19960328
ZA 9602732	A	19961024	ZA 1996-2732	19960404
US 6043239	A	20000328	US 1998-12918	19980123
US 6166009	A	20001226	US 1999-390020	19990903
PRIORITY APPLN. INFO.:			DK 1994-19	A 19940104
			DK 1994-1290	A 19941109
			US 1995-367648	A3 19950103
			DK 1995-405	A 19950407
			DK 1995-1005	A 19950911
			US 1995-544682	A2 19951018
			US 1996-623289	A2 19960328
			US 1998-55633	A3 19980406

OTHER SOURCE(S): MARPAT 133:193091  
GI



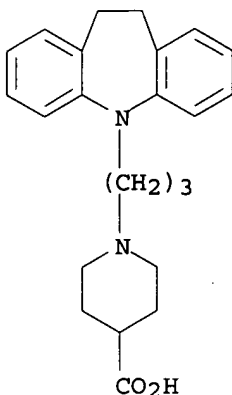
AB Title compds. [I; R1,R2 = H, halo, alkyl, alkoxy, etc.; Z = N[(CH2)nR]CH2, CH[(CH2)nR]CH2, C:CH; R = Z2R3; R3 = (CH2)mOH or (CH2)pCOR4; R4 = OH, NH2, NHOH, alkoxy; Z1 = O, S, CH2CH2, CH:CHCH2, CH2CO, etc.; Z2 = pyrrolidine-1,2-diyl, piperidine-1,3- or -1,4-diyl, tetrahydroquinoline-2,3-diyl, etc.; m = 0-6; n = 1-3; p = 0 or 1] were prep'd. Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was N-acylated by ClCH2CH2COC1 and the reduced product aminated by Et 4-piperidinecarboxylate to give, after sapon., title compd. II. Data for biol. activity of I were given.

IT 183785-31-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 1-(dibenzazepinoalkyl)azacycloalkanecarboxylic acids and analogs as CGRP inhibitors)

RN 183785-31-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

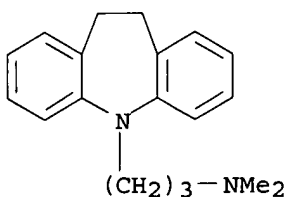


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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 95 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:529216 CAPLUS  
 DOCUMENT NUMBER: 133:129887  
 TITLE: Method using a tricyclic antidepressant for the treatment of headache pain  
 INVENTOR(S): Bernstein, Joel E.  
 PATENT ASSIGNEE(S): Winston Laboratories, Inc., USA  
 SOURCE: U.S., 3 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6096738	A	20000801	US 1999-239198	19990128
WO 2000044386	A1	20000803	WO 2000-US1066	20000112
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1148883	A1	20011031	EP 2000-904380	20000112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO				
NO 2001003717	A	20010926	NO 2001-3717	20010727
PRIORITY APPLN. INFO.: US 1999-239198 A 19990128				
WO 2000-US1066 W 20000112				
AB	A method for preventing and treating headache pain comprises administering a tricyclic antidepressant compd. locally to the nasal mucosa to a patient suffering from headaches.			
IT	286471-58-7 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (tricyclic antidepressant for treatment of headache pain)			
RN	286471-58-7 CAPLUS			
CN	5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,N-dimethyl-, monohydriodide (9CI) (CA INDEX NAME)			



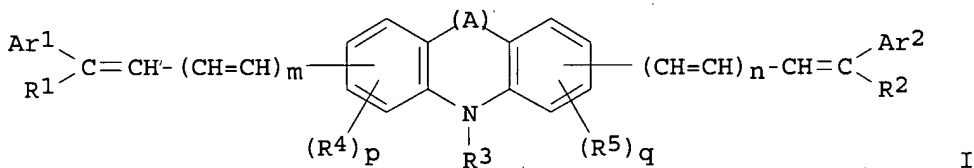
● HI

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 96 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:428009 CAPLUS  
 DOCUMENT NUMBER: 133:65938  
 TITLE: Ethylene derivative having nitrogen-containing 7-membered ring structure  
 INVENTOR(S): Sato, Tadahisa  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000178273	A2	20000627	JP 1999-265770	19990920
PRIORITY APPLN. INFO.:			JP 1998-285508	A 19981007
OTHER SOURCE(S):			MARPAT 133:65938	

GI



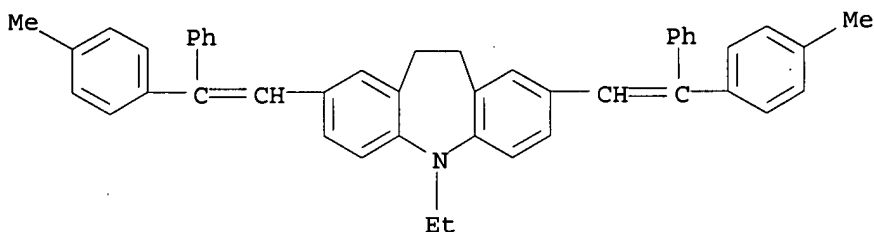
AB The deriv. has a N-contg. 7-membered ring structure having a formula I (A = ethylene, vinylene, o-arylene; Ar1, 2 = aryl; R1-3 = alkyl, aryl; R4, 5 = halogen, alkyl, aryl, alkoxy, aryloxy, dialkylamino, N-alkyl-N-arylamino, diarylamino; Ar1 and R1 and Ar2 and R2 may bond to form a ring; m, n = 0-2 integer; p, q = 0-3 integer; the ethylenic groups contg. Ar1 and Ar2 are bonded to the 2- and 3- or 7- and 8-position of the benzene ring, resp.). The deriv. shows excellent durability and charge transfer characteristic. The deriv. is useful for an electrophotog. charge transporter or an org. elec.-field light-emitting device.

IT 277761-17-8P  
 RL: DEV (Device component use); IMF (Industrial manufacture); PREP (Preparation); USES (Uses)  
 (N-contg. 7-membered ring structure-contg. ethylene deriv. for charge transporter)

RN 277761-17-8 CAPLUS

10/ 076,573

CN 5H-Dibenz[b,f]azepine, 5-ethyl-10,11-dihydro-2,8-bis[2-(4-methylphenyl)-2-phenylethenyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 97 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:421094 CAPLUS

DOCUMENT NUMBER: 133:43382

TITLE: Preparation of tubulin-binding agents

INVENTOR(S): Clark, David; Frankmoelle, Walter; Houze, Jonathan; Jaen, Juan C.; Medina, Julio C.

PATENT ASSIGNEE(S): Tularik Inc., USA

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035865	A2	20000622	WO 1999-US29968	19991215
WO 2000035865	A3	20001026		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

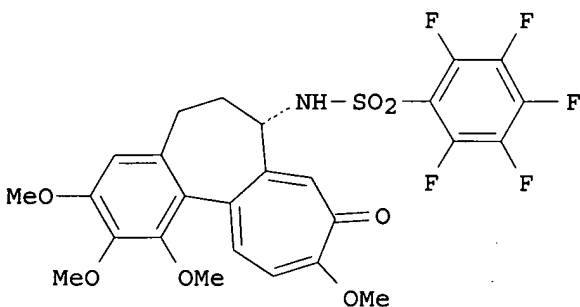
US 6433187 B1 20020813

US 1999-464217 19991215

PRIORITY APPLN. INFO.:

US 1998-112613P P 19981217

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I

AB Derivs. of known tubulin-binding compds. are prepd. in which a (poly)fluorobenzene, a fluoropyridine, or a fluoronitrobenzene moiety is

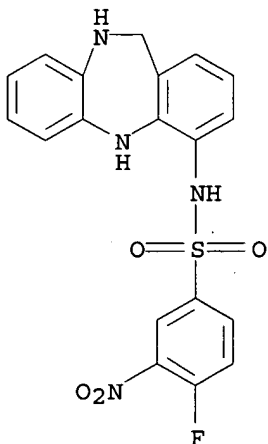
incorporated or added to the structure. These derivs. can be used as antimitotic agents and can be considered covalent modifiers of tubulin (no data). The strategy developed for each of the compds. is to (i) append a fluorinated electrophile (e.g., pentafluorophenylsulfonamido, 2-fluoropyridyl, or 3,5-dinitro-4-fluorophenyl) to an existing functional group in a natural product, (ii) replace an arom. ring in a natural product with a fluorinated electrophile, or (iii) attach a fluorinated electrophile to an open valence in a portion of the mol. that will not interfere with recognition and binding to the tubulin site. Derivs. are provided based on colchicine, steganacin, podophyllotoxin, nocodazole, combretastatin, curacin A, vinblastine, vincristine, dolastatin, 2-methoxyestradiol, dihydroxy-pentamethoxyflavanone and others. Thus, I is prepd. from deacetylcolchicine and pentafluorophenylsulfonyl chloride.

IT 274922-23-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of fluorinated arom. natural product derivs. as tubulin-binding agents)

RN 274922-23-5 CAPLUS

CN Benzenesulfonamide, N-(10,11-dihydro-5H-dibenzo[b,e][1,4]diazepin-4-yl)-4-fluoro-3-nitro- (9CI) (CA INDEX NAME)



L7 ANSWER 98 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:383927 CAPLUS

DOCUMENT NUMBER: 133:34425

TITLE: Pharmaceutical compositions containing N-substituted azaheterocyclic compounds for the treatment of indications related to angiogenesis

INVENTOR(S): Hansen, Anker Jon; Jorgensen, Tine Krogh; Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032193	A1	20000608	WO 1999-DK671	19991201

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1135129 A1 20010926 EP 1999-957964 19991201

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

US 2002045610 A1 20020418 US 2001-872127 20010601

PRIORITY APPLN. INFO.: DK 1998-1586 A 19981202

US 1998-111445P P 19981208

WO 1999-DK671 W 19991201

OTHER SOURCE(S): MARPAT 133:34425

AB The present invention relates to the use of N-substituted azaheterocyclic compds. or salts thereof, for the treatment of conditions related to angiogenesis. N-substituted azaheterocyclic compds. decreased the vessel area of neovascularization of mouse cornea by 30-50%. A tablet contained a N-substituted azaheterocyclic compd. 100, silicone dioxide 1.5, microcryst. cellulose 70, modified cellulose gum 7.5, in the core, and hydroxypropyl Me cellulose 9, and Mywacett 9-40T 0.9 mg in the coating.

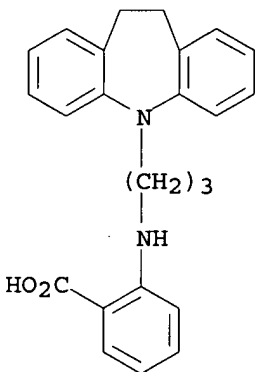
IT 183476-83-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. contg. N-substituted azaheterocyclic compds. for treatment of indications related to angiogenesis)

RN 183476-83-7 CAPLUS

CN Benzoic acid, 2-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]amino]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 99 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:378163 CAPLUS

DOCUMENT NUMBER: 133:17390

TITLE: Preparation of N-[carboxypiperidino]alkyl (dibenz[b,f]azepines and analogs for treatment of neurogenic inflammation and insulin resistance

INVENTOR(S): Dorwald, Florenzio Zaragossa; Andersen, Knud Erik; Hohlweg, Rolf; Madsen, Peter; Joslashedrgensen, Tine Krogh; Olsen, Uffe Bang; Andersen, Henrik Sune; Treppendahl, Svend; Zdenek, Polivka; Alexandra,

10/ 076,573

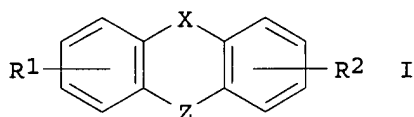
Silhankova; Karel, Sindelar  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
 SOURCE: U.S., 20 pp., Cont.-in-part of U.S. Ser. No. 623,289.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6071901	A	20000606	US 1998-53339	19980401
US 5595989	A	19970121	US 1995-367648	19950103
ZA 9500031	A	19960704	ZA 1995-31	19950104
US 5688788	A	19971118	US 1995-444140	19950518
US 5693649	A	19971202	US 1995-544502	19951018
US 5712292	A	19980127	US 1995-544905	19951018
US 5721254	A	19980228	US 1995-544500	19951018
US 5795888	A	19980818	US 1995-544682	19951018
US 5668129	A	19970916	US 1996-626745	19960327
US 5874428	A	19990223	US 1996-623289	19960328
ZA 9602732	A	19961024	ZA 1996-2732	19960404
US 6043239	A	20000328	US 1998-12918	19980123

PRIORITY APPLN. INFO.:

DK 1994-19	A	19940104
DK 1994-1290	A	19941109
US 1995-367648	A3	19950103
DK 1995-405	A	19950407
DK 1995-1005	A	19950911
US 1995-544682	A2	19951018
US 1996-623289	A2	19960328

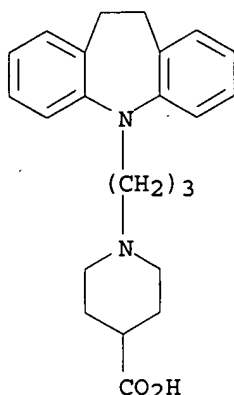
OTHER SOURCE(S): MARPAT 133:17390  
 GI



AB Title compds. [I; R1,R2 = H, halo, alkyl, alkoxy, etc.; X = O, S, CH2CH2, CH2CO, NHCO, etc.; Z = N(CH2)rZ1R3, CH(CH2)rZ1R3, C:CH(1h)rZ1R3, etc.; R3 = (CH2)mOH or (CH2)pCOR4; R4 = OH, NH2, NHOH, alkoxy; Z1 = pyrrolidine-1,2-diyl, piperidine-1,n-diyl, morpholine-4,2-diyl, piperazine-1,4-diylmethyl, etc.; m = 0-6; n = 2-4; p = 0 or 1; r = 1-3] were prepd. Thus, I (R1 = R2 = H, X = CH2CH2, Z = NR) (II; R = H) was N-acylated by ClCH2CH2COCl and the reduced product aminated by Et piperidine-4-carboxylate to give, after sapon., II [R = 3-(4-carboxypiperidino)propyl]. Data for biol. activity of I were given.

IT 183785-31-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-[carboxypiperidino]alkyl) (dibenz[b,f]azepines and analogs for treatment of neurogenic inflammation and neurogenic inflammation)

RN 183785-31-1 CAPLUS  
 CN 4-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 100 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:279487 CAPLUS

DOCUMENT NUMBER: 133:187556

TITLE: Steady state plasma levels of the enantiomers of trimipramine and of its metabolites in CYP2D6-, CYP2C19- and CYP3A4/5-phenotyped patients

AUTHOR(S): Eap, Chin B.; Bender, Stefan; Gastpar, Markus; Fischer, Wilhelm; Haarmann, Caecilia; Powell, Kerry; Jonzier-Perey, Michele; Cochard, Nathalie; Baumann, Pierre

CORPORATE SOURCE: Unite de Biochimie et Psychopharmacologie Clinique, Departement Universitaire de Psychiatrie Adulte, Prilly-Lausanne, Switz.

SOURCE: Therapeutic Drug Monitoring (2000), 22(2), 209-214  
CODEN: TDMODV; ISSN: 0163-4356

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Steady state plasma concns. of the (L)- and (D)-enantiomers of trimipramine (TRI), desmethyltrimipramine (DTRI), 2-hydroxytrimipramine (TRIOH) and 2-hydroxydesmethyl-trimipramine (DTRIOH) were measured in 27 patients receiving between 300 and 400 mg/day racemic TRI. The patients were phenotyped with dextromethorphan and mephenytoin, and the 8-h urinary ratios of dextromethorphan/dextrorphan, dextromethorphan/3-methoxymorphinan, and (S)-mephenytoin/(R)-mephenytoin were used as markers of cytochrome P-450IID6 (CYP2D6), CYP3A4/5 and CYP2C19 activities, resp. One patient was a CYP2D6 and one was a CYP2C19 poor metabolizer. A stereoselectivity in the metab. of TRI has been found, with a preferential N-demethylation of (D)-TRI and a preferential hydroxylation of (L)-TRI. CYP2D6 appears to be involved in the 2-hydroxylation of (L)-TRI, (L)-DTRI and (D)-DTRI, but not of (D)-TRI, as significant correlations were measured between the dextromethorphan/dextrorphan ratios and the (L)-TRI/(L)-TRIOH ( $r = 0.45$ ,  $p = 0.019$ ), the (L)-DTRI/(L)-DTRIOH ( $r = 0.47$ ,  $p = 0.014$ ), and the (D)-DTRI/(D)-DTRIOH ( $r = 0.51$ ,  $p = 0.006$ ), but not with the (D)-TRI/(D)-TRIOH ratios ( $r = 0.29$ , NS). CYP2C19, but not CYP2D6, appears to be involved in the demethylation pathway, with a stereoselectivity toward the (D)-enantiomer of TRI, as a significant pos. correlation was calcd. between the mephenytoin (S)/(R) ratios and the concns. to dose-to-wt. ratios of (D)-TRI ( $r = 0.69$ ,  $p = 0.00006$ ). CYP3A4/5 appears to be involved in the metab. of (L)-TRI to a presently

not detd. metabolite. The CYP2D6 poor metabolizer had the highest (L)-DTRI and (D)-DTRI concns. to dose-to-wt. ratios, and the CYP2C19 poor metabolizer had the highest (L)-TRI and (D)-TRI concns. to dose-to-wt. ratios of the group.

IT 198817-90-2

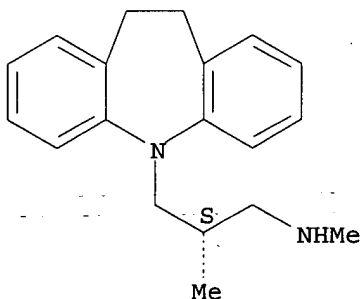
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(steady state plasma levels of the enantiomers of trimipramine and of its metabolites in CYP2D6-, CYP2C19- and CYP3A4/5-phenotyped patients)

RN 198817-90-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,.beta.-dimethyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 101 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:277964 CAPLUS

DOCUMENT NUMBER: 132:308362

TITLE: Preparation of tricyclic compounds for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR)

INVENTOR(S): Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per

PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.; Reddy's Research Foundation

SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023425	A1	20000427	WO 1999-DK570	19991019
W:				
AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9961902	A1	20000508	AU 1999-61902	19991019
EP 1123279	A1	20010816	EP 1999-948738	19991019
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

10/ 076,573

JP 2002527507	T2	20020827	JP 2000-577153	19991019
US 6468996	B1	20021022	US 1999-419761	19991019
US 2002103188	A1	20020801	US 2002-76574	20020208
US 2002111344	A1	20020815	US 2002-76573	20020208
US 2002115657	A1	20020822	US 2002-76575	20020208
PRIORITY APPLN. INFO.:			DK 1998-1352	A 19981021
			US 1998-105912P	P 19981028
			US 1999-419761	A3 19991019
			WO 1999-DK570	W 19991019
OTHER SOURCE(S):			MARPAT 132:308362	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1-R4 = H, halo, perhalomethyl, etc.; R1 and R2, R2 and R3, R3 and R4 may form (un)substituted cyclic ring contg. 5-7 carbon atoms; A = (un)substituted 5-6 membered cyclic ring; X = a bond, CH:CH, OCH2O, etc.; Ar = (un)substituted arylene, heteroarylene, divalent heterocyclic group; R5 = H, OH, halo, etc.; R6 = H, OH, halo, etc.; R7 = H, alkyl, alkenyl, etc.; R8 = H, alkyl, alkenyl, etc.; Y = O, S, NH, etc.; n = 1-4; m = 0-1], useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR) (e.g., in the treatment of diabetes and/or obesity), were prepd. and formulated. Thus, reacting 2-(10,11-dihydrodibenzo[b,f]azepin-5-yl)ethanol with Et 2-ethoxy-3-(4-hydroxyphenyl)propionate in the presence of triphenylphosphine and di-Et azodicarboxylate afforded 90% II. Compds. I are effective at 0.1-70 mg/day in the treatment of adult humans.

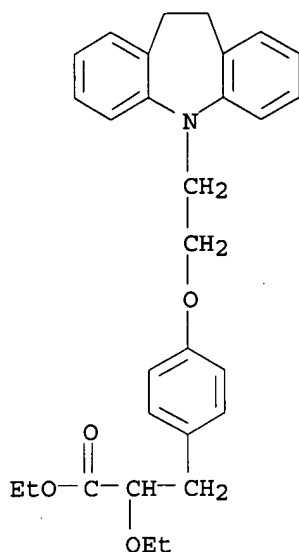
IT 265300-87-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of tricyclic compds. for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR))

RN 265300-87-6 CAPLUS

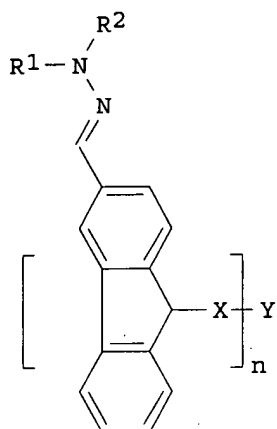
CN Benzenepropanoic acid, 4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]-.alpha.-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 102 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:260734 CAPLUS  
 DOCUMENT NUMBER: 132:286315  
 TITLE: Organic electrophotographic photoreceptor containing hydrazone charge-transporting agent  
 INVENTOR(S): Mott, Andrew W.; Owen, David J.; Jubran, Nusrallah; Attwood, Martin D.; Barcock, Richard A.  
 PATENT ASSIGNEE(S): Imation Corp., USA  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000022483	A1	20000420	WO 1999-US19119	19990824
W: JP, KR				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6066426	A	20000523	US 1998-172379	19981014
US 6140004	A	20001031	US 1999-465023	19991216
PRIORITY APPLN. INFO.:		US 1998-172379 A 19981014		
OTHER SOURCE(S):		MARPAT 132:286315		
GI				



AB An org. electrophotog. photoreceptor comprises (a) a charge-transporting agent represented by the formula I ( $n$  = an integer between 2 and 6;  $R_1$ ,  $R_2$  = alkyl, cycloalkyl, or aryl or  $R_1$  and  $R_2$  combining with the N atom to form a ring;  $Y$  = a bond, C,  $CR_3$ , aryl, cycloalkyl, or cyclosiloxyl;  $R_3$  = H, alkyl, or aryl; and  $X$  = a linking group having the formula  $(CH_2)_m$  where  $m$  = an integer between 4 and 10 with the proviso that one or more of the methylene groups is optionally replaced by O, CO, or an ester group) and (b) a charge-generating compd. on an electroconductive substrate.

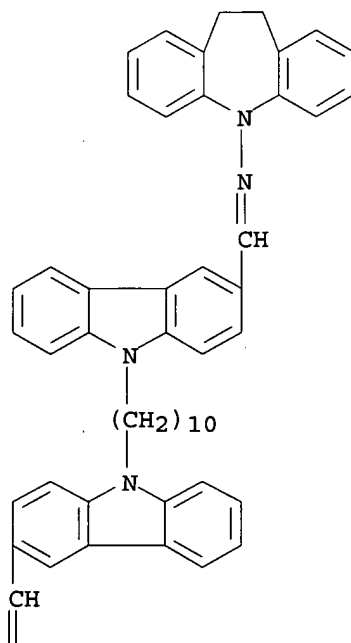
IT 263858-53-3P

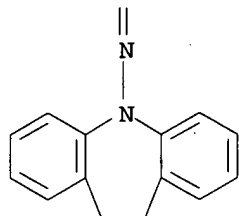
RL: DEV (Device component use); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses) (synthesis and use as charge-transporting agent for org. electrophotog. photoreceptors)

RN 263858-53-3 CAPLUS

CN 5H-Dibenz[b,f]azepin-5-amine,  $N,N'$ -[1,10-decanediylbis(9H-carbazole-9,3-diylmethylidyne)]bis[10,11-dihydro- (9CI) (CA INDEX NAME)

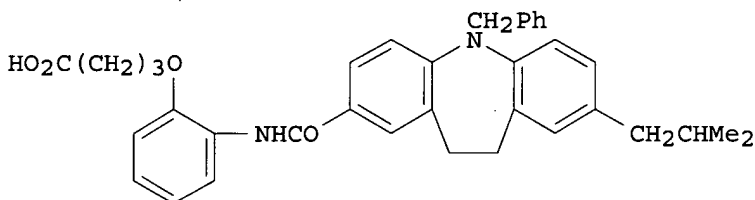
PAGE 1-A





REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 103 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:240406 CAPLUS  
 DOCUMENT NUMBER: 133:17370  
 TITLE: Synthesis of tricyclic compounds as steroid  
 5.alpha.-reductase inhibitors  
 AUTHOR(S): Takami, Hitoshi; Nonaka, Hiromi; Kishibayashi,  
 Nobuyuki; Ishii, Akio; Kase, Hiroshi; Kumazawa,  
 Toshiaki  
 CORPORATE SOURCE: Pharmaceutical Research Institute, Kyowa Hakko Kogyo  
 Co., Ltd., Shizuoka, 411-8731, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(4),  
 552-555  
 CODEN: CPBTAL; ISSN: 0009-2363  
 PUBLISHER: Pharmaceutical Society of Japan  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

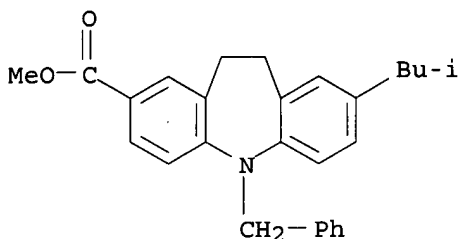


I

AB 4-Phenoxybutyric acid derivs. attached to a tricyclic skeleton were prepd. and evaluated as 5.alpha.-reductase inhibitors. Structure-activity relationships for these compds. in terms of rat epididymis (type 2) 5.alpha.-reductase inhibitory activities reveal that (1) the substitution pattern at the 11-position of dibenz[b,e]oxepin influenced potency, (2) higher lipophilicity of the tricyclic skeleton improved potency, whereas the existence of a basic nitrogen atom in this skeleton was detrimental to potency, and (3) iso-Bu substitution at the 8 position of the azepine skeleton was tolerated. Among the tricyclic compds. studied, I was the most potent inhibitor of rat type 2 5.alpha.-reductase at 0.1 .mu.M.

IT 271577-31-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (tricyclic compds. as steroid 5.alpha.-reductase inhibitors)  
 RN 271577-31-2 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-2-carboxylic acid, 10,11-dihydro-8-(2-methylpropyl)-

5-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 104 OF 200 CAPLUS. COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:221253 CAPLUS

DOCUMENT NUMBER: 133:38104

TITLE: In vitro and in vivo m2 muscarinic subtype selectivity of some dibenzodiazepinones and pyridobenzodiazepinones

AUTHOR(S): Cohen, V. I.; Jin, B.; McRee, R. C.; Boulay, S. F.; Cohen, E. I.; Sood, V. K.; Zeeberg, B. R.; Reba, R. C.

CORPORATE SOURCE: N.W., 2300 Eye St., Walter G. Ross Hall, Section of Radiopharmaceutical Chemistry, George Washington University Medical Center, Washington, DC, USA

SOURCE: Brain Research (2000), 861(2), 305-315

CODEN: BRREAP; ISSN: 0006-8993

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Alzheimer's disease (AD) involves selective loss of muscarinic m2, but not m1, subtype receptors in cortical and hippocampal regions of the human brain. Emission tomog. study of the loss of m2 receptors in AD has been limited by the absence of available m2-selective radioligands, which can penetrate the blood-brain barrier. We now report on the in vitro and in vivo m2 muscarinic subtype selectivity of a series of dibenzodiazepinones and pyridobenzodiazepinones detd. by competition studies against (R)-3-quinuclidinyl (S)-4-iodobenzilate ((R,S)-[125I]IQNB) or [3H]QNB. Of the compds. examd., three of the 5-[[4-[(4-dialkylamino)butyl]-1-piperidinyl]acetyl]-10,11-dihydro-5-H-dibenzo[b,e][1,4]diazepin-11-ones (including DIBA) and three of the 11-[[4-[(4-dialkylamino)butyl]-1-phenyl]acetyl]-5,11-dihydro-6H-pyrido [2,3-b][1,4]benzodiazepin-6-ones (including PBID) exhibited both high binding affinity for the m2 subtype (.ltoreq.5 nM) and high m2/m1 selectivity (.gtoreq.10). In vivo rat brain dissection studies of the competition of PBID or DIBD against (R,S) [125I]IQNB or [3H]QNB exhibited a dose-dependent preferential decrease in the binding of the radiotracer in brain regions that are enriched in the m2 muscarinic subtype. In vivo rat brain autoradiog. studies of the competition of PBID, BIBN 99, or DIBD against (R,S) [125I]IQNB exhibited an insignificant effect of BIBN 99 and confirmed the effect of PBID and DIBD in decreasing the binding of (R,S) [125I]IQNB in brain regions that are enriched in the m2 muscarinic subtype. We conclude that PBID and DIBD are potentially useful parent compds. from which in vivo m2 selective derivs. may be prepd. for potential use in positron emission tomog. (PET) study of the loss of m2 receptors in AD.

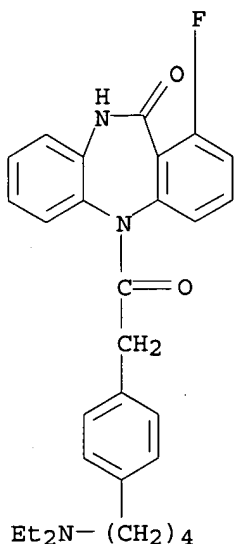
IT 213208-20-9

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(In vitro and in vivo m2 muscarinic subtype selectivity of dibenzodiazepinones and pyridobenzodiazepinones for potential use in

10/ 076,573

tomog. brain imaging)  
RN 213208-20-9 CAPLUS  
CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5-[[4-[4-(diethylamino)butyl]phenyl]acetyl]-1-fluoro-5,10-dihydro- (9CI) (CA INDEX NAME)



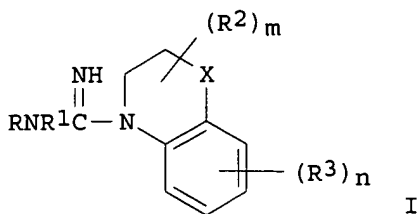
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 105 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 2000:113097 CAPLUS  
DOCUMENT NUMBER: 132:151671  
TITLE: Preparation of indoline derivatives and 1,2,3,4-tetrahydroquinoline derivatives useful for the treatment or prophylaxis of neurological injury and neurodegenerative disorders  
INVENTOR(S): Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.  
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA  
SOURCE: U.S., 41 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6025355	A	20000215	US 1997-858399	19970519
US 6358993	B1	20020319	US 1999-425582	19991022
US 2002099084	A1	20020725	US 2001-38178	20011109
US 6514990	B2	20030204		

PRIORITY APPLN. INFO.:  
US 1996-601992 B2 19960215  
WO 1997-US2678 A1 19970214  
US 1997-858399 A3 19970519  
US 1999-425582 A1 19991022

OTHER SOURCE(S): MARPAT 132:151671  
GI



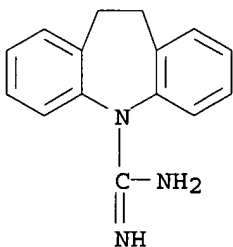
AB The title compds., e.g. I (R, R1 = H, alkyl, alkenyl, alkoxy, alkylthio, etc.; R2, R3 = H, halo, OH, alkyl, etc.; X = sulfinyl, sulfonyl; m, n = 0-4), useful for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders, were prepd. E.g., N-(1-naphthyl)-4-(2,3-dihydro[1,4]benzothiazinyl)carboximidamide was prepd. Anticonvulsant activity of some of the compds. was detd.

IT 195437-36-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and anticonvulsant activity of indoline derivs. and 1,2,3,4-tetrahydroquinoline derivs.)

RN 195437-36-6 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboximidamide, 10,11-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

REFERENCE COUNT: 198 THERE ARE 198 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L7 ANSWER 106 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:795789 CAPLUS

DOCUMENT NUMBER: 132:35516

TITLE: Preparation of phenyl amides and ureas as neuropeptide Y5 receptor antagonists

INVENTOR(S): Dugar, Sundeep; Neustadt, Bernard R.; Stamford, Andrew W.; Wu, Yusheng

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

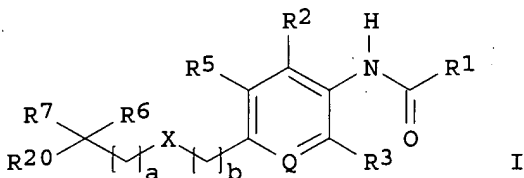
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9964394	A1	19991216	WO 1999-US11795	19990607
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2334298	AA	19991216	CA 1999-2334298	19990607
AU 9943178	A1	19991230	AU 1999-43178	19990607
EP 1086078	A1	20010328	EP 1999-955470	19990607
EP 1086078	B1	20030205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
JP 2002517483	T2	20020618	JP 2000-553404	19990607
AT 232200	E	20030215	AT 1999-955470	19990607
PRIORITY APPLN. INFO.:			US 1998-93132	A2 19980608
			WO 1999-US11795	W 19990607
OTHER SOURCE(S):		MARPAT 132:35516		
GI				



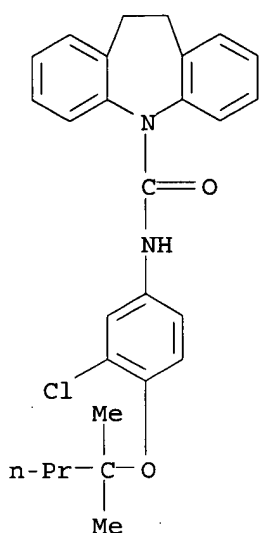
AB The title compds. [I; a, b = 0-2, provided that the sum a + b = 0-3; Q = CR<sub>4</sub>, N; X = O, S, SO, etc.; R<sub>1</sub> = (un)substituted aryl, heteroaryl, amino, etc.; R<sub>2</sub>-R<sub>5</sub> = H, alkyl, (un)substituted cycloalkyl, etc.; R<sub>6</sub>, R<sub>7</sub> = H, alkyl, alkenyl, etc.; CR<sub>6</sub>R<sub>7</sub> = 3-7-membered carbocyclic ring, 4-7-membered heterocyclic ring; R<sub>20</sub> = alkyl, cycloalkyl, hydroxyalkyl, etc.], useful in the treatment of eating disorders and diabetes, were prepd. Thus, amidation of 4-[4,4-dimethylbutylthio]aniline with trimethylacetyl chloride in CH<sub>2</sub>Cl<sub>2</sub> afforded 76% I [Q = CH; R<sub>1</sub> = Me<sub>3</sub>C; R<sub>2</sub> = R<sub>3</sub> = R<sub>5</sub> = H; R<sub>6</sub> = R<sub>7</sub> = Me; R<sub>20</sub> = Pr; X = S; a = b = 0]. For the compds. I, a range of neuropeptide Y<sub>5</sub> receptor binding activity from 0.1-1000 nM was obsd.

IT **252346-34-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of Ph amides and ureas as neuropeptide Y<sub>5</sub> receptor antagonists)

RN 252346-34-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, N-[3-chloro-4-(1,1-dimethylbutoxy)phenyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 107 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1999:690954 CAPLUS  
 DOCUMENT NUMBER: 131:307106  
 TITLE: Use of vitamin PP compounds as cytoprotective agents in chemotherapy  
 INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja  
 PATENT ASSIGNEE(S): Klinge Pharma GmbH, Germany  
 SOURCE: PCT Int. Appl., 145 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9953920	A1	19991028	WO 1999-EP2686	19990421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19818044	A1	19991028	DE 1998-19818044	19980422
EP 1031564	A1	20000830	EP 1999-103814	19990226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9939282	A1	19991108	AU 1999-39282	19990421
EP 1079832	A1	20010307	EP 1999-922119	19990421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002512190	T2	20020423	JP 2000-544324	19990421
WO 2000050399	A1	20000831	WO 2000-EP1628	20000228

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1154998 A1 20011121 EP 2000-907642 20000228

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2002537380 T2 20021105 JP 2000-600982 20000228

US 2002160968 A1 20021031 US 2001-935772 20010823

US 6506572 B2 20030114

PRIORITY APPLN. INFO.:

DE 1998-19818044 A 19980422

EP 1999-103814 A 19990226

WO 1999-EP2686 W 19990421

WO 2000-EP1628 W 20000228

OTHER SOURCE(S): MARPAT 131:307106

AB The invention relates to the use of vitamin PP compds. and/or compds. with anti-pellagra activity such as for example nicotinic acid (niacin), and nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the redn., elimination or prevention of side-effects of different degrees as well as for neutralization of acute side-effects in immunosuppressive or cancerostatic chemotherapy or diagnosis, esp. with substituted pyridine carboxamides, as well as combination medicaments with an amt. of compds. with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents are esp. considered in the mentioned chemotherapies and indications. Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide. There were no deaths in the nicotinamide-treated mice and the strong redn. of leukocytes was completely prevented.

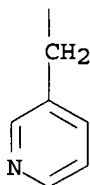
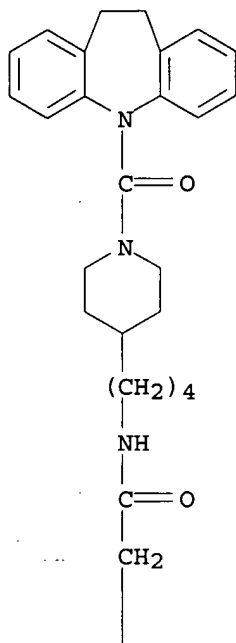
IT 200868-28-6

RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(vitamin PP compds. as cytoprotective agents in chemotherapy)

RN 200868-28-6 CAPLUS

CN 3-Pyridinepropanamide, N-[4-[1-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)



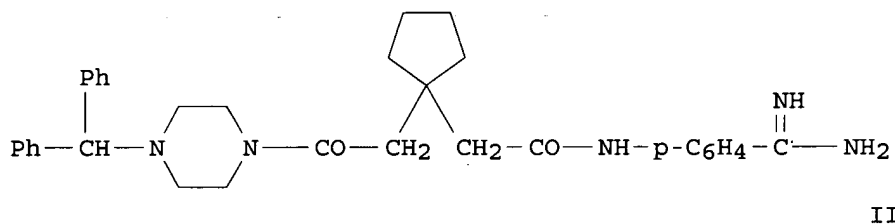
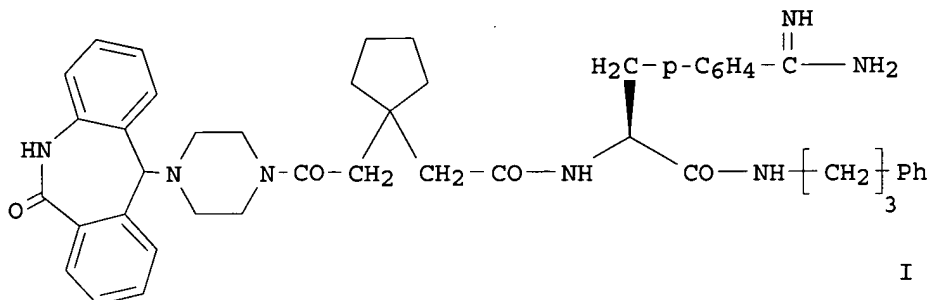
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 108 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1999:684270 CAPLUS  
 DOCUMENT NUMBER: 131:286831  
 TITLE: Preparation of piperazine-containing peptidomimetics for use as NPY antagonists  
 INVENTOR(S): Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Mihm, Gerhard; Doods, Henri; Willim, Klaus-Dieter; Krause, Juergen; Wieland, Heike-Andrea; Schnorrenberg, Gerd; Esser, Franz; Dollinger, Horst  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
 SOURCE: Ger. Offen., 40 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10/ 076,573

DE 19816889 A1 19991021 DE 1998-19816889 19980416  
 PRIORITY APPLN. INFO.: DE 1998-19816889 19980416  
 OTHER SOURCE(S): MARPAT 131:286831  
 GI

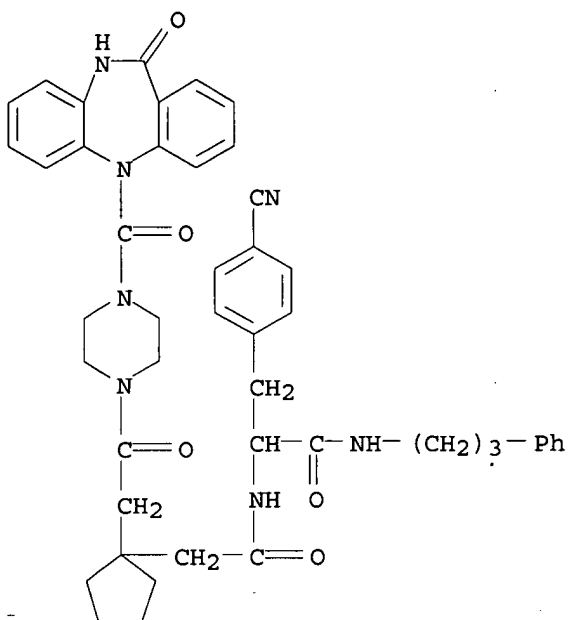


AB Title compds. (e.g. I) were prepd. for use as NPY antagonists for pharmacol. use. Thus, 1,1-cyclopentane-diacetic acid anhydride was reacted with 4-amino-benzonitrile and then with 1-(diphenyl-methyl)piperazine to give a cyano-product which was hydrogenated to the amino-imine (II). In in vitro tests with NPY receptors prepd. from rabbits, title compds. had IC50 .ltoreq.10,000 nM.

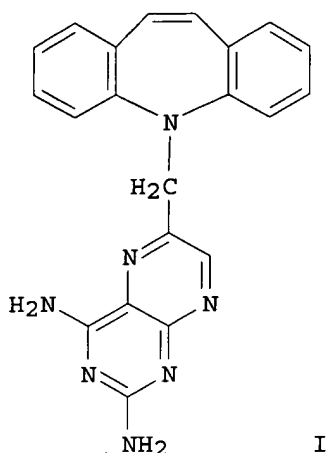
IT **246515-37-7P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of as peptidomimetics for use as NPY antagonists)

RN 246515-37-7 CAPLUS

CN Benzenepropanamide, 4-cyano-.alpha.-[[[1-[2-[4-[(10,11-dihydro-11-oxo-5H-dibenzo[b,e][1,4]diazepin-5-yl)carbonyl]-1-piperazinyl]-2-oxoethyl]cyclopentyl]acetyl]amino]-N-(3-phenylpropyl)-(9CI) (CA INDEX NAME)



L7 ANSWER 109 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1999:670475 CAPLUS  
 DOCUMENT NUMBER: 132:8720  
 TITLE: Structure-Based Design of Selective Inhibitors of Dihydrofolate Reductase: Synthesis and Antiparasitic Activity of 2,4-Diaminopteridine Analogues with a Bridged Diarylamine Side Chain  
 AUTHOR(S): Rosowsky, Andre; Cody, Vivian; Galitsky, Nikolai; Fu, Hongning; Papoulis, Andrew T.; Queener, Sherry F.  
 CORPORATE SOURCE: Dana-Farber Cancer Inst., Dep. Biol. Chem., and Mol. Pharmacol., Harvard Med. Sch., Boston, MA, USA  
 SOURCE: Journal of Medicinal Chemistry (1999), 42(23), 4853-4860  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB As part of a larger search for potent as well as selective inhibitors of dihydrofolate reductase (DHFR) enzymes from opportunistic pathogens found in patients with AIDS and other immune disorders, N-[(2,4-diaminopteridin-6-yl)methyl]dibenz[b,f]azepine (I) and the corresponding dihydrodibenz[b,f]azepine, dihydroacridine, phenoxazine, phenothiazine, carbazole, and diphenylamine analogs were synthesized from 2,4-diamino-6-(bromomethyl)pteridine in 50-75% yield by reaction with the sodium salts of the amines in dry THF at room temp. The products were tested for the ability to inhibit DHFR from *Pneumocystis carinii* (pcDHFR), *Toxoplasma gondii* (tgDHFR), *Mycobacterium avium* (maDHFR), and rat liver (rlDHFR). The member of the series with the best combination of potency and species selectivity was I, with IC<sub>50</sub> values against the four enzymes of 0.21, 0.043, 0.012, and 4.4  $\mu$ M, resp. The dihydroacridine, phenothiazine, and carbazole analogs were also potent, but nonselective. Of the compds. tested, I was the only one to successfully combine the potency of trimetrexate with the selectivity of trimethoprim. Mol. docking simulations using published 3D structural coordinates for the cryst. ternary complexes of pcDHFR and hDHFR suggested a possible structural interpretation for the binding selectivity of I and the lack of selectivity of the other compds. According to this model, I is selective because of a unique propensity of the seven-membered ring in the dibenz[b,f]azepine moiety to adopt a puckered orientation that allows it to fit more comfortably into the active site of the *P. carinii* enzyme than into the active site of the human enzyme. Compd. I was also evaluated for the ability to be taken up into, and retard the growth of, *P. carinii* and *T. gondii* in culture. The IC<sub>50</sub> of I against *P. carinii* trophozoites after 7 days of continuous drug treatment was 1.9  $\mu$ M as compared with previously obsd. IC<sub>50</sub> values of >340  $\mu$ M for trimethoprim and 0.27  $\mu$ M for trimetrexate. In an assay involving [<sup>3</sup>H]uracil incorporation into the nuclear DNA of *T. gondii* tachyzoites as the surrogate endpoint for growth, the IC<sub>50</sub> of I after 5 h of drug exposure was 0.077  $\mu$ M. The favorable combination of potency and enzyme selectivity shown by I suggests that this novel structure may be an interesting lead for structure-activity optimization.

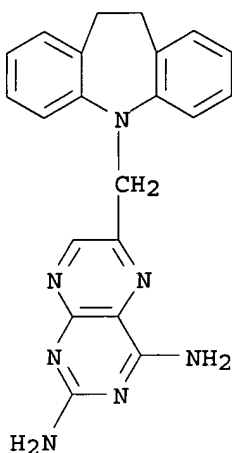
IT 251658-84-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and antiparasitic activity of 2,4-diaminopteridine analogs)

RN 251658-84-1 CAPLUS

CN 2,4-Pteridinediamine, 6-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)methyl]-(9CI) (CA INDEX NAME)

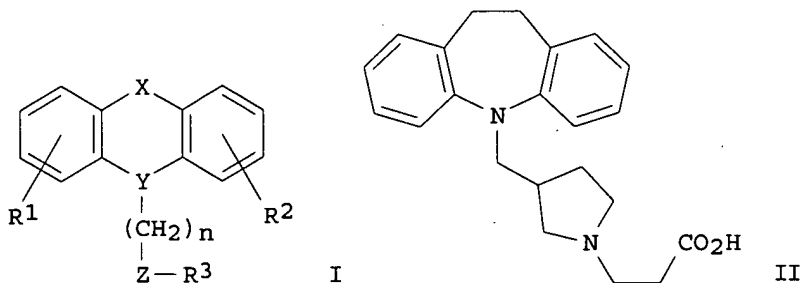


REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 110 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1999:613895 CAPLUS  
 DOCUMENT NUMBER: 131:243192  
 TITLE: Preparation of novel heterocyclic compounds  
 (dibenzazepines and analogs) for treatment of painful  
 and inflammatory conditions  
 INVENTOR(S): Hohlweg, Rolf; Jorgensen, Tine Krogh; Andersen, Knud  
 Erik; Olsen, Uffe Bang; Polivka, Zdenek; Sindelar,  
 Karel  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
 SOURCE: PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9947517	A1	19990923	WO 1999-DK135	19990316
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6214816	B1	20010410	US 1999-266236	19990310
AU 9928259	A1	19991011	AU 1999-28259	19990316
EP 1071679	A1	20010131	EP 1999-908771	19990316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002506863	T2	20020305	JP 2000-536712	19990316
PRIORITY APPLN. INFO.:				
DK 1998-366 A 19980317				
US 1998-78954P P 19980323				
WO 1999-DK135 W 19990316				

OTHER SOURCE(S): MARPAT 131:243192  
 GI

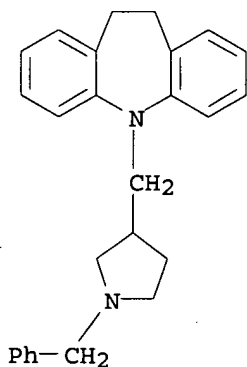


AB The invention relates to novel N-substituted azaheterocyclic compds. I [wherein X = o-C<sub>6</sub>H<sub>4</sub>, O, S, (un)substituted CH<sub>2</sub>, CO, CH<sub>2</sub>CH<sub>2</sub>, CH:CH, NHCO, CH<sub>2</sub>O, CH<sub>2</sub>S, etc.; Y = trivalent groups N(CH<sub>2</sub>), C(:CH), or CH(CH<sub>2</sub>) (where the ring atom is 1st and the sidechain atom 2nd); R<sub>1</sub>, R<sub>2</sub> = H, halo, CF<sub>3</sub>, OH, C<sub>1</sub>-6 alkyl or alkoxy; Z = nucleus selected from piperidine, (alkyl)piperazine, (thio)morpholine, pyrrolidine, tetrahydro(iso)quinoline, or aminocyclohexane; R<sub>3</sub> (bound at N atom of Z) = (CH<sub>2</sub>)mOH or (CH<sub>2</sub>)pCOR<sub>4</sub>; m, p = 1-4; R<sub>4</sub> = OH, NH<sub>2</sub>, NHOH, or C<sub>1</sub>-6 alkoxy; n = 0-2], or salts thereof. The invention also relates to methods for prepn. of the compds., to compns. contg. them, and to their use for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation. Also disclosed is use of the compds. for treatment of indications caused by or related to the secretion and circulation of insulin antagonizing peptides, e.g., non-insulin-dependent diabetes mellitus (NIDDM) and ageing-assocd. obesity. For instance, 10,11-dihydro-5H-dibenzo[b,f]azepine underwent a sequence of: (1) N-alkylation by 1-benzyl-3-(chloromethyl)pyrrolidine (15%), (2) hydrogenolytic debenzylation (78%), N-alkylation by BrCH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>Et (89%), and finally alk. hydrolysis (69%), to give title compd. II, isolated as the hydrochloride. In the histamine-induced rat paw edema test, II.HCl gave 56% inhibition at 1.0 mg/kg i.p.

IT **244196-38-1P**, 5-[(1-Benzylpyrrolidin-3-yl)methyl]-10,11-dihydro-5H-dibenzo[b,f]azepine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; prepn. of dibenzazepines and analogs for treatment of painful and inflammatory conditions)

RN 244196-38-1 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[[1-(phenylmethyl)-3-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 111 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:576930 CAPLUS

DOCUMENT NUMBER: 131:199712

TITLE: Preparation of heterocyclic compounds as glycine transport inhibitors

INVENTOR(S): Luyten, Walter Herman Maria Louis; Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

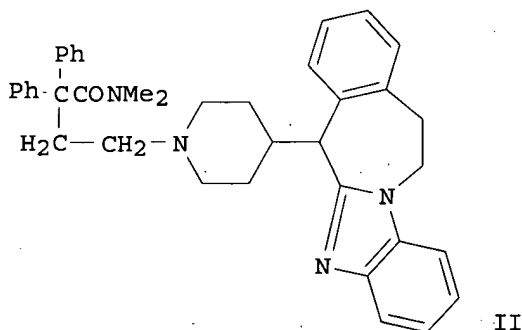
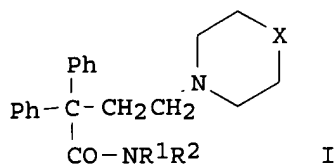
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945011	A1	19990910	WO 1999-EP1308	19990226
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2322136	AA	19990910	CA 1999-2322136	19990226
AU 9932544	A1	19990920	AU 1999-32544	19990226
BR 9907953	A	20001024	BR 1999-7953	19990226
EP 1058684	A1	20001213	EP 1999-937930	19990226
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
EE 200000483	A	20020215	EE 2000-483	19990226
JP 2002505332	T2	20020219	JP 2000-534553	19990226
BG 104686	A	20010430	BG 2000-104686	20000811
NO 2000004432	A	20001102	NO 2000-4432	20000905
PRIORITY APPLN. INFO.:			EP 1998-200700	A 19980306
			WO 1999-EP1308	W 19990226
OTHER SOURCE(S):	MARPAT 131:199712			
GI				



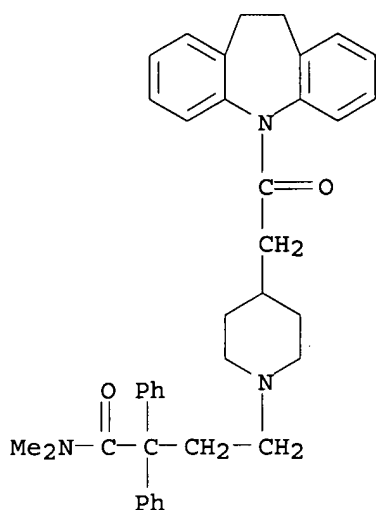
AB The present invention is concerned with the use of glycine transport inhibiting .alpha.,.alpha.-diphenyl-1-piperidinebutanamides for the prepn. of medicaments, title compds. I (R1, R2, = H, alkyl; X = CR4R5; R4 = H, OH, etc.; R5 = diarylmethoxyalkyl, etc) for treating disorders of the central and peripheral nervous system, in particular psychoses, pain, epilepsy, neurodegenerative diseases (Alzheimer's disease), stroke, head trauma, multiple sclerosis and the like. The title compd. II was prepd. Formulations are given. The invention further comprises novel compds., their prepn. and their pharmaceutical forms. The bioactivity of II was demonstrated.

IT 241130-30-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of heterocyclic compds. as glycine transport inhibitors)

RN 241130-30-3 CAPLUS

CN 1-Piperidinebutanamide, 4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-2-oxoethyl]-N,N-dimethyl-.alpha.,.alpha.-diphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 112 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:529117 CAPLUS

DOCUMENT NUMBER: 131:175073

TITLE: Stable hyperforin salts, method for their production, and their use in treatment of Alzheimer's disease

INVENTOR(S): Chatterjee, Shyam Sunder; Erdelmeier, Clemens; Klessing, Klaus; Marme, Dieter; Schaechtele, Christoph

PATENT ASSIGNEE(S): Dr. Willmar Schwabe G.m.b.H. und Co., Germany

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9941220	A1	19990819	WO 1999-EP737	19990204
W: AU, CA, DE, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2320091	AA	19990819	CA 1999-2320091	19990204
EP 1056705	A1	20001206	EP 1999-908845	19990204
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002503646	T2	20020205	JP 2000-531418	19990204
AU 743956	B2	20020207	AU 1999-28312	19990204
US 6444662	B1	20020903	US 2000-622151	20000811
PRIORITY APPLN. INFO.:		DE 1998-19805947 A	19980213	
		WO 1999-EP737	W	19990204

OTHER SOURCE(S): MARPAT 131:175073

AB New hyperforin and adhyperforin salts are purified from St. John's wort exts. for use in causal and symptomatic treatment of Alzheimer's disease. The salts are stable during storage. The cation of said salts is an alkali metal ion or an ion of a salt-forming quaternary ammonium base, amine, or polyamine which is preferably a pharmaceutically active ingredient such as an antidepressant, anxiolytic, Ca<sup>2+</sup> antagonist, or .beta.-receptor blocker. The salts activate protein kinase C isoenzyme .gamma. and .alpha.-secretase and inhibit formation of .beta.-amyloid. Thus, 200 g CO<sub>2</sub> ext. of Hypericum was extd. with n-heptane/iso-PROH (98:2)

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in the presence of Na<sub>2</sub>SO<sub>4</sub>, filtered, and dicyclohexylamine was added dropwise to ppt. the crude dicyclohexylamine salt of hyperforin/adhyperforin, which was recrystd. from MTBE/pentane.

IT 238074-28-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(stable hyperforin salts, method for their prodn., and their use in treatment of Alzheimer's disease)

RN 238074-28-7 CAPLUS

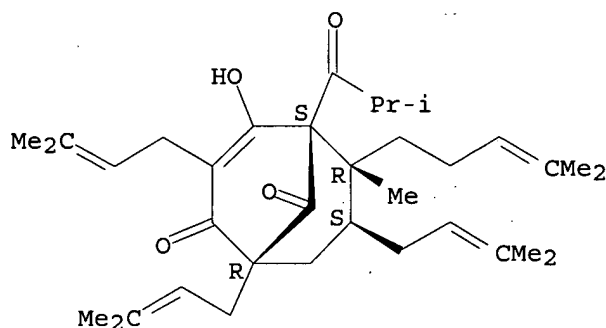
CN Bicyclo[3.3.1]non-3-ene-2,9-dione, 4-hydroxy-6-methyl-1,3,7-tris(3-methyl-2-butenyl)-5-(2-methyl-1-oxopropyl)-6-(4-methyl-3-pentenyl)-, (1R,5S,6R,7S)-, compd. with 10,11-dihydro-N,N-dimethyl-5H-dibenz[b,f]azepine-5-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 11079-53-1

CMF C35 H52 O4

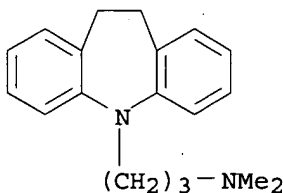
Absolute stereochemistry.



CM 2

CRN 50-49-7

CMF C19 H24 N2



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 113 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:512075 CAPLUS

DOCUMENT NUMBER: 131:286423

TITLE: One-pot synthesis of pharmacologically active diamines via rhodium-catalyzed carbonylative hydroaminomethylation of heterocyclic allylic amines

AUTHOR(S): Rische, Thorsten; Muller, Kai-Sven; Eilbracht, Peter

CORPORATE SOURCE: Organische Chemie I (FB 3), Universitat Dortmund,

SOURCE: Dortmund, D-44221, Germany  
Tetrahedron (1999), 55(32), 9801-9816  
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

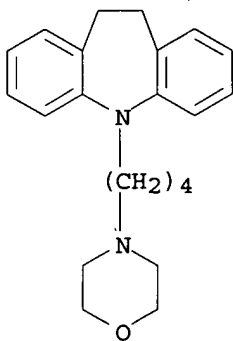
OTHER SOURCE(S): CASREACT 131:286423

AB Pharmacol. active derivs. of phenothiazine, iminodibenzyl, carbazole and pyrazole are prepd. with high yields and chemoselectivity by the reaction of the corresponding N-allylic or N-methallylic compds., primary or secondary amines, carbon monoxide and hydrogen in the presence of [Rh(cod)Cl]<sub>2</sub> as catalyst via a one pot hydroformylation-amine condensation-redn. sequence.

IT **246041-26-9P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(one-pot synthesis of diamines via rhodium-catalyzed carbonylative hydroaminomethylation of heterocyclic allylic amines)

RN 246041-26-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[4-(4-morpholinyl)butyl]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L7 ANSWER 114 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:434462 CAPLUS

DOCUMENT NUMBER: 131:184996

TITLE: Dimesitylaminoboranes and unsymmetric triaminoboranes. Stability of aminodioxaboroles and dimesitylboroxyethanol

AUTHOR(S): Maarouf, Z. Ben; Chazalatte, C.; Riviere-Baudet, M.; Riviere, P.

CORPORATE SOURCE: Laboratoire de Chimie Organique et Organometallique, Universite Ibnou Zohr, Agadir, Morocco

SOURCE: Main Group Metal Chemistry (1999), 22(6), 405-412  
CODEN: MGMCE8; ISSN: 0792-1241

PUBLISHER: Freund Publishing House Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Bulky dimesitylaminoboranes, unsym. triaminoboranes and an amino boron sulfonamide were prepd. either by transmetalation or transamination reactions. From tris(diethylamino)borane, diethylaminodioxaborole was obtained either by protic cleavage by 3,5-di-t-butylcatechol or by addn. reaction of 3,5-di-t-butyl-o-quinone through S.E.T. in the first step of the reaction. From the same tris(diethylamino)borane, 1,2-ethanediol did not lead to the expected diethylaminodioxaborolane but to 2,5,7,10,11,14-hexaoxa-1,6-diborane bicyclo[4.4.4]tetradecane.

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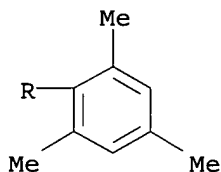
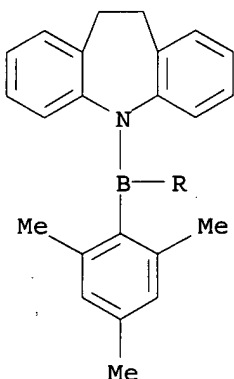
2-Dimesitylboroxyethanol, isolated as a white powder, is not thermally stable and leads either to 1,2-bis(dimesitylboroxy)ethane or to 1,3-dioxaborolane with mesitylene elimination. Dimesitylboranes undergo nucleophilic substitution of a mesityl group in the presence of a strong nucleophile.

IT 240432-74-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 240432-74-0 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[bis(2,4,6-trimethylphenyl)boryl]-10,11-dihydro-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 115 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:404950 CAPLUS

DOCUMENT NUMBER: 131:58843

TITLE: preparation of 3-piperidyl-4-oxoquinazoline derivatives as medicinal compositions

INVENTOR(S): Sato, Motohide; Katsushima, Takeo; Kinoshita, Hajime

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931085	A1	19990624	WO 1998-JP5628	19981211
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,			

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI;  
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

JP 11228569	A2	19990824	JP 1998-288979	19981012
JP 2959765	B2	19991006		
ZA 9811315	A	19990630	ZA 1998-11315	19981210
AU 9915068	A1	19990705	AU 1999-15068	19981211
AU 717963	B2	20000406		
EP 970954	A1	20000112	EP 1998-959187	19981211

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI, RO

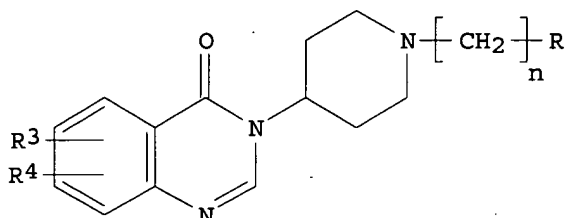
BR 9807339	A	20000321	BR 1998-7339	19981211
NZ 337118	A	20000327	NZ 1998-337118	19981211
NO 9903868	A	19991012	NO 1999-3868	19990811
US 6235730	B1	20010522	US 1999-367242	19991026

PRIORITY APPLN. INFO.:

JP 1997-362819	A	19971212
JP 1998-288979	A	19981012
WO 1998-JP5628	W	19981211

OTHER SOURCE(S): MARPAT 131:58843

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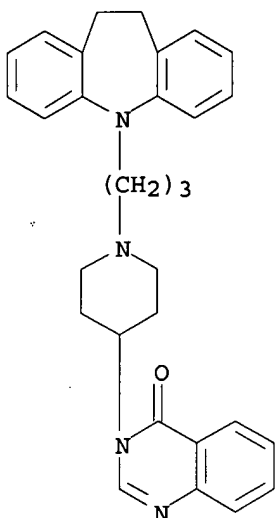
AB 3-Piperidyl-4-oxoquinazoline derivs. or pharmaceutically acceptable salts [I; R = amino substituted by optionally substituted aryl, heteroaryl, or cyclic amino such as dibenzazepine; n = integer from 1 to 4; R3, R4 = H, lower alkyl, etc.], having an excellent MTP-inhibitory activity, thus useful in inhibiting the formation of LDL causative of arteriosclerotic diseases and enabling the regulation of TG, cholesterol and lipoproteins such as LDL in the blood and cellular lipids via the regulation of the MTP activity, were prepd. I are expected also as a novel type of remedies or preventives for hyperlipemia or arteriosclerotic diseases and, moreover, as remedies or preventives for pancreatitis, obesity, hypercholesterolemia, hypertriglyceridemia, etc. Refluxing a mixt. of BrCH2CH2NPh2 and 3-(piperidin-4-yl)-3H-quinazolin-4-one contg. K2CO3 in MeCN gave 55% I (R = Ph2N, R3 = R4 = H, n = 2) (II). II.2HCl showed IC50 of 0.1 .mu.M against apolipoprotein B secretion and 0.6 .mu.M against triglyceride transport in vitro.

IT 227806-48-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 3-piperidyl-4-oxoquinazoline derivs. as medicinal compns.)

RN 227806-48-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-[1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 116 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:404933 CAPLUS

DOCUMENT NUMBER: 131:58757

TITLE: Aryl-substituted pyridyl alkane, alkene, and alkyne carboxamides useful as cytostatic and immunosuppressive agents

INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja

PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

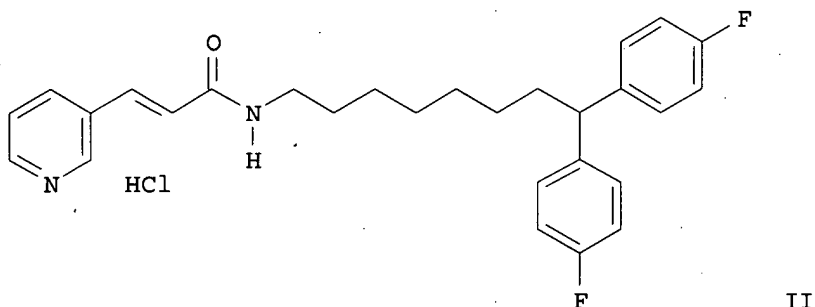
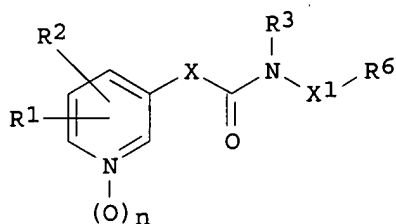
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931064	A1	19990624	WO 1998-EP8272	19981216
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
DE 19756261	A1	19990701	DE 1997-19756261	19971217
ZA 9811240	A	19990608	ZA 1998-11240	19981208
AU 9922740	A1	19990705	AU 1999-22740	19981216
EP 1042291	A1	20001011	EP 1998-966352	19981216
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2002508357	T2	20020319	JP 2000-538991	19981216
PRIORITY APPLN. INFO.:			DE 1997-19756261 A	19971217
			WO 1998-EP8272 W	19981216

OTHER SOURCE(S): MARPAT 131:58757

GI



AB The pyridine-contg. carboxamides I [ $n = 0, 1$ ;  $R_1 = H, \text{halo, cyano, alkyl, alkenyl, alkynyl, alkoxy, HO, H}_2\text{NCO, alkylthio, PhO, pyridyloxy, R}_4\text{R}_5\text{N}$  ( $R_4, R_5 = H, \text{alkyl, alkenyl, alkynyl, aralkyl, aryl}$ ), etc.;  $R_2 = H, \text{halo, cyano, alkyl, fluoroalkyl, HO, alkoxy, PhCH}_2\text{O, etc.}$ ;  $R_3 = H, \text{alkyl, alkenyl, alkynyl, HO, alkoxy, aralkyloxy, etc.}$ ;  $X = \text{alkylene substituted by alkyl, HO, alkoxy, F, aryl}$ ; alkylene with methylene unit isosterically replaced by O, S, NH, substituted NH, CO, SO, SO<sub>2</sub>; 1,2-cyclopropylene, alkenylene, alkadienylene, hexatrienylene, ethynylene;  $X_1 = \text{substituted alkylene, alkenylene, alkynylene, and alkylene, alkenylene, or alkynylene with methylene units replaced by O, S, NH, substituted NH, CO, SO, or SO}_2$ ;  $R_6 = R_7(\text{CR}_8\text{R}_9)_m$ ;  $m = 0, 1$ ;  $R_7 = \text{aralkyl, heterocyclyl, carbocyclyl}$ ,  $R_8, R_9 = H, \text{HO, alkyl alkenyl, alkynyl, cycloalkyl, aralkyl, etc.}$ ;  $R_6 = \text{R}_8\text{R}_9\text{C}$ ;  $R_8, R_9 = \text{as above or R}_8\text{R}_9\text{C} = \text{carbocyclic or heterocyclic ring system bound over the C atom}$ ;  $R_6 = R_7(\text{CR}_8\text{R}_9)_m - (\text{CH}_2)_p - X_2$ ;  $R_7, R_8, R_9, m$  as above;  $p = 1-2$ ;  $X_2 = \text{substituted NH, O, S}$ ;  $R_6 = \text{NR}_8\text{R}_9$ ,  $R_8, R_9$  as above or  $\text{NR}_8\text{R}_9 = \text{N-heterocyclyl}$ ;  $R_6 = R_7(\text{CR}_8\text{R}_9)_m - X_3 - \text{CONH-}$ ;  $R_7, R_8, R_9, m$  as above,  $X_3 = \text{bond, methylene, ethylene, cycloalkylene, etc.}$ ;  $R_6 = \text{substituted sulfonylamino}$ ;  $R_6 = \text{Ar}(\text{Ar}_1)\text{P}(\text{O})-$ ;  $\text{Ar, Ar}_1 = \text{aryl, heteroaryl}$ ] were prepd. for use as cytostatic and immunosuppressive agents. Thus, 3-(3-pyridinyl)acrylic acid was chlorinated with oxalyl chloride and then amidated with (4-FC<sub>6</sub>H<sub>4</sub>)<sub>2</sub>CH(CH<sub>2</sub>)<sub>7</sub>NH<sub>2</sub> to give the N-octylacrylamide II which inhibited HepG2 cells from a human liver carcinoma with IC<sub>50</sub> = 0.05 .mu.M.

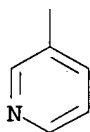
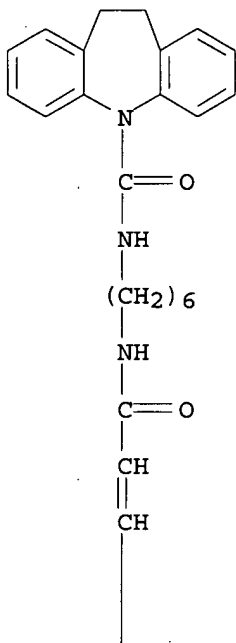
IT 228114-92-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aryl-substituted pyridyl alkane, alkene, and alkyne carboxamides as cytostatic and immunosuppressive agents)

RN 228114-92-9 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-N-[6-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]hexyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 117 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:404932 CAPLUS

DOCUMENT NUMBER: 131:58849

TITLE: New piperazinyl-substituted pyridylalkane, -alkene, and -alkyne carboxamides, with antitumor and immunosuppressive activities

INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja

PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany

SOURCE: PCT Int. Appl., 224 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931063	A1	19990624	WO 1998-EP8268	19981216

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 19756236 A1 19990701 DE 1997-19756236 19971217  
 ZA 9811235 A 19990608 ZA 1998-11235 19981208  
 AU 9920543 A1 19990705 AU 1999-20543 19981216  
 EP 1060163 A1 20001220 EP 1998-965275 19981216

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 2002508356 T2 20020319 JP 2000-538990 19981216

PRIORITY APPLN. INFO.:

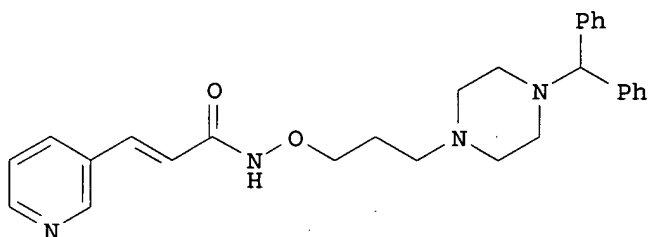
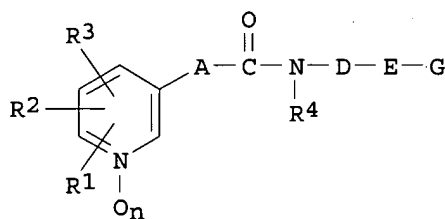
DE 1997-19756236 A 19971217

WO 1998-EP8268 W 19981216

OTHER SOURCE(S):

MARPAT 131:58849

GI



AB The invention relates to new piperazinyl-substituted pyridylalkanoic, -alkenoic, and alkynoic acid amides with a satd. or (poly)unsatd. hydrocarbon residue in the carboxylic acid group, and analogs, i.e., having formula I [R1 = H, OH, halo, cyano, CONH2, CO2H, (hetero)aryl, alkoxy, amino, (hetero)aryloxy, etc.; R2 = H, halo, cyano, alkyl, CF3, OH, etc.; or R1R2 = (CH2)4, (CH:CH)2, or CH2OCH2O or its (di)alkyl derivs.; R3 = H, halo, alkyl, CF3, hydroxyalkyl, etc.; R4 = H, OH, alk(en/yn)yl, cycloalkyl, alkoxy, aralkoxy; n = 0, 1; A = (un)substituted alkylene or hetero-isosteres, cycloalkylene, alkenylene, alkadienylene, or ethynylene; D = (un)substituted alkylene, alkenylene, alkynylene, or hetero-isosteres of them; E = (un)substituted (bis) (homo)piperazine bound at the N atoms; G = variety of terminal chains]. Also disclosed are methods for the prodn. of the compds., medicaments contg. them, and their prodn., as well as their therapeutic use, esp. as cytostatic agents and immunosuppressive agents, for example, in the treatment or prevention of various types of tumors, and control of immune reactions such as autoimmune diseases. For example, 3-(3-pyridyl)acrylic acid was activated with oxalyl chloride and condensed with O-[3-[4-(diphenylmethyl)piperazin-1-yl]propyl]hydroxylamine to give title compd. II. Several representative compds. inhibited various

human tumor cells in vitro at low concns., e.g., with IC50 values of 0.1 nM to 10 .mu.M, and also showed immunosuppressive activity against mouse lymphocytes with IC50 values of 0.03-0.09 .mu.M.

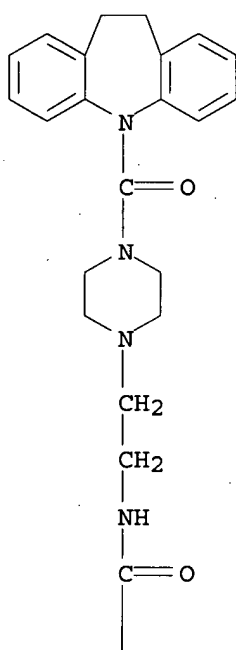
IT 227775-68-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(target compd.; prepn. of piperazinyl-substituted pyridylalkanecarboxamides and analogs as cytostatics and immunosuppressants)

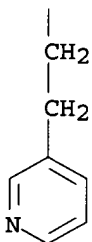
RN 227775-68-0 CAPLUS

CN 3-Pyridinepropanamide, N-[2-[4-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 118 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:404927 CAPLUS

DOCUMENT NUMBER: 131:44742

TITLE: Preparation and antiinflammatory activity of  
N-substituted azaheterocyclic compounds

INVENTOR(S): Joergensen, Tine Krogh; Fischer, Erik; Hohlweg, Rolf;  
Andersen, Knud Erik; Olsen, Uffe Bang; Sindelar,  
Karel; Silhankova, Alexandra; Konigova, Otylie;  
Polivka, Zdenek

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 52 pp.  
CODEN: PIXXD2

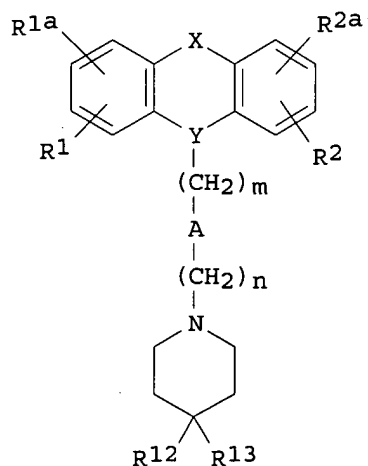
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931058	A1	19990624	WO 1998-DK550	19981214
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9916629	A1	19990705	AU 1999-16629	19981214
US 6048856	A	20000411	US 1998-211378	19981214
EP 1047673	A1	20001102	EP 1998-961079	19981214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002508353	T2	20020319	JP 2000-538985	19981214
PRIORITY APPLN. INFO.:				
			DK 1997-1472	A 19971217
			US 1997-82049	P 19971218
			WO 1998-DK550	W 19981214
OTHER SOURCE(S):		MARPAT 131:44742		
GI				



AB N-substituted azaheterocyclic compds. I [R1, R1a, R2, R2a = H, halo, cyano, OH, etc.; X = o-phenylene, O, S, CH2CH2, etc.; Y = N, CN, N(CO), etc.; A = C.tplbond.C, CO, C(:CH2), etc.; R12 = H, hydroxyalkyl, etc.; R13 = cyano, amino, etc.; m, n = 0-2], useful for clin. treatment of painful,

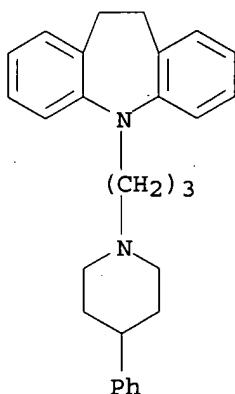
hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation as well as their use for treatment of indications caused by or related to the secretion and circulation of insulin antagonizing peptides, e.g. non-insulin-dependent diabetes mellitus (NIDDM) and ageing-assocd. obesity, were prepd. E.g., 1-(3-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-propyl)-4-phenyl-4-piperidinecarboxylic acid was prepd.

IT 227470-48-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and neurogenic antiinflammatory activity of azaheterocyclic compds.)

RN 227470-48-6 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[3-(4-phenyl-1-piperidinyl)propyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT:

16

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 119 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:377062 CAPLUS

DOCUMENT NUMBER: 131:144508

TITLE: Anticonvulsant and sodium channel-blocking properties of novel 10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide derivatives

AUTHOR(S): Benes, Jan; Parada, Antonio; Figueiredo, Anabela A.; Alves, Paula C.; Freitas, Ana P.; Learmonth, David A.; Cunha, Rodrigo A.; Garrett, Jose; Soares-da-Silva, Patricio

CORPORATE SOURCE: Department of Research Development, BIAL, S. Mamede do Coronado, 4785, Port.

SOURCE: Journal of Medicinal Chemistry (1999), 42(14), 2582-2587

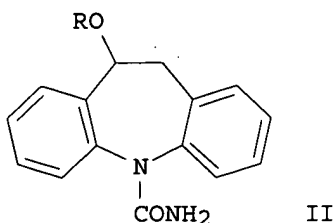
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB A series of esters of the major metabolite of oxcarbazepine (I), 10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide, were synthesized and evaluated for their anticonvulsant and brain sodium channel-blocking properties. The compds. were assayed i.p. and per os in rats against seizures induced by maximal electroshock (MES). Neurol. deficit was evaluated by the rotarod test. The enantiomeric acetates (R)- and (S)-II (R = Ac) were the most active of the series against MES-induced seizures with oral ED50 values at tmax of 10.9  $\pm$  2.3 and 4.7  $\pm$  0.9 mg/kg, resp. After i.p. administration, carbamazepine (III) behaved more potently than I and all other new dibenz[b,f]azepine-5-carboxamide derivs. in the MES test; compds. I and (S)-II (R = Ac) were equally potent. In the rotarod test, low doses of III produced considerable motor impairment, which did not occur with I, enantiomeric alcs. (S)-, (R)-, and racemic alc. II (R = H), or racemic acetate II (R = Ac) or (R)-II (R = Ac). The potencies of the racemic and enantiomerically pure alcs., (S)-, and (R)-II (R = H) derived from I in the MES and rotarod test were found to be similar between them, and consequently they exhibit similar protective index values. All three forms of the alc. and their corresponding acetates were found to differ in the MES or rotarod tests; the ED50 value for the (S)-alc. against MES-induced seizures was nearly 3-fold that for (S)-acetate. The protective index also differed markedly between all stereoisomers of the alc. and their corresponding acetates, most pronouncedly for compd. (S)-II (R = Ac) which attained the highest value (12.5) among all compds. tested. Blockade of voltage-sensitive sodium channels was studied by investigating [3H]batrachotoxinin A 20- $\alpha$ -benzoate ([3H]BTX) binding. Acetates (R)- and (S)-II (R = Ac) were more potent than the stds. III and I at inhibiting the binding of [3H]BTX to sodium channels and the influx of  $^{22}\text{Na}^+$  into rat brain synaptosomes. It is concluded that acetates (R)- and (S)-II (R = Ac) are not simple metabolic precursors of the alcs. in rodents but that they possess anticonvulsant and sodium channel-blocking properties in their own right.

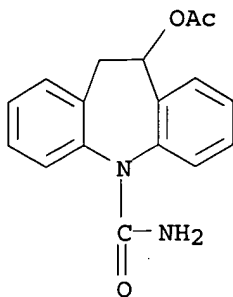
IT **186694-11-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn., anticonvulsant, and sodium channel blocking activity of dibenzazepinecarboxamides)

RN 186694-11-1 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 120 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:331933 CAPLUS

DOCUMENT NUMBER: 131:124930

TITLE: New (Sulfonyloxy)piperazinyldibenzazepines as Potential Atypical Antipsychotics: Chemistry and Pharmacological Evaluation

AUTHOR(S): Liao, Yi; Venhuis, Bastiaan J.; Rodenhuis, Nienke; Timmerman, Wia; Wikstroem, Hkan; Meier, Eddie; Bartoszyk, Gerd D.; Boettcher, Henning; Seyfried, Christoph A.; Sundell, Staffan

CORPORATE SOURCE: Department of Medicinal Chemistry, University of Groningen, Groningen, 9713 AV, Neth.

SOURCE: Journal of Medicinal Chemistry (1999), 42(12), 2235-2244

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of 2- or 8-trifluoromethylsulfonyloxy (TfO) and 2- or 8-methylsulfonyloxy (MsO) 11-piperazinyldibenzodiazepines, -oxazepines, and -thiazepines were synthesized and evaluated in pharmacol. models for their potential clozapine-like properties. In receptor binding assays, the 2-TfO analogs (GMC2-83, GMC3-06, and previously reported GMC1-169) of the dibenzazepines have profiles comparable to that of clozapine, acting on a variety of CNS receptors except they lack M1 receptor affinity. Introduction of 2-TfO to clozapine leads to compd. GMC61-39 which has a similar binding profile as that of clozapine including having M1 receptor affinity. Interestingly, the MsO analogs, as well as the 8-TfO analogs, have no or weak dopaminergic and serotonergic affinities, but all 8-sulfonyloxy analogs do have M1 affinities. In behavioral studies performed to indicate the potential antipsychotic efficacy and the propensity to induce EPS, 2-TfO analogs blocked effectively the apomorphine-induced climbing in mice in a dose-dependent manner with ED50 values (mg/kg) of 2.1 s.c. for GMC1-169, 1.3 po for GMC2-83, 2.6 s.c. for GMC3-06, and 8.2 s.c. for GMC61-39. On the other hand, they showed a clear dose sepn. with regard to their ED50 values (mg/kg) for indicating catalepsy in rats (>44 s.c. for GMC1-169, 28 po for GMC2-83, 30 s.c. for GMC3-06, and >50 s.c. for GMC61-39, resp.), thus implicating a more favorable therapeutic ratio (K/A, ED50 climbing/ED50 catalepsy) in comparison with typical neuroleptics such as haloperidol and isoclozapine. Furthermore, compd. GMC2-83 was also demonstrated to be an orally potent DA antagonist with an ED50 value of 0.7 mg/kg po in the ex vivo L-DOPA accumulation model. The present study contributes to the SAR of 11-piperazinyldibenzazepines, and the 2-TfO analogs of 11-piperazinyldibenzazepines are promising candidates as clozapine-like atypical antipsychotics with low propensity to induce EPS.

10/ 076,573

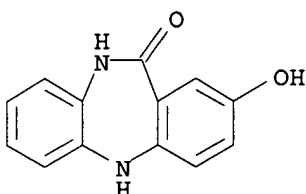
IT 183583-24-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (sulfonyloxy)piperazinyldibenzazepines as potential clozapine-like antipsychotics)

RN 183583-24-6 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,10-dihydro-2-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 121 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:312729 CAPLUS

DOCUMENT NUMBER: 131:5198

TITLE: Preparation of nitrogen-containing heterocyclic compounds as leukocyte activation inhibitors and their use

INVENTOR(S): Ohshima, Etsuo; Takami, Hitoshi; Kumazawa, Toshiaki; Sato, Soichiro

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

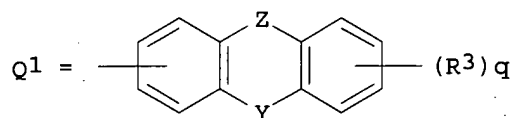
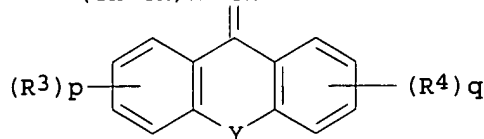
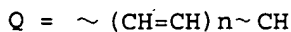
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11130772	A2	19990518	JP 1997-294752	19971028
PRIORITY APPLN. INFO.:			JP 1997-294752	19971028
OTHER SOURCE(S):		MARPAT 131:5198		
GI				



AB AC(:X)NR1(CR2aR2b)x(CH2)yB [I; x = 0, 1; yr = 1-5; R1 = H, lower alkyl, lower cycloalkyl-lower alkyl, lower cycloalkyl, (un)substituted aralkyl; R2a, R2b = any group given for R1 or R2aR2b = lower alkylene; X = O, S; B = 1-4 N-contg. 5-membered heteroaryl, 6-membered heteroaryl, C5-C6 condensed heteroaryl, C-C6 condensed heteroaryl; A = tricyclic group Q [n = 0, 1; Y = direct bond, S, O, CH2, CH2CH2, CH:CH, CH2O, CH2S(O)m (m = 0-2), CONH, CH2NH; if Y = CH2O and n = 1, then R3, R4 = halo, NO2, cyano, lower alkyl, C.gtoreq.2 lower alkoxy, lower alkylamino; if Y = CH2O and n = 0 or Y.noteq. CH2O, then R3, R4 = H, halo, NO2, cyano, lower alkyl, lower alkoxy, lower alkylamino; p, q = 1-4], Q1 [Z = CO, CHOR5 (R5 = H, lower alkyl), C:CH2, NR6 [R6 = H, lower alkyl, lower cycloalkyl, (un)substituted Ph, (un)substituted heteroaryl, (un)substituted aralkyl, heteroarylalkyl]]] and their salts are prepd. I inhibit leukocyte activation and suppress NO release, and are useful as treatment of inflammatory diseases and allergic diseases. 5-Benzyl-N-[1-methyl-4-(3-pyridyl)butyl]-10,11-dihydro-5H-dibenz[b,f]azepine-2-carboxamide (prepn. given) showed 99% inhibition against LPS- and IFN-gamma-stimulated NO release from mouse macrophages. Pharmaceutical formulations contg. I were also given.

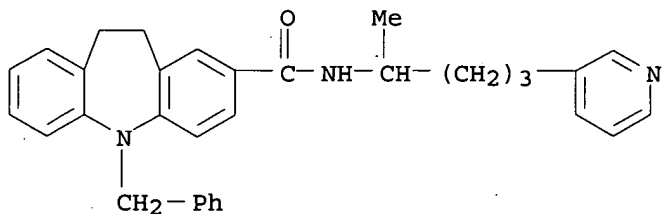
IT 225783-37-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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(prepn. of N-contg. heterocyclic compds. as leukocyte activation and NO
release inhibitors for treatment of inflammatory and allergic diseases)
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RN 225783-37-9 CAPLUS

CN 5H-Dibenz[b,f]azepine-2-carboxamide, 10,11-dihydro-N-[1-methyl-4-(3-pyridinyl)butyl]-5-(phenylmethyl)- (9CI) (CA INDEX NAME)



10/ 076,573

ACCESSION NUMBER: 1999:271604 CAPLUS  
DOCUMENT NUMBER: 130:303836  
TITLE: Highly transparent non-metallic cathodes  
INVENTOR(S): Forrest, Stephen R.; Burrows, Paul; Parthasarathy, Gautam; O'Brien, Diarmuid; Thompson, Mark E.; Yu, Yujian; Shoustikov, Andrei; Petasis, Nicos A.; Sibley, Scott; Loy, Douglas; Koene, Brian E.; Kwong, Raymond C.  
PATENT ASSIGNEE(S): The Trustees of Princeton University, USA; The University of Southern California  
SOURCE: PCT Int. Appl., 165 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9920081	A2	19990422	WO 1998-US21171	19981008
WO 9920081	A3	19990826		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6469437	B1	20021022	US 1997-964863	19971105
US 6303238	B1	20011016	US 1997-980986	19971201
US 6451455	B1	20020917	US 1998-53030	19980401
US 6150043	A	20001121	US 1998-58305	19980410
US 6413656	B1	20020702	US 1998-152960	19980914
AU 9910707	A1	19990503	AU 1999-10707	19981008
EP 1044586	A2	20001018	EP 1998-953300	19981008
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2001520450	T2	20011030	JP 2000-516507	19981008
US 2001053463	A1	20011220	US 2001-900650	20010706
PRIORITY APPLN. INFO.:			US 1997-948130	A 19971009
			US 1997-64005P	P 19971103
			US 1997-964863	A 19971105
			US 1997-980986	A 19971201
			US 1998-53030	A 19980401
			US 1998-53707	A 19980403
			US 1998-58305	A 19980410
			US 1998-152960	A 19980914
			WO 1998-US21171	W 19981008

OTHER SOURCE(S): MARPAT 130:303836

AB Cathodes are described which comprise an elec. conductive non-metallic layer in low-resistance elec. contact with a semiconductive org. layer; optoelectronic device comprising a device for converting elec. energy into optical energy (e.g., org. light-emitting devices and lasers), or optical energy into elec. energy, employing the cathodes are also described. Methods of fabricating optoelectronic devices are described which entail depositing an elec. conductive non-metallic layer on an org. layer so as to form an interface region at the surface of the org. layer that lowers the voltage drop across the two layers when the two layers are used as a cathode in an optoelectronic device. Org. light-emitting devices (OLEDs) in which the highly transparent non-metallic cathodes may be used are also described comprised of a charge carrier layer contg. a compd. having mols. that have .gtoreq.1 electron-transporting moiety and .gtoreq.1

hole-transporting moiety, OLEDs comprised of an emissive layer contg. an azlactone-related dopant, OLEDs comprised of an emissive layer contg. a phosphorescent dopant compd., and OLEDs comprised of a hole transporting layer contg. a glassy org. hole-transporting material comprised of a compd. having a sym. mol. structure. Azlactone derivs. and complexes suitable for use as the dopants are also described.

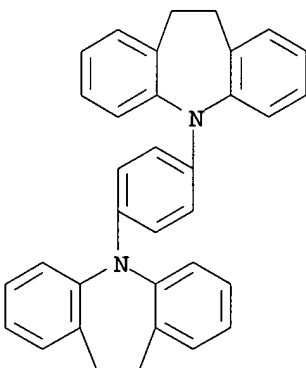
IT 212385-85-8

RL: DEV (Device component use); USES (Uses)

(transparent non-metallic cathodes and optoelectronic devices using them and their fabrication)

RN 212385-85-8 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5,5'-(1,4-phenylene)bis[10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 123 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:246872 CAPLUS

DOCUMENT NUMBER: 130:281580

TITLE: Preparation of thermally stable aminosulfur trifluorides as deoxofluorination agents

INVENTOR(S): Lal, Gauri Sankar; Pez, Guido Peter; Pesaresi, Reno Joseph, Jr.; Syvret, Robert George

PATENT ASSIGNEE(S): Air Products and Chemicals, Inc., USA

SOURCE: Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

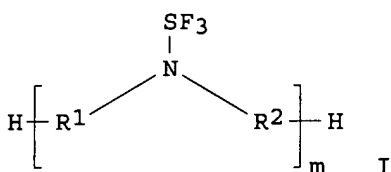
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 908448	A1	19990414	EP 1998-118306	19980925
EP 908448	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6207860	B1	20010327	US 1997-939635	19970929
CA 2248407	AA	19990329	CA 1998-2248407	19980922
JP 11158141	A2	19990615	JP 1998-275235	19980929
JP 3357609	B2	20021216		
US 6242645	B1	20010605	US 2000-535682	20000323

PRIORITY APPLN. INFO.: US 1997-939635 A 19970929

OTHER SOURCE(S): MARPAT 130:281580

GI

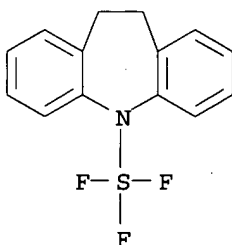


AB Aminosulfur trifluorides I [m = 1-5; when m = 1 R1, R2 = aryl radicals, heterocyclyl, alkoxyalkyl and when m = 2-5 R1 = Ph and R2 = aryl], deoxofluorinating agents, were prepd. E.g., reaction of Ph2NH with SF4 gave Ph2NSF3 quant. Deoxofluorination of 4-tert-butylcyclohexanone by Ph2NSF3 gave 1,1-difluoro-4-tert-butylcyclohexane and 1-fluoro-4-tert-butyl-1-cyclohexene (96:4). The thermal stability of I was studied.

IT **222844-34-0P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of thermally stable aminosulfur trifluorides as deoxofluorination agents)

RN 222844-34-0 CAPLUS

CN Sulfur, (10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)trifluoro-, (T-4)- (9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 124 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:217971 CAPLUS

DOCUMENT NUMBER: 130:296602

TITLE: 3-Trifloxy-3-(trifluoromethyl)propeniminium triflate.  
 Reaction with aromatic amines. An efficient synthesis of 2-(trifluoromethyl)quinolines

AUTHOR(S): Baraznenok, Ivan L.; Nenajdenko, Valentine G.; Balenkova, Elizabeth S.

CORPORATE SOURCE: Department Chemistry, Moscow State University, Moscow, 119899, Russia

SOURCE: European Journal of Organic Chemistry (1999), (4), 937-941  
 CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:296602

AB The reaction of iminium triflates [Me2N+:CHCH:C(O3SCF3)R]CF3SO3- (I; R = CF3, C2F5) with various arom. amines were investigated. 2-(Trifluoromethyl)- and 2-(trifluoroethyl)quinolines were prepd. in excellent yields by reaction of appropriate I with anilines. The reaction of I with diarylamines proceeds, surprisingly, to afford the corresponding .beta.- (perfluoroalkyl) cinnamaldehydes.

IT **223439-24-5P**

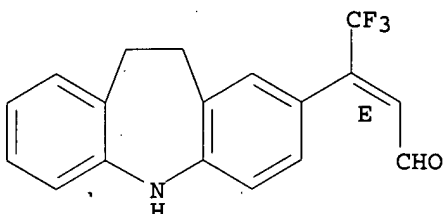
10/ 076,573

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of (fluoromethyl)quinolines by reaction of  
trifloxy(fluoromethyl)propeniminium triflate with arom. amines)

RN 223439-24-5 CAPLUS

CN 2-Butenal, 3-(10,11-dihydro-5H-dibenz[b,f]azepin-2-yl)-4,4,4-trifluoro-,  
(2E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 125 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:216702 CAPLUS

DOCUMENT NUMBER: 130:338010

TITLE: Synthesis of substituted 10,11-dihydro-5H-  
dibenz[b,f]azepines; key synthons in syntheses of  
pharmaceutically active compounds

AUTHOR(S): Jorgensen, Tine Krogh; Andersen, Knud Erik; Lau,  
Jesper; Madsen, Peter; Huusfeldt, Per Olaf

CORPORATE SOURCE: Health Care Discovery, Malov, DK-2760, Den.

SOURCE: Journal of Heterocyclic Chemistry (1999), 36(1), 57-64  
CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:338010

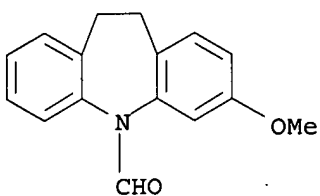
AB Substituted 10,11-dihydro-5H-dibenz[b,f]azepines are key synthons in the  
syntheses of a no. of pharmaceutically active compds. such as imipramine,  
chlorimipramine, and desimipramine analogs. A facile synthesis of  
substituted 10,11-dihydro-5H-dibenz[b,f]azepines is described, starting  
out from com. available 2-bromotoluenes or 2-nitrotoluenes. Initial  
.alpha.-bromination with N-bromosuccinimide and subsequent reaction with  
tri-Et phosphite afforded the corresponding benzylphosphonic ester derivs.  
After reaction with benzaldehyde derivs., the expected Horner-Emmons  
reaction products were obtained. Hydrogenation gave the amino derivs.,  
which were transformed into the corresponding formamides. Under Goldberg  
conditions, the final ring closing step was performed to give the  
substituted 10,11-dihydro-5H-dibenz[b,f]azepines in 46-75% yield.

IT 223787-64-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. 10,11-dihydro-5H-dibenz[b,f]azepines)

RN 223787-64-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxaldehyde, 10,11-dihydro-3-methoxy- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 126 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:215981 CAPLUS

DOCUMENT NUMBER: 130:305586

TITLE: Chemistry of Diazaphospholephosphines. 1. Preparation of Substituted 4-(Phosphino)-2,5-dimethyl-2H-1,2,3-sigma.2-diazaphospholes, Bifunctional Phosphines with Dicoordinate and Tricoordinate Phosphorus(III) Centers. Chromium(0) and Molybdenum(0) Difluorophosphine Complexes

AUTHOR(S): Mikoluk, Michael D.; Cavell, Ronald G.

CORPORATE SOURCE: Department of Chemistry, University of Alberta, Edmonton, AB, T6G 2G2, Can.

SOURCE: Inorganic Chemistry (1999), 38(9), 1971-1981

CODEN: INOCAJ; ISSN: 0020-1669

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

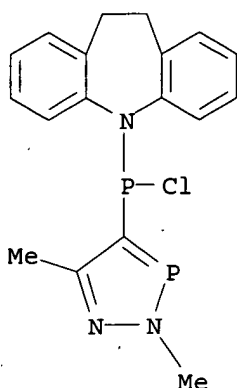
AB. An improved prepn. of 4-(dichlorophosphino)-2,5-dimethyl-2H-1,2,3-sigma.2-diazaphosphole (1) is described. Replacement of the two Cl substituents with two F (2), dimethylamino (3), diethylamino (4), bis(n-propyl)amine (5), pyrazole (9), 3,5-dimethylpyrazole (10), 2,2,2-trifluoroethoxy (11), phenoxy (12), pentafluorophenoxy (13), 2,6-difluorophenoxy (14), and pentafluorobenzoyloxy (15) substituents was accomplished to create a large suite of potentially bifunctional P(III) ligands with two- and three-coordinate P centers spanning a range of basicity and steric bulk at the exo-P center. Bulky secondary amines (such as diisopropylamine, dibenzylamine, and iminodibenzyl) replaced only one Cl atom to give asym. 4-(chloroaminophosphino)-2,5-dimethyl-2H-1,2,3-sigma.2-diazaphospholes (6, 7, and 8, resp.). The asym. substitution creates a diastereotopic center in both 6 and 7 which is obsd. as fluxional NMR behavior at room temp. Similar diastereotopic induced behavior was obsd. in the substituent methylene protons of 11. Coordination studies of the fluorinated phosphole with Cr(0) and Mo(0) gave Cr(CO)5L (16), cis-Mo(CO)4L2 (17), and fac-Mo(CO)3L3 (18) (L = 2 = 4-(difluorophosphino)-2,5-dimethyl-2H-1,2,3-sigma.2-diazaphosphole). The fluoro ligand displays a behavior which is similar to that of PF3 and phosphites.

IT 223459-03-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 223459-03-8 CAPLUS

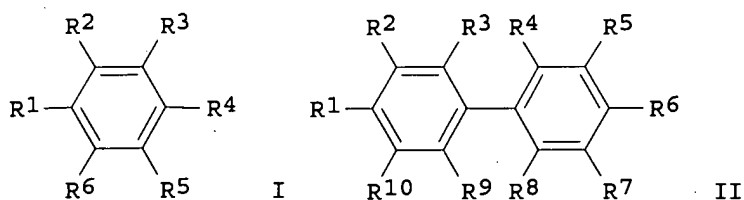
CN Phosphinous chloride, (10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)(2,5-dimethyl-2H-1,2,3-diazaphosphol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 127 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1999:191413 CAPLUS  
 DOCUMENT NUMBER: 130:215721  
 TITLE: OLEDs containing thermally stable asymmetric charge carrier materials  
 INVENTOR(S): Thompson, Mark E.; Koene, Bryan E.; Loy, Douglas E.  
 PATENT ASSIGNEE(S): The University of Southern California, USA  
 SOURCE: PCT Int. Appl., 56 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9913691	A1	19990318	WO 1998-US18363	19980904
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6242115	B1	20010605	US 1997-925029	19970908
AU 9892202	A1	19990329	AU 1998-92202	19980904
TW 469750	B	20011221	TW 1998-87114720	19980904
PRIORITY APPLN. INFO.:			US 1997-925029	A 19970908
			WO 1998-US18363	W 19980904
OTHER SOURCE(S):			MARPAT 130:215721	
GI				



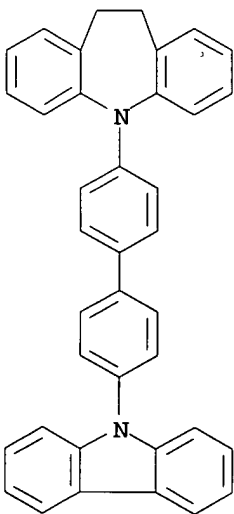
AB Compds. having asym. mol. structures are described by the general formulas I, II and A1N(A2)A3 (R1-10 are independently selected from H and hole-transporting amine groups with the restriction that .gtoreq.2 amine groups are present and .gtoreq.1 of the amine groups is different from .gtoreq.1 other amine group; A1-3 are independently selected amino-substituted Ph groups with the restriction that A1 is not the same as either A2 or A3). Org. light-emitting devices are described which comprise a heterostructure active layer including a charge carrier layer having a glass structure formed from a compd. having an asym. mol. structure, the asym. mol. structure being a core atom or core chem. group bonded to .gtoreq.2 charge carrying substituents with .gtoreq.1 of the charge carrying substituents being different from the other charge carrying substituent or substituents. The charge carrier material is capable of forming a stable glass due to the presence of the compd. having an asym. mol. structure. Methods of fabricating org. light-emitting devices entailing the use of the compds. are also described. Displays and printers incorporating the devices are described. Alq.

IT 212385-39-2P

RL: DEV (Device component use); PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); USES (Uses)  
(thermally stable asym. charge carrier materials and org. light-emitting devices using them)

RN 212385-39-2 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[4'-(9H-carbazol-9-yl)[1,1'-biphenyl]-4-yl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

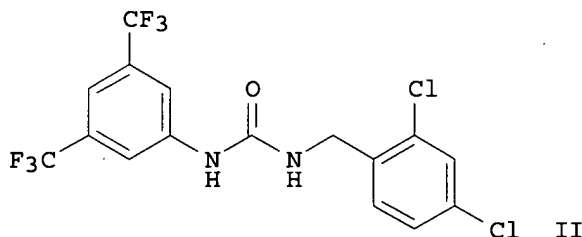
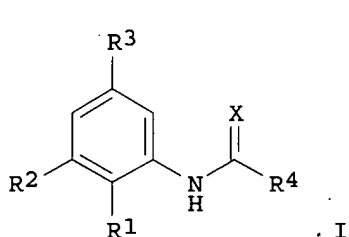
8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/ 076,573

ACCESSION NUMBER: 1999:126872 CAPLUS  
DOCUMENT NUMBER: 130:196506  
TITLE: Derivatives of 2,5- and 3,5-disubstituted anilines,  
their preparation, and use as potassium channel  
openers  
INVENTOR(S): Dorwald, Florencio Zaragoza; Hansen, John Bondo;  
Mogensen, John Patrick; Tagmose, Tina Moller; Pirotte,  
Bernard; Lebrun, Philippe; De Tullio, Pascal; Boverie,  
Stephane; Delarge, Jacques  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
SOURCE: PCT Int. Appl., 48 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907672	A1	19990218	WO 1998-DK337	19980724
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9885341	A1	19990301	AU 1998-85341	19980724
EP 1019367	A1	20000719	EP 1998-936271	19980724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
ZA 9807026	A	20000207	ZA 1998-7026	19980805
PRIORITY APPLN. INFO.:				
			DK 1997-906	A 19970805
			US 1997-55193P	P 19970811
			WO 1998-DK337	W 19980724
OTHER SOURCE(S): MARPAT 130:196506				
GI				



AB Substituted anilines I [R<sup>1</sup>, R<sup>2</sup> = H, CF<sub>3</sub>, halo, provided that both R<sup>1</sup> and R<sup>2</sup> .noteq. H; R<sup>3</sup> = CF<sub>3</sub> or halo; R<sup>4</sup> = (un)substituted alkyl or YR<sup>5</sup>; Y = O or NR<sup>6</sup>; R<sup>5</sup>, R<sup>6</sup> = (un)substituted alkyl; or R<sup>5</sup> and R<sup>6</sup> form a 3- to 8-membered ring; X = O or S], their compns., and methods for prep. them are described. I are useful for the treatment of diseases of the central nervous system, the cardiovascular system, the pulmonary system, the urogenital system, the gastrointestinal system and the endocrinol. system. In particular, the compds. are claimed as potassium channel openers useful in the treatment of endocrinol. diseases such as diabetes. Approx. 220 compds. are listed and claimed, and synthetic examples for several are provided. For instance, reaction of 2,4-dichlorobenzyl isocyanate with 3,5-bis(trifluoromethyl)aniline in PhMe at 90.degree. in the presence of

10/ 076,573

Et3N gave title compd. II in 34% yield. The most active compds. showed IC50 values of 600 nM in an assay for potassium channel openers.

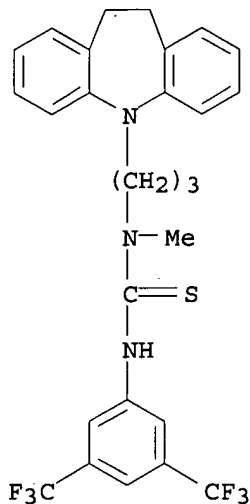
IT 220635-59-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of disubstituted aniline derivs. as potassium channel openers)

RN 220635-59-6 CAPLUS

CN Thiourea, N'-[3,5-bis(trifluoromethyl)phenyl]-N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 129 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:118523 CAPLUS

DOCUMENT NUMBER: 130:251915

TITLE: Resin-supported labeling reagents

AUTHOR(S): Adamczyk, Maciej; Fishpaugh, Jeffrey R.; Mattingly, Phillip G.

CORPORATE SOURCE: Department of Chemistry, Diagnostics Division, Abbott Laboratories, Abbott Park, IL, 60064-6016, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(2), 217-220

CODEN: BMCLE8; ISSN: 0960-894X

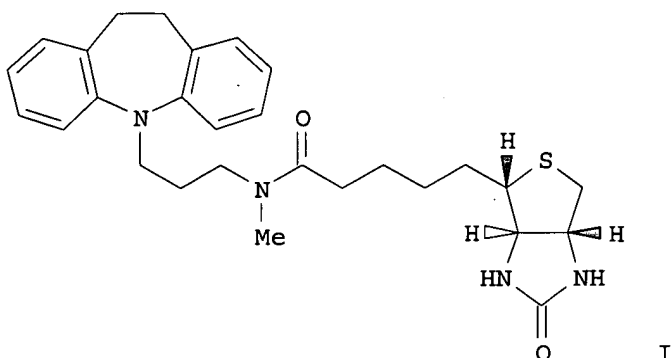
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:251915

GI



AB Resin-supported fluorescein, coumarin, acridinium, and biotin active esters were prepd. from a new N-hydroxysuccinimidyl resin in high yield. The active esters were used to prep. representative conjugates with estriol, thyroxine, phenytoin, and desipramine haptens without need for purifn. beyond removal of the spent resin. E.g., desipramine and 6 equiv. of the biotin active ester resin were suspended in DMF and stirred for 20h; the resin was filtered and the filtrates evapd. to give the amine-biotin conjugate I in 98% yield.

IT 221538-46-1P

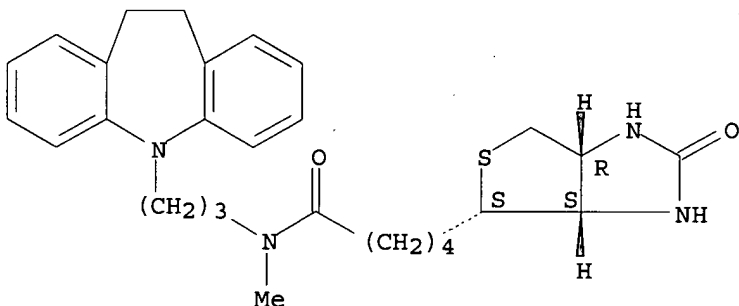
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of amine conjugates with fluorescein, acridinium inner salt, biotin, and a coumarin octanoic acid by acylation of amines with resin-supported active esters)

RN 221538-46-1 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]hexahydro-N-methyl-2-oxo-, (3aS,4S,6aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

14

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 130 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:98337 CAPLUS

DOCUMENT NUMBER: 130:223552

TITLE: Preparation and use of N-hydroxysuccinimidyl active ester resins

AUTHOR(S): Adamczyk, Maciej; Fishpaugh, Jeffrey R.; Mattingly, Phillip G.

CORPORATE SOURCE: Divisional Organic Chemistry, Abbott Laboratories, Abbott Park, IL, 60064, USA

SOURCE: Tetrahedron Letters (1999), 40(3), 463-466

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

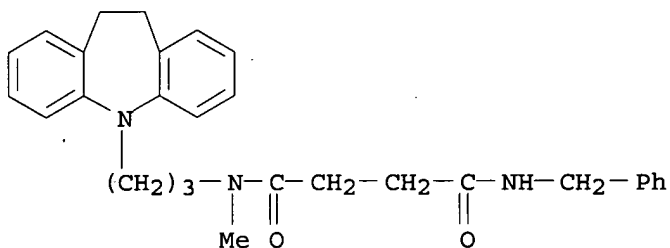
AB Syntheses of solid-phase active esters derived from new N-hydroxysuccinimidyl (HOSu) resins HOSu-SCH<sub>2</sub>-p-C<sub>6</sub>H<sub>4</sub>-P (P = polymer) are described. Their practical utility is illustrated in the ready formation of amides in high yield and high purity.

IT 221105-92-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and use of N-hydroxysuccinimidyl active ester resins)

RN 221105-92-6 CAPLUS

CN Butanediamide, N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-N-methyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 131 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:58350 CAPLUS

DOCUMENT NUMBER: 130:267383

TITLE: Flow vacuum pyrolysis of tetrazoles with annelated dibenzocycloalkane skeletons

AUTHOR(S): Banciu, Mircea D.; Popescu, Angela; Simion, Alina; Draghici, Constantin; Mangra, Cristina; Mihaiescu, Dan; Pocol, Monica

CORPORATE SOURCE: Organic Chemistry Laboratory, Polytechnic University Bucharest, Bucharest, 76206, Rom.

SOURCE: Journal of Analytical and Applied Pyrolysis (1999), 48(2), 129-146

CODEN: JAAPDD; ISSN: 0165-2370

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

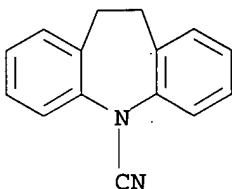
AB Three new dibenzoannelated tetrazoloazocines were synthesized from the corresponding ketones and in situ generated triazidochlorosilane. The new compds. were characterized by IR, <sup>1</sup>H-, <sup>13</sup>C-NMR and MS data. The flow-vacuum pyrolysis of the tetrazoloazocines, of a recently described tetrazoloazonine, and of 1,5-diphenyltetrazole at 1.33 mbar and temps. between 400-550.degree.C were studied by GC/MS. The main reaction product of tetrazolo[1,5-a]dibenzo[c,g]azocine was 6H-quinindoline whereas the principal products of 12,13-dihydrotetrazolo[1,5-a]dibenzo[c,g]azocine, 5,9-dihydrotetrazolo[1,5-a]dibenzo[d,f]azocine, and 12H-13,14-dihydrotetrazolo[1,5-a]dibenzo[c,h]azonine were the ring contracted N-cyano derivs. Diphenyltetrazole afforded diphenylcarbodiimide and 2-phenylbenzimidazole. The reaction mechanisms are discussed. The N-cyano-derivs. are structurally strongly related to recent anti-amnesia drugs.

IT 221908-80-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(flow vacuum pyrolysis of tetrazoles with annelated dibenzocycloalkane)

10/ 076,573

skeletons)  
RN 221908-80-1 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carbonitrile, 10,11-dihydro- (9CI) (CA INDEX  
NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 132 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1999:34896 CAPLUS  
DOCUMENT NUMBER: 130:110162  
TITLE: Preparation of N-substituted azaheterocyclic compounds  
for the clinical treatment of painful, hyperalgesic  
and/or inflammatory conditions in which C-fibers play  
a pathophysiological role  
INVENTOR(S): Andersen, Knud Erik; Jorgensen, Tine Krogh; Hohlweg,  
Rolf; Fischer, Erik; Olsen, Uffe Bang; Polivka,  
Zdenek; Sindelar, Karel; Valenta, Vladimir  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
SOURCE: PCT Int. Appl., 41 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9900367	A1	19990107	WO 1998-DK273	19980622
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6040318	A	20000321	US 1998-98579	19980617
AU 9879074	A1	19990119	AU 1998-79074	19980622
EP 991621	A1	20000412	EP 1998-929235	19980622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002515914	T2	20020528	JP 1999-505222	19980622
ZA 9805448	A	19990119	ZA 1998-5448	19980623
US 6066632	A	20000523	US 1999-376735	19990817
US 6100253	A	20000808	US 1999-376734	19990817
US 6114354	A	20000905	US 1999-375745	19990817
PRIORITY APPLN. INFO.:				
			DK 1997-751	A 19970625
			US 1997-51833P	P 19970707
			US 1998-98579	A3 19980617
			WO 1998-DK273	W 19980622
OTHER SOURCE(S): MARPAT 130:110162 GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; Y = >N-CH2-, >CH-CH2-, >C:CH- (only the first atom participates in the ring system); X = o-phenylene, O, S, etc.; r = 1-3; Z = II-V (wherein R3 = (CH2)pCO2H; p = 2-6)] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation as well as their use for treatment of indications caused by or related to the secretion and circulation of insulin antagonizing peptides, e.g. non-insulin-dependent diabetes mellitus (NIDDM) and ageing-assocd. obesity, were prepd. and formulated. Thus, reaction of 5-(3-bromo-1-propylidene)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene with 3-(piperidin-3-yl)propionic acid Et ester (prepn. given) in the presence of K2CO3 in DMF followed by hydrolysis of the resulting ester afforded VI.HCl which showed 42% inhibition of histamine induced hyperglycemia at 1.0 mg/kg.

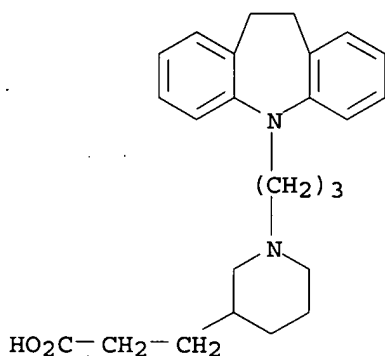
IT 219608-69-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-substituted azaheterocyclic compds. for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role)

RN 219608-69-2 CAPLUS

CN 3-Piperidinepropanoic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

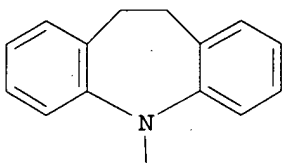
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 133 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1999:23406 CAPLUS  
 DOCUMENT NUMBER: 130:131615  
 TITLE: Light-emitting devices containing iminodibenzyl backbone-containing compounds  
 INVENTOR(S): Kohama, Akira; Himeshima, Yoshio; Fujinomori, Shigeo  
 PATENT ASSIGNEE(S): Toray Industries, Inc., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.  
 CODEN: JKXXAF

10/ 076,573

DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11003049	A2	19990106	JP 1997-156502	19970613
PRIORITY APPLN. INFO.:			JP 1997-156502	19970613
OTHER SOURCE(S):	MARPAT 130:131615			
GI				



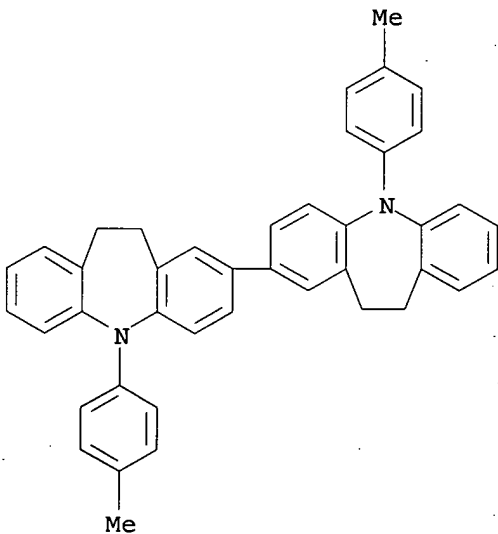
I

AB Light-emitting devices are described which contain a compd. contg. an iminodibenzyl backbone described by the general formula I. The device shows high luminance and improved durability.

IT **219837-43-1**  
RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)  
(iminodibenzyl deriv.-contg. light-emitting devices with high luminance and improved durability)

RN 219837-43-1 CAPLUS

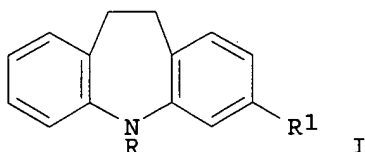
CN 2,2'-Bi-5H-dibenz[b,f]azepine, 10,10',11,11'-tetrahydro-5,5'-bis(4-methylphenyl)- (9CI) (CA INDEX NAME)



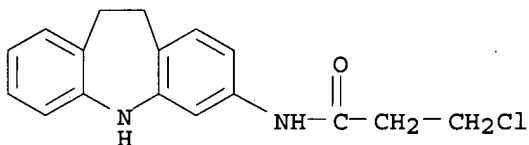
L7 ANSWER 134 OF 200 CAPLUS. COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1998:808886 . CAPLUS  
DOCUMENT NUMBER: 130:153563  
TITLE: Synthesis of 3,5-disubstituted 10,11-dihydro-5H-dibenz[b,f]azepines

10/ 076,573

AUTHOR(S): Gritsenko, A. N.; Skoldinov, A. P.  
CORPORATE SOURCE: Inst. Farmakol., RAMN, Moscow, Russia  
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1998), 32(9),  
46-48  
CODEN: KHFZAN; ISSN: 0023-1134  
PUBLISHER: Izdatel'stvo Folium  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
GI

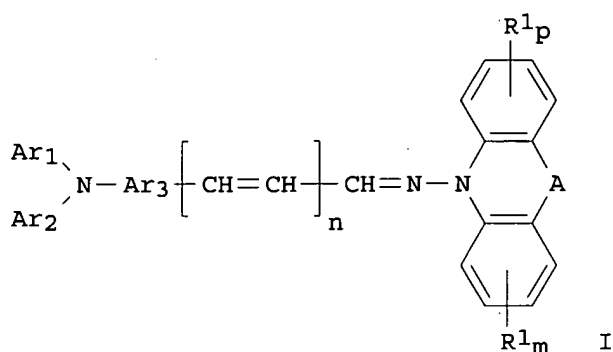


AB Several title compds., e.g., I (R = COCH<sub>2</sub>Cl, R<sub>1</sub> = NHCOCH<sub>2</sub>Cl; R = CPh, R<sub>1</sub> = NHCOCH<sub>2</sub>NEt<sub>2</sub>.cntdot.HCl) were prepd. from I (R = H, R<sub>1</sub> = NH<sub>2</sub>). Also, I (R = COCH<sub>2</sub>NMe<sub>2</sub>, R<sub>1</sub> = NH<sub>2</sub>) was converted to several I (R = COCH<sub>2</sub>NMe<sub>2</sub>; R<sub>1</sub> = acylamino).  
IT 220194-74-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and acylation of)  
RN 220194-74-1 CAPLUS  
CN Propanamide, 3-chloro-N-(10,11-dihydro-5H-dibenz[b,f]azepin-3-yl) - (9CI) (CA INDEX NAME)



L7 ANSWER 135 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1998:768204 CAPLUS  
DOCUMENT NUMBER: 130:73816  
TITLE: Novel hydrazone compound having benzazepine skeleton for charge-transporting material  
INVENTOR(S): Sato, Tadahisa  
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10316875	A2	19981202	JP 1997-131508	19970521
PRIORITY APPLN. INFO.:			JP 1997-131508	19970521
OTHER SOURCE(S):			MARPAT 130:73816	
GI				

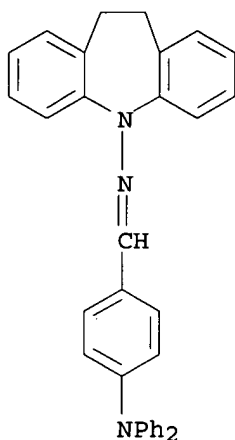


AB The compd., useful for electroluminescent devices and electrophotog. photoreceptors as a storage-stable charge-transporting material, is I [A = single bond, (m)ethylene, vinylene, o-arylene; Ar1-2 = aryl; Ar3 = arylene; R1-2 = halo, alkyl (oxy), aryl, dialkylamino, N-alkyl-N-arylamino, diarylamino; p, m = 0-4].

IT 218272-51-6P  
 RL: PNU (Preparation, unclassified); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
 (hydrazone with benzazepine skeleton for charge-transporting material with good storage stability)

RN 218272-51-6 CAPLUS

CN 5H-Dibenz[b,f]azepin-5-amine, N-[[4-(diphenylamino)phenyl]methylene]-10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 136 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:760033 CAPLUS

DOCUMENT NUMBER: 130:14000

TITLE: Preparation of 5-(4-piperidinyl)dibenzothiazepines and -dibenzoxazepines as antiarrhythmic agents.

INVENTOR(S): Katano, Kiyoaki; Satoh, Takahiko; Soneda, Tomoko; Kamitoh, Naoko; Fujishima, Kazuyuki; Hachisu, Mitsugu

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: Eur. Pat. Appl., 11 pp.  
 CODEN: EPXXDW

DOCUMENT TYPE: Patent

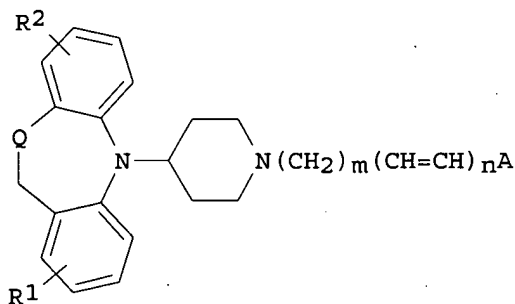
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 878475	A2	19981118	EP 1998-303841	19980515
EP 878475	A3	19981125		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 11029570	A2	19990202	JP 1998-133860	19980515
US 6063779	A	20000516	US 1998-79861	19980515
PRIORITY APPLN. INFO.:			JP 1997-124608	19970515
OTHER SOURCE(S):			MARPAT 130:14000	

GI



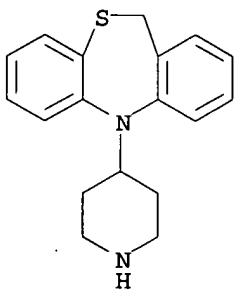
AB Title compds. [I; R1, R2 = H, halo, (halo)alkyl; A = H, cycloalkyl, Ph, 5-6 membered heterocyclyl, NR3R4, COR5; R3, R4 = H, (substituted) alkyl; R5 = OH, amino, alkoxy; Q = S, O; m = 0-18; n = 0-2], were prepd. Thus, 2-(2-bromobenzylthio)aniline and 1-tert-butoxycarbonylpiperidin-4-one were stirred with NaH(AcO)3B in dichloroethane to give 91% 2-(2-bromobenzylthio)-N-(1-tert-butoxycarbonyl-4-piperidinyl)aniline. The latter was refluxed 3 days with Cu and K2CO3 in pyridine to give 90% 5-(1-tert-butoxycarbonylpiperidin-4-yl)-5,11-dihydrobenz[b,e][1,4]thiazepine. This was treated with CF3CO2H in anisole to give 82% 5-(piperidin-4-yl)-5,11-dihydrobenz[b,e][1,4]thiazepine. I at 0.1-1.0 mg/kg reduced incidence of arrhythmia and mortality in rats in the ischemic reperfusion model.

IT 216018-38-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 5-(4-piperidinyl)dibenzothiazepines and -dibenzoxazepines as antiarrhythmics)

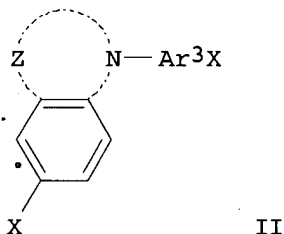
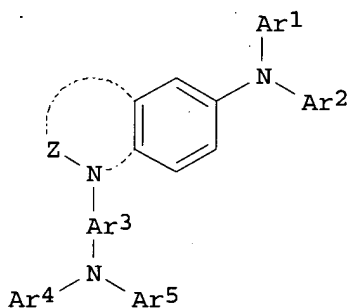
RN 216018-38-1 CAPLUS

CN Dibenzo[b,e][1,4]thiazepine, 5,11-dihydro-5-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 137 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:758642 CAPLUS  
 DOCUMENT NUMBER: 130:59060  
 TITLE: Diarylamino-containing heterocyclic compounds, their preparation, and their uses in electroluminescent element and electrophotographic photoreceptor  
 INVENTOR(S): Ueda, Hideaki; Kitahora, Takeshi  
 PATENT ASSIGNEE(S): Minolta Camera Co., Ltd., Peop. Rep. China  
 SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10310574	A2	19981124	JP 1997-119167	19970509
PRIORITY APPLN. INFO.:			JP 1997-119167	19970509
OTHER SOURCE(S):		MARPAT 130:59060		
GI				



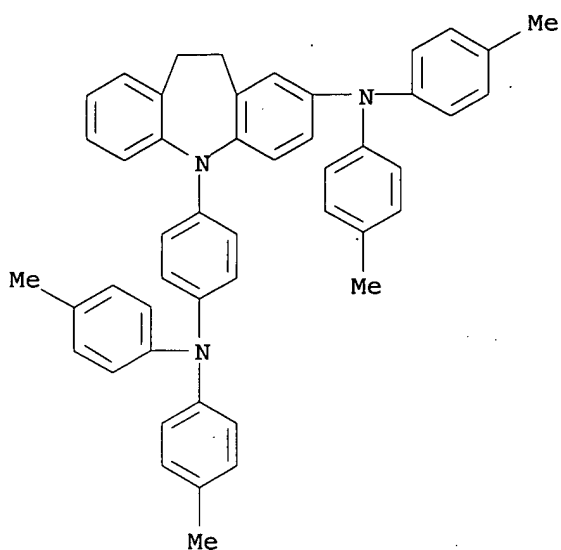
AB Title compds. I [Ar1, Ar2, Ar4, Ar5 = (substituted) aryl, heterocyclyl; Ar3 = (substituted) arylene, heterocyclylene; Z = heterocycle residue] are prepd. by (1) reaction of dihalo compds. II (Ar3, Z = same as I; X = halo) with Ar1Ar2NH and Ar4Ar5NH (Ar1, Ar2, Ar4, Ar5 = same as I) or (2) reaction of diamines II (X = NH2) with Ar1X, Ar2X, Ar4X, and Ar5X (Ar1, Ar2, Ar4, Ar5 = same as I; X = halo). Also claimed are electroluminescent element having a layer contg. I, electrophotog. photoreceptor contg. I as charge-transporting material, and hole-transporting material comprising I. The materials show good durability.

IT 217178-45-5

RL: TEM (Technical or engineered material use); USES (Uses)  
 (diarylamino-contg. heterocyclic compd. as charge-transporting material for electroluminescent element and electrophotog. photoreceptor)

RN 217178-45-5 CAPLUS

CN 5H-Dibenz[b,f]azepin-2-amine, 5-[4-[bis(4-methylphenyl)amino]phenyl]-10,11-dihydro-N,N-bis(4-methylphenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 138 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:758641 CAPLUS

DOCUMENT NUMBER: 130:24970

TITLE: Preparation of N-halobiphenyl-substituted heterocyclic compounds as intermediates for charge-transporting materials for electrophotographic photoreceptors

INVENTOR(S): Ueda, Hideaki; Kitahara, Takeshi; Nozaki, Takeshi

PATENT ASSIGNEE(S): Minolta Camera Co., Ltd., Peop. Rep. China

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

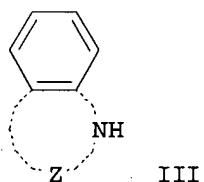
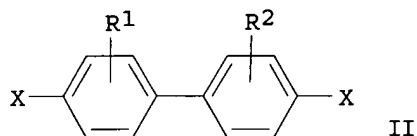
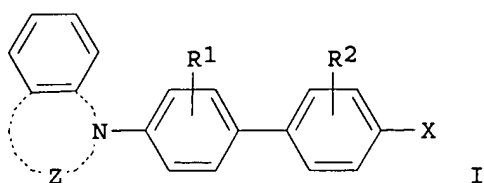
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10310573	A2	19981124	JP 1997-119199	19970509
PRIORITY APPLN. INFO.:			JP 1997-119199	19970509
OTHER SOURCE(S):		MARPAT 130:24970		
GI				



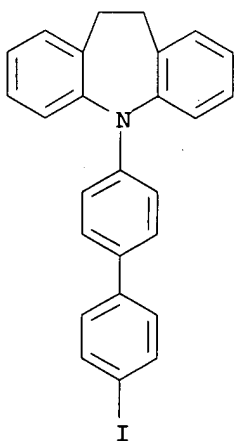
AB Title compds. I ( $R_1$ ,  $R_2$  = H, alkyl, alkoxy; Z = heterocycle residue; X = halo) are prepd. by reaction of dihalobiphenyls II ( $R_1$ ,  $R_2$ , X = same as I) with N-contg. heterocyclic compds. III (Z = same as I). II ( $R_1$  =  $R_2$  = H, X = I) was treated with carbazole in  $\text{PhNO}_2$  in the presence of  $\text{K}_2\text{CO}_3$  and Cu under reflux for 24 h to give 60% N-4'-iodo-4-biphenylcarbazole, which was aminated by 4,4'-ditolylamine to give I [ $R_1$  =  $R_2$  = H, Z = carbazole residue, X =  $\text{N}(\text{C}_6\text{H}_4\text{Me-p})_2$ ]. Electroluminescent element was prepd. using the product.

IT 212385-52-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of halobiphenyl-substituted heterocyclic compds. as intermediates for charge-transporting materials for electrophotog. photoreceptors)

RN 212385-52-9 CAPLUS

CN: 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-(4'-iodo[1,1'-biphenyl]-4-yl)-(9CI) (CA INDEX NAME)

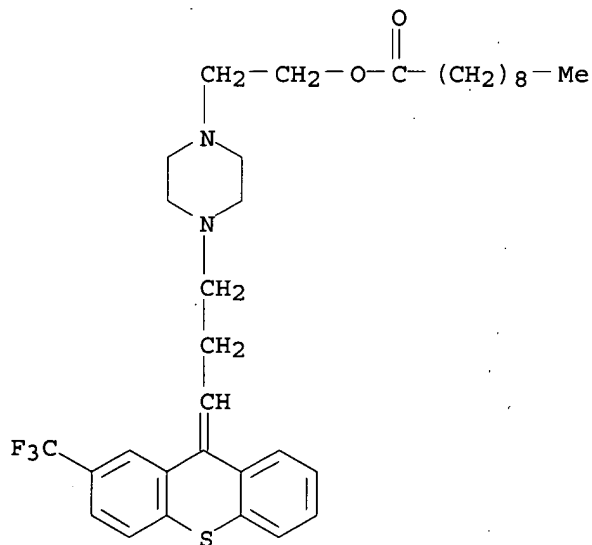


L7 ANSWER 139 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:733898 CAPLUS  
 DOCUMENT NUMBER: 130:180991  
 TITLE: Diagnosis with psychological test and treatment with  
 Deanxit in cardiac neurosis  
 AUTHOR(S): Mao, Jialiang; Wang, Binyao  
 CORPORATE SOURCE: Department of Cardiology, Renjin Hospital, Shanghai  
 Second Medical University, Shanghai, 200001, Peop.  
 Rep. China  
 SOURCE: Shanghai Dier Yike Daxue Xuebao (1998), 18(4), 309-311  
 CODEN: SDDXE3; ISSN: 0258-5898  
 PUBLISHER: Shanghai Dier Yike Daxue Xuebao Bianjibu  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese  
 AB There is no rational diagnosis and appropriate therapy of cardiac neurosis  
 hitherto. Psychol. test to diagnosis and Deanxit to treat cardiac  
 neurosis was studied. The result showed that Zung Psychol. Test and  
 Deanxit were helpful in the diagnosis and therapy of cardiac neurosis.  
 Both the diagnosis and treatment of neurosis are worthwhile to be further  
 investigated and evaluated.  
 IT 214556-54-4, Deanxit  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (diagnosis with psychol. test and treatment with Deanxit in Chinese  
 human cardiac neurosis patients)  
 RN 214556-54-4 CAPLUS  
 CN Decanoic acid, 2-[4-[3-[2-(trifluoromethyl)-9H-thioxanthen-9-  
 yliden]propyl]-1-piperazinyl]ethyl ester, mixt. with 10,11-dihydro-N,N-  
 dimethyl-5H-dibenz[b,f]azepine-5-propanamine (9CI) (CA INDEX NAME)

CM 1

CRN 30909-51-4

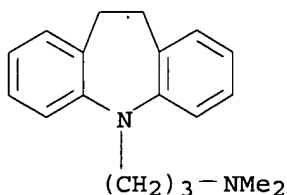
CMF C33 H43 F3 N2 O2 S



CM 2

CRN 50-49-7

CMF C19 H24 N2



L7 ANSWER 140 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:727783 CAPLUS

DOCUMENT NUMBER: 130:90087

TITLE: Serine proteases-directed small molecule probe libraries

AUTHOR(S): Dhanoa, Dale S.; Soll, Richard M.; Subasinghe, Nalin; Wu, Zhengdong; Rinker, James; Hoffman, James; Eisennagel, Stephen; Graybill, Todd; Bone, Roger; Radzicka, Anna; Murphy, Larry; Salemme, F. Raymond

CORPORATE SOURCE: 3-Dimensional Pharmaceuticals, Inc., Exton, PA, 19341, USA

SOURCE: Medicinal Chemistry Research (1998), 8(4/5), 187-205  
CODEN: MCREEB; ISSN: 1054-2523

PUBLISHER: Birkhaeuser Boston

DOCUMENT TYPE: Journal

LANGUAGE: English

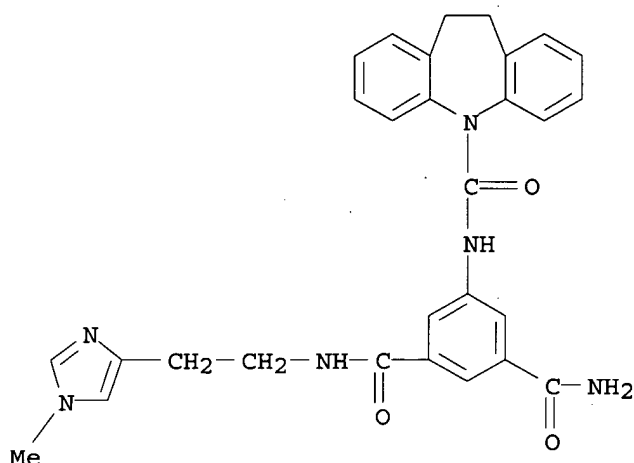
AB Chem. strategies are described for the design and automated high throughput synthesis of probe libraries of individual small mols. suitable for optimization into novel, potent, selective and orally bioavailable enzyme inhibitors. These libraries were directed towards serine proteases and were designed to incorporate novel scaffolds, structural diversity and other pharmacophoric features that served as peptide backbone replacements. The solid phase synthesis of probe libraries based on aryl scaffolds contg. amides, sulfonamides, sulfonates, ureas, and guanidines are described. Screening of the libraries against a series of serine proteases including thrombin and factor Xa produced a no. of useful hits appropriate for further optimization.

IT 208756-16-5P

RL: PNU (Preparation, unclassified); PRP (Properties); PREP (Preparation)  
(serine proteases-directed small mol. probe libraries)

RN 208756-16-5 CAPLUS

CN 1,3-Benzenedicarboxamide, 5-[[[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]amino]-N-[2-(1-methyl-1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 141 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:713027 CAPLUS

DOCUMENT NUMBER: 130:52395

TITLE: Solid-phase synthesis of 1,5-benzodiazepin-2-ones

AUTHOR(S): Schwarz, Matthias; Tumelty, David; Gallop, Mark A.

CORPORATE SOURCE: Affymax Res. Inst., Palo Alto, CA, 94304, USA

SOURCE: Tetrahedron Letters (1998), 39(46), 8397-8400

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A solid-phase synthesis of polysubstituted 1,5-benzodiazepin-2-ones is described. Resin-bound 4-fluoro-3-nitrobenzoic acid was reacted with different .beta.-amino acids, followed by nitro group redn. and formation of the seven-membered ring. Subsequent alkylations at N(5) and N(1) afforded the title compds. in high purities and yields.

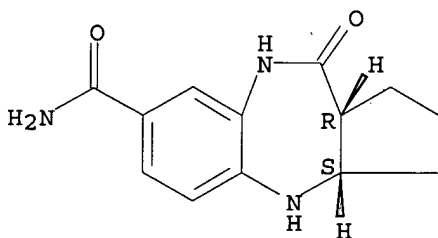
IT 217300-43-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(solid-phase synthesis of benzodiazepinones)

RN 217300-43-1 CAPLUS

CN Benzo[b]cyclopenta[e][1,4]diazepine-7-carboxamide, 1,2,3,3a,4,9,10,10a-octahydro-10-oxo-, (3aR,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 142 OF 200 CAPLUS COPYRIGHT 2003 ACS

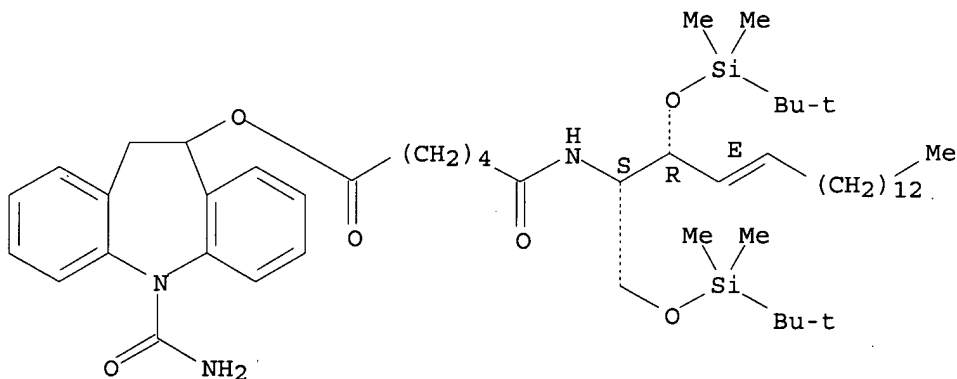
ACCESSION NUMBER: 1998:703420 CAPLUS

DOCUMENT NUMBER: 129:335730  
 TITLE: Covalent polar lipid conjugates with neurologically active compounds for targeting  
 INVENTOR(S): Yatvin, Milton B.; Stowell, Michael H. B.; Meredith, Michael J.  
 PATENT ASSIGNEE(S): Oregon Health Sciences University, USA  
 SOURCE: U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 685,152.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5827819	A	19981027	US 1996-735977	19961025
US 5149794	A	19920922	US 1990-607982	19901101
US 5256641	A	19931026	US 1992-911209	19920709
US 5543389	A	19960806	US 1993-142771	19931026
US 5965519	A	19991012	US 1996-685152	19960723
US 6024977	A	20000215	US 1997-923015	19970903
AU 9850909	A1	19980515	AU 1998-50909	19971027
AU 738524	B2	20010920		
EP 944399	A2	19990929	EP 1997-913811	19971027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002514188	T2	20020514	JP 1998-519709	19971027
CA 2269947	C	20020813	CA 1997-2269947	19971027
US 6436437	B1	20020820	US 2000-503892	20000215
PRIORITY APPLN. INFO.:			US 1990-607982	A2 19901101
			US 1992-911209	A2 19920709
			US 1993-142771	A1 19931026
			US 1996-685152	A2 19960723
			US 1996-735977	A3 19961025
			US 1997-923015	A3 19970903
			WO 1997-US19486	W 19971027
AB	<p>A method of facilitating the entry of drugs into cells and tissues at physiol. protected sites at pharmacokinetically useful levels and also a method of targeting drugs to specific organelles within the cell are described. This polar lipid/drug conjugate targeting invention embodies an advance over other drug targeting methods known in the prior art, because the invention provides drug concns. in such physiol. protected sites that can reach therapeutically-effective levels after administration of systemic levels much lower than are currently administered to achieve a therapeutic dose. This technol. is appropriate for use with psychotropic, neurotropic and neurol. drugs, agents and compds., for rapid and efficient introduction of such agents across the blood-brain barrier. Further, the invention provides means for retention and prolonged enzymic release of psychotropic, neurotropic and neurol. drugs, agents and compds. comprising the conjugates of the invention, in the brain and central nervous system. Methotrexate (I) linked to sphingosine via an ester linkage to 6-hydroxyhexanoic acid spacer was prepd. Growth inhibitory effects of I conjugate was tested on murine NIH3T3 cells. The prodrug was ineffective in inhibiting cell growth or survival in the absence of brain ext. Upon addn. of brain ext., a significant increase in I cytotoxicity was obsd., which was consistent with cleavage of the ester linkage by the brain ext.-derived esterase.</p>			
IT	<p><b>215163-96-5P</b>          RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)          (covalent polar lipid conjugates with neurol. active compds. for targeting)</p>			
RN	<p>215163-96-5 CAPLUS</p>			

CN Hexanoic acid, 6-[[[(1S,2R,3E)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3-heptadecenyl]amino]-6-oxo-, 5-(aminocarbonyl)-10,11-dihydro-5H-dibenz[b,f]azepin-10-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



REFERENCE COUNT: 211 THERE ARE 211 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 143 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:685634 CAPLUS  
 DOCUMENT NUMBER: 129:308359  
 TITLE: Hole transporting materials with high glass transition temperatures for use in organic light-emitting devices  
 AUTHOR(S): O'Brien, Diarmuid F.; Burrows, Paul E.; Forrest, Stephen R.; Koene, Bryan E.; Loy, Douglas E.; Thompson, Mark E.  
 CORPORATE SOURCE: Dep. Electrical Engineering, Center Photonics Optoelectronic Materials, Princeton Materials Institut, Princeton Univ., Princeton, NJ, 08544, USA  
 SOURCE: Advanced Materials (Weinheim, Germany) (1998), 10(14), 1108-1112  
 CODEN: ADVMEW; ISSN: 0935-9648  
 PUBLISHER: Wiley-VCH Verlag GmbH  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

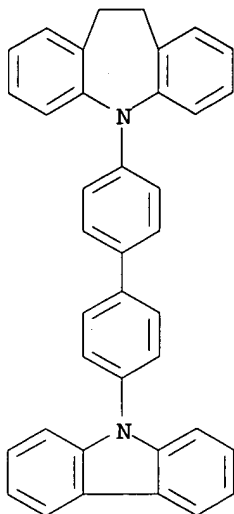
AB Efficient and stable org. light-emitting devices were fabricated using hole transporting materials with a high glass transition temp. (Tg). A series of devices utilizing high Tg hole transporting layers consisting of compds. with a biphenyl backbone were investigated with respect to their I-V characteristics, external quantum efficiencies, ionization potentials, and electron affinities. N,N'-diphenyl-N,N'-bis-9-phenanthrylbenzidine and 4,4'-bis(N-iminostilbenyl)biphenyl had excellent device characteristics coupled to a high Tg. There is no relationship between the HOMO energy and device quantum efficiency or turn-on voltage and an asym. substitution of the amine group hinders charge transport, thereby raising the turn-on and operating voltages.

IT 212385-39-2  
 RL: DEV.(Device component use); PRP (Properties); USES (Uses)  
 (electronic, elec., and optical properties of hole transporting materials for LEDs with biphenyl backbone showing high glass transition temp.)

RN 212385-39-2 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[4'-(9H-carbazol-9-yl)[1,1'-biphenyl]-4-yl]-10,11-

dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 144 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:598727 CAPLUS

DOCUMENT NUMBER: 130:3741

TITLE: Synthesis of predecessor of .beta.-carboline-tryptamine-Nb-amide derivatives and benzodiazepine receptor activity

AUTHOR(S): Mo, Anguo; Wen, Ren

CORPORATE SOURCE: School of Pharmacy, Shanghai Medical University, Shanghai, 200032, Peop. Rep. China

SOURCE: Zhongguo Yaowu Huaxue Zazhi (1997), 7(3), 171-174, 179  
CODEN: ZYHZEJ; ISSN: 1005-0108

PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

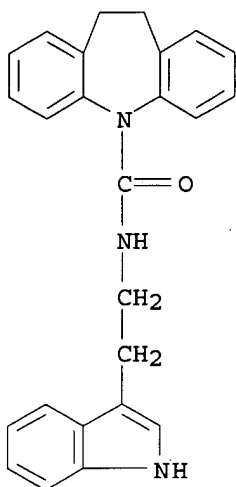
AB Several derivs. of tryptamine-Nb-amide were synthesized using indole as a starting material. The binding tests in vitro were performed to detect the affinity of these compds. with the benzodiazepine receptor (BZR). It suggest that most of the designed compds. had specific binding affinity to BZR.

IT 215789-25-6P

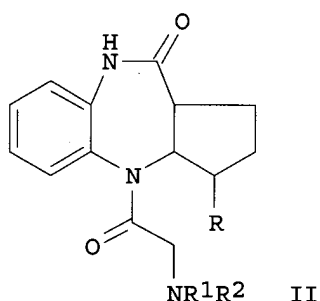
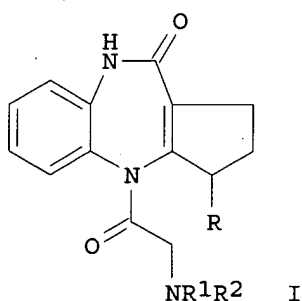
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis of predecessor of .beta.-carboline-tryptamine-Nb-amide derivs. and benzodiazepine receptor activity)

RN 215789-25-6 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-N-[2-(1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 145 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:598705 CAPLUS  
 DOCUMENT NUMBER: 130:3832  
 TITLE: Synthesis of cyclopentabenzodiazepinic compounds as selective M1-receptor antimuscarinics  
 AUTHOR(S): Yang, Bin; Yun, Liuhong; Cui, Wenyu; Wang, Hai  
 CORPORATE SOURCE: Institute of Pharmacology + Toxicology, Academy of Military Medical Science, Beijing, 100850, Peop. Rep. China  
 SOURCE: Zhongguo Yaowu Huaxue Zazhi (1997), 7(2), 79-83  
 CODEN: ZYHZEJ; ISSN: 1005-0108  
 PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese  
 GI



AB Cyclopentabenzodiazepinic compds. I and II [R = H, Me; NR1R2 = piperidyl, (un)substituted piperazinyl] were synthesized and their binding studies on M1, M2 receptors were conducted in rat tissue homogenates. I and II had appreciable M1-receptor selectivity and some compds. had higher M1 receptor affinity than pirenzepine (PZ).

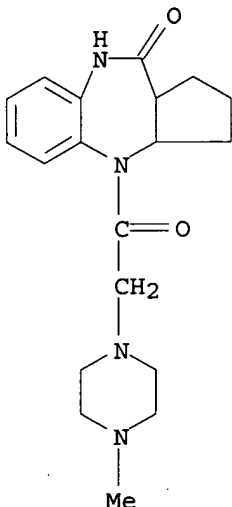
IT 215665-26-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (synthesis of cyclopentabenzodiazepinic compds. as selective M1-receptor antagonists)

10/ 076,573

RN 215665-26-2 CAPLUS

CN Benzo[b]cyclopenta[e][1,4]diazepin-10(1H)-one, 2,3,3a,4,9,10a-hexahydro-4-  
[(4-methyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 146 OF 200 CAPLUS. COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:591639 CAPLUS

DOCUMENT NUMBER: 129:310389

TITLE: Universal template approach to drug design: polyamines  
as selective muscarinic receptor antagonists  
AUTHOR(S): Bolognesi, Maria L.; Minarini, Anna; Budriesi,  
Roberta; Cacciaguerra, Silvia; Chiarini, Alberto;  
Spampinato, Santi; Tumiatti, Vincenzo; Melchiorre,  
Carlo

CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of  
Bologna, Bologna, 40126, Italy

SOURCE: Journal of Medicinal Chemistry (1998), 41(21),  
4150-4160

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The concept that polyamines may represent a universal template in the  
receptor recognition process is embodied in the design of new selective  
muscarinic ligands. Tetraamines and diamine diamides analog to  
tripitramine were synthesized, and their pharmacol. profiles at muscarinic  
receptor subtypes were assessed by functional expts. in isolated guinea  
pig left atrium (M2) and ileum (M3) and by binding assays in rat cortex  
(M1), heart (M2), submaxillary gland (M3), and NG 108-15 cells (M4). It  
was confirmed that appropriate substituents on the terminal N atoms of a  
tetraamine template can tune both affinity and selectivity for muscarinic  
receptors. The novel tetraamine C-tripitramine (17) was able to  
discriminate significantly M1 and M2 receptors vs. the other subtypes, and  
in addn. it was 100-fold more lipophilic than the lead compd.  
tripitramine. Tripinamide, in which the tetraamine backbone was  
transformed into a diamine diamide one, retained high affinity for  
muscarinic subtypes, displaying a binding affinity profile (M2 > M1 > M4 >  
M3) qual. similar to that of tripitramine. Both these ligands, owing to  
their improved lipophilicity relative to tripitramine and methoctramine,  
could serve as tools in investigating cholinergic functions in the central  
nervous system. Furthermore, notwithstanding the fact that the highest

affinity was always assocd. with muscarinic M2 receptors, for the 1st time polyamines were shown to display high pA2 values also toward muscarinic M3 receptors.

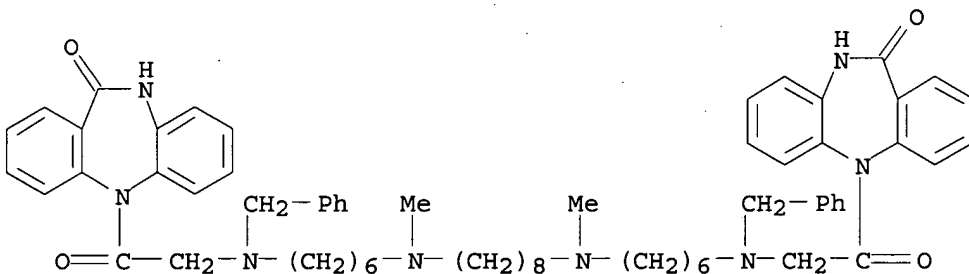
IT 214751-05-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of arom. heterocyclic polyamines as selective muscarinic receptor antagonists)

RN 214751-05-0 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,5'-[10,19-dimethyl-1,28-dioxo-3,26-bis(phenylmethyl)-3,10,19,26-tetraazaoctacosane-1,28-diyl]bis[5,10-dihydro-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 147 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:517394 CAPLUS

DOCUMENT NUMBER: 129:245121

TITLE: Synthesis of some substituted dibenzodiazepinones and pyridobenzodiazepinones

AUTHOR(S): Cohen, Victor I.; Jin, Biyun; Cohen, Emil I.; Zeeberg, Barry R.; Reba, Richard C.

CORPORATE SOURCE: Section Radiopharmaceutical Chem., George Washington Univ. Medical Center, Washington, DC, 20037, USA

SOURCE: Journal of Heterocyclic Chemistry (1998), 35(3), 675-686

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Fluoro- and iodo-derivs. of 5-[[4-[(4-diisobutylamino)butyl]-1-phenyl]acetyl]-10,11-dihydro-5H-dibenzo[b,e][1,4]diazepin-11-one and 11-[[4-[(dialkylamino)butyl]-1-phenyl]acetyl]-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones and their analogs were synthesized. The synthesis of dibenzodiazepinones was based on the reaction between 1,4-phenylenediamine and substituted benzoic acids. The intermediate pyridobenzodiazepinones were prepd. by condensation of 2-chloro-3-aminopyridine with Me anthranilate and its chlorine deriv. The condensation of 4-[(halo)alkyl]phenylacetyl chloride with dibenzodiazepinones and pyridobenzodiazepinones followed by the reaction of mono- or dialkyl- or dialkenylamine provided 11-[[4-[(dialkylamino)butyl]-1-phenyl]acetyl]-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones.

IT 213208-06-1P

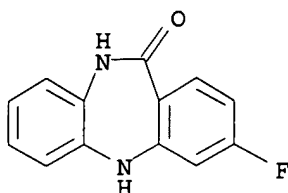
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of dibenzodiazepinone and pyridobenzodiazepinone derivs.)

RN 213208-06-1 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 3-fluoro-5,10-dihydro- (9CI) (CA

INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 148 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:499294 CAPLUS  
 DOCUMENT NUMBER: 129:216375  
 TITLE: Unsymmetrical Triaryldiamines as Thermally Stable Hole Transporting Layers for Organic Light-Emitting Devices  
 AUTHOR(S): Koene, Bryan E.; Loy, Douglas E.; Thompson, Mark E.  
 CORPORATE SOURCE: Department of Chemistry, University of Southern California, Los Angeles, CA, 90089, USA  
 SOURCE: Chemistry of Materials (1998), 10(8), 2235-2250  
 CODEN: CMATEX; ISSN: 0897-4756  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

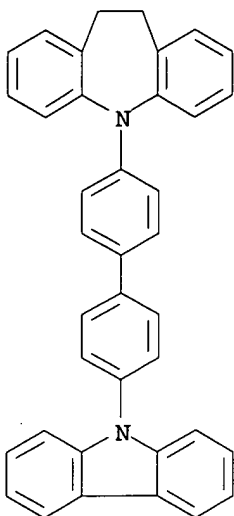
AB The synthesis of a series of unsym. triaryldiamines has provided a no. of materials with a wide range of thermal, electrochem., and spectroscopic properties. The asym. materials described herein have two different diarylamine groups bound to a 1,4-phenylene or 4,4'-biphenylene core, i.e., Ar1Ar2N-C6H4-NAr1'Ar3 or Ar1Ar2N-biphenyl-NAr1'Ar3, resp. The diarylamines studied include diphenylamine, phenyl-m-tolylamine, naphthylphenylamine, iminostilbene, iminodibenzyl, and carbazole. These materials were prepd. by copper- and palladium-catalyzed coupling of aryl halides and diarylamines. The asymmetry inherent in these compds. prevents these low mol. mass compds. from crystg., thus yielding higher thermal stability over that of the sym. derivs. In all cases, the unsym. diamines form stable glasses, with glass transition temps. up to 125.degree.. HOMO levels for these materials, estd. by cyclic voltammetry, show a broad range of values, with oxidn. potentials both lower and higher than those of common hole transport materials used in org. light emitting devices.

IT 212385-39-2P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (unsym. triaryldiamines as thermally stable hole transporting layers for org. light-emitting devices)

RN 212385-39-2 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[4'-(9H-carbazol-9-yl)[1,1'-biphenyl]-4-yl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 149 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:489720 CAPLUS  
 DOCUMENT NUMBER: 129:298240  
 TITLE: Curative observation of deanxit for treating neurotic affective disorders  
 AUTHOR(S): Wang, Jiahua; Wang, Linling  
 CORPORATE SOURCE: Department of Neurology, 4th Military Medical University Xijing Hospital, Xi'an, 710032, Peop. Rep. China  
 SOURCE: Shaanxi Yixue Zazhi (1998), 27(3), 165-167  
 CODEN: SYZAEI; ISSN: 1000-7377  
 PUBLISHER: Shaanxi Yixue Zazhi Bianji Weiyuanhui  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese

AB 40 Patients with neurotic affective disorder were received deanxit, a mixt. of depixol and imipramine therapy and evaluated by SDS and HAMD scores. The total SDS and HAMD scores were decreased at the end of the 2nd week and further decreased at the end of the 4th week. Deanxit was effective to all the target symptoms, esp. the recognition disorder, and the anxiety and sleep disorder were improved at the end of the 4th week. No significant adverse effect was obsd. The results suggest that deanxit is effective in treatment of neurotic affection disorders.

IT 214556-54-4, Deanxit  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (curative observation of deanxit for treating neurotic affective disorders)

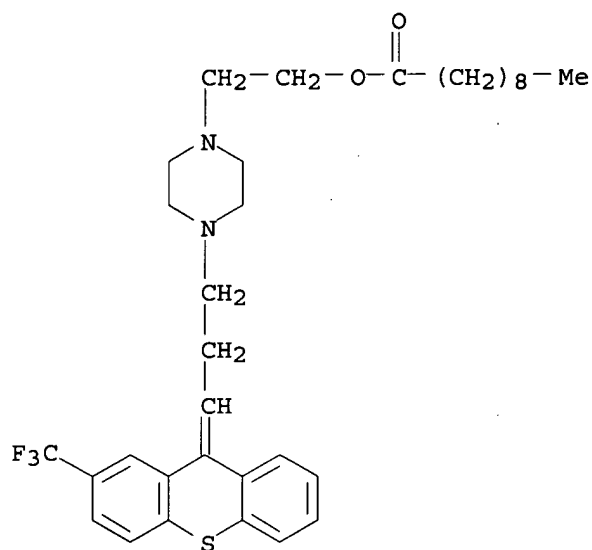
RN 214556-54-4 CAPLUS

CN Decanoic acid, 2-[4-[3-[2-(trifluoromethyl)-9H-thioxanthen-9-ylidene]propyl]-1-piperazinyl]ethyl ester, mixt. with 10,11-dihydro-N,N-dimethyl-5H-dibenz[b,f]azepine-5-propanamine (9CI) (CA INDEX NAME)

CM 1

CRN 30909-51-4

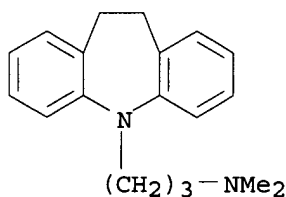
CMF C33 H43 F3 N2 O2 S



CM 2

CRN 50-49-7

CMF C19 H24 N2



L7 ANSWER 150 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:424258 CAPLUS

DOCUMENT NUMBER: 129:103406

TITLE: Preparation of radioactive technetium and rhenium nitride heteroatom contg. mixed ligand complexes for radioimaging and radiotherapy

INVENTOR(S): Duatti, Adriano; Bolzati, Cristina; Uccelli, Licia; Refosco, Fiorenzo; Tisato, Francesco

PATENT ASSIGNEE(S): Nihon Medi-Physics Co., Ltd., Japan; Duatti, Adriano; Bolzati, Cristina; Uccelli, Licia; Refosco, Fiorenzo; Tisato, Francesco

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827100	A1	19980625	WO 1997-JP4626	19971216
W: AU, CA, JP, KR, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

AU 9854128	A1	19980715	AU 1998-54128	19971216
AU 730120	B2	20010222		
EP 949265	A1	19991013	EP 1997-947953	19971216
EP 949265	B1	20030507		

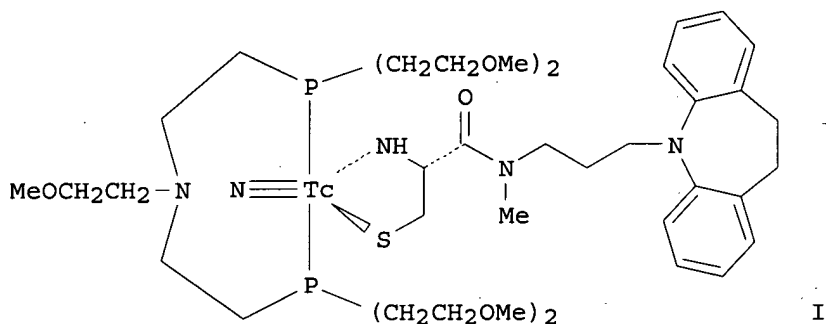
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

NZ 335950	A	20000623	NZ 1997-335950	19971216
AT 239745	E	20030515	AT 1997-947953	19971216
KR 2000057661	A	20000925	KR 1999-705482	19990617
US 6270745	B1	20010807	US 1999-331237	19990617
US 2002048549	A1	20020425	US 2001-838254	20010716

PRIORITY APPLN. INFO.:

JP 1996-338553	A	19961218
WO 1997-JP4626	W	19971216
US 1999-331237	A1	19990617

OTHER SOURCE(S): MARPAT 129:103406  
GI



AB Claimed are radioactive transition metal nitride hetero-complexes which can label physiol. active substances such as peptides or hormones without impairing the activities thereof. It is composed of a radioactive transition metal nitride and two different ligands coordinating to the nitride, and is represented by the following general formula (M.tplbond.N)XY (wherein the radioactive transition metal, M, is radioactive technetium or rhenium; N is nitrogen; X is a diphosphine compd. or a diarsine compd.; and Y is a bidentate ligand having a combination of electron-donating atoms). The diphosphine compd. X is represented by formula R1R2P(R5)n(Z)m(R5)nPR3R4 [R1, R2, R3, and R4 are hydrogen or (un)substituted alkyl or substituted aryl; R5 is CH2; Z is O, S, CH2, OCH2CH2O, or NR6; wherein R6 is H, (un)substituted alkyl or aryl, NH2, amino acid chain, physiol. active group, COR7; wherein R7 is H, (un)substituted alkyl or aryl, NH2, or physiol. active group]. The bidentate ligand Y is a sugar, amino acid, fatty acid, hormone, peptide, or receptor binding ligand. The radioactive transition metal nitride hetero-complexes are useful as diagnostic agents for radioimaging and as drugs for radiotherapy. Thus, 99TcO4Na (50.0 MBq-3.0 GBq) and EtOH were added successively to a suspension of 5 mg succinic dihydrazide and 0.1 mg SnCl2 in physiol. saline soln. and kept at room temp. for 15 min. A soln. of 3.0 mg Ph2PCH2CH2NCH2CH2PPh2 in EtOH and a soln. of 5.0 mg N-cysteinyl-desipramine in H2O were added and the resulting mixt. was heated at 100.degree. for 30 min to give the title compd. (I) (ltoreq.90% radiochem. purity). When I was injected to rat, it showed considerable accumulation in heart, very high accumulation in adrenal gland, and specific accumulation in the cerebral cortex, indicating the it retained the specificity for serotonin receptor.

IT 209522-63-4P

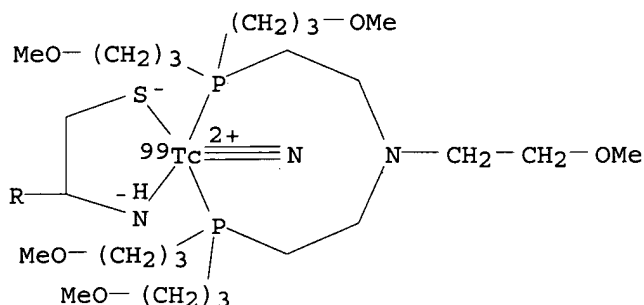
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of radioactive transition metal nitride hetero-complexes as diagnostic agents for radioimaging and as drugs for radiotherapy)

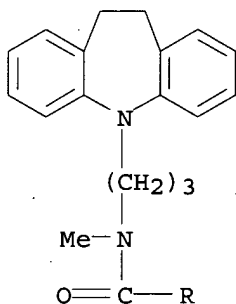
RN 209522-63-4 CAPLUS

CN Technetium-99Tc, [(2R)-2-(amino-.kappa.N)-N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-3-(mercapto-.kappa.S)-N-methylpropanamidato(2-)] [N,N-bis[2-[bis(3-methoxypropyl)phosphino-.kappa.P]ethyl]-2-methoxyethanamine]nitrido-, (TB-5-22)-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 151 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:394320 CAPLUS

DOCUMENT NUMBER: 129:54189

TITLE: Aminobenzenedicarboxylic acid-based combinatorial libraries for discovery of protease inhibitors

INVENTOR(S): Graybill, Todd L.; Wu, Zhengdong; Subasinghe, Nalin; Fedde, Cynthia L.; Salvino, Joseph M.

PATENT ASSIGNEE(S): Graybill, Todd L., USA; Wu, Zhengdong; Subasinghe, Nalin; Fedde, Cynthia L.; Salvino, Joseph M.

SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

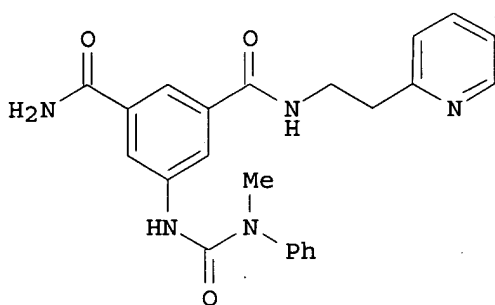
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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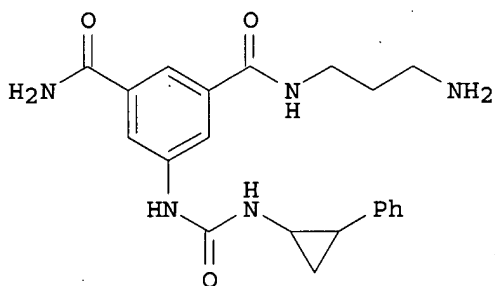
WO 9824760          A1      19980611          WO 1997-US21648      19971126
W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
    DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR,
    KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
    PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
    UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
    GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
    GN, ML, MR, NE, SN, TD, TG

AU 9876242          A1      19980629          AU 1998-76242      19971126
US 6127191          A      20001003          US 1997-980062      19971126
PRIORITY APPLN. INFO.:          US 1996-32284P      P      19961203
                                WO 1997-US21648      W      19971126
OTHER SOURCE(S):          CASREACT 129:54189; MARPAT 129:54189
GI

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I



II

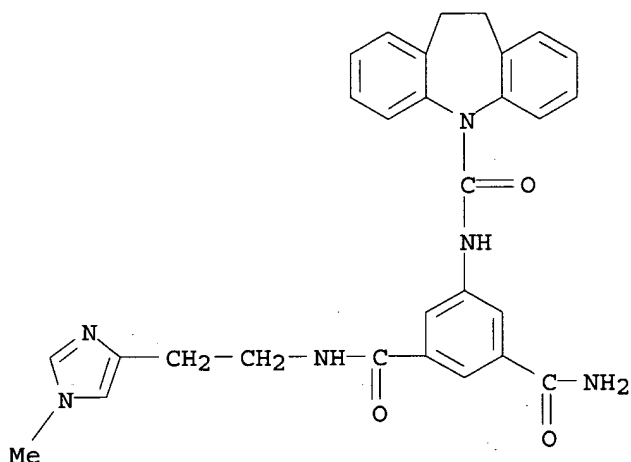
AB The invention provides a library of compds. contg. a common animobenzenedicarboxylic acid core structure (scaffold) which serves as a template for synthesizing approx. 101-106 compds. which are analogs of the scaffold. The library is employed to study ligand binding by biol. receptors, such as enzymes, G-protein coupled receptors and membrane channels. For example, certain individual compds. within the library selectively bind and inhibit the action of trypsin-like serine proteases (no data). The invention also provides combinatorial synthetic methods for making such libraries. Addnl., the invention relates to novel scaffold-modified solid supports, esp. resins, and methods for prepg. them. Further, the invention is directed to screening methods, which comprise use of the compds. in suitable pharmaceutical assays. For instance, an FMOC-protected Rink amide MBHA resin was deprotected, coupled with mono-Me 5-nitroisophthalate as a scaffold precursor, and reduced with SnCl<sub>2</sub> to give an amino ester resin. This was submitted to a sequence of reaction with triphosgene, amination to give a urea, ester hydrolysis, acid activation, amidation, and CF<sub>3</sub>CO<sub>2</sub>H clip. One obtained sublibrary (14 compds.) included compds. I and II.

IT 208756-16-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of aminobenzenedicarboxylic acid-based combinatorial libraries  
 for discovery of protease inhibitors)

RN 208756-16-5 CAPLUS

CN 1,3-Benzenedicarboxamide, 5-[[[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]amino]-N-[2-(1-methyl-1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 152 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:392920 CAPLUS

DOCUMENT NUMBER: 129:122561

TITLE: Synthetic and mechanistic aspects of the course of the color reaction of iminodibenzyl with aryl or hetaryl aldehydes. An access to new hetaryl-/arylmethanes and 4,5-diaminocyclopentenones

AUTHOR(S): Schneider, G.; Schollmeyer, D.; Pindur, U.

CORPORATE SOURCE: Inst. Pharm., Fachbereich Chem. Pharm., Univ. Mainz, Mainz, D55099, Germany

SOURCE: Pharmazie (1998), 53(6), 361-368

CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER: Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:122561

AB The anal. color reaction of iminodibenzyl with hetaryl/aryl aldehydes was studied in detail to clarify the mechanism of the reaction path. Iminodibenzyl-aryl/hetaryl-carbenium ions were found to be responsible for the color reaction. To analyze the scope and limitations of this arom. electrophilic substitution reaction, related aniline derivs. with different nucleophilicity were studied by reaction with 2-furaldehyde. In this context, 4,5-diamino-2-cyclopenten-1-ones were formed and characterized which gave rise to structural information concerning the aniline/2-furaldehyde color reaction frequently used in the anal. chem. of aminoglycoside antibiotics.

IT 210367-77-4P

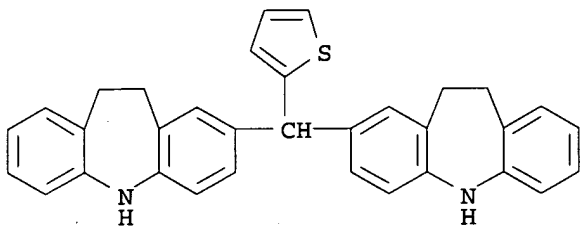
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of arylmethanes and aminocyclopentenones by color reaction of iminodibenzyl with aryl or hetaryl aldehydes)

RN 210367-77-4 CAPLUS

CN 5H-Dibenz[b,f]azepine, 2,2'-(2-thienylmethylene)bis[10,11-dihydro- (9CI)

(CA INDEX NAME)



L7 ANSWER 153 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:320869 CAPLUS

DOCUMENT NUMBER: 129:75935

TITLE: Identification and determination of opipramol metabolites in plasma and urine

AUTHOR(S): Lappenberg-Pelzer, Marianne; Tenczer, Joachim

CORPORATE SOURCE: Department of Clinical Toxicology and Pharmacology, Berliner Betrieb fur Zentrale Gesundheitliche Aufgaben, Berlin, D-13437, Germany

SOURCE: Journal of Analytical Toxicology (1998), 22(3), 215-219

CODEN: JATOD3; ISSN: 0146-4760

PUBLISHER: Preston Publications

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In six cases of suspected opipramol overdose, com. available immunoassays for tricyclic antidepressants (TCA) EMIT tox serum Assay and ADxR serum TCA Assay indicated arbitrarily high or toxic TCA concns. However, opipramol concns. detd. by high-performance liq. chromatog. (HPLC) anal. were in the high-normal or low-toxic range. This finding prompted us to study opipramol metab. by mass spectral techniques and to det. the cross-reactivity of opipramol and its metabolites in immunoassays. Three previously unknown metabolites (I, II, V) included an oxidn. product of the hydroxyethyl moiety to an acetic acid group at the piperazine side chain (I), a decarboxylation product of the latter metabolite (II), and opipramol-N-oxide (V). In addn., two previously reported metabolites were identified, which included a deshydroxyethyl metabolite (III) and dibenzazepine (IV). One of the major metabolites of opipramol is the acetic acid metabolite (I), which may exceed the opipramol plasma concn. immensely and contribute to an arbitrarily high concn. in com. available immunoassays. The cross-reactivities of the metabolite (I) were detd. to be 64 and 66% with EMIT and ADx, resp.

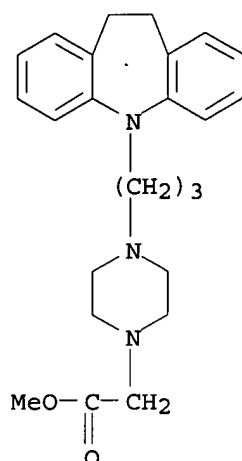
IT 209284-88-8

RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative)

(identification and detn. of opipramol metabolites in plasma and urine)

RN 209284-88-8 CAPLUS

CN 1-Piperazineacetic acid, 4-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 154 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:239220 CAPLUS  
 DOCUMENT NUMBER: 128:282792  
 TITLE: Preparation of N-substituted azaheterocyclic compounds for treatment of painful, hyperalgesic and/or inflammatory conditions  
 INVENTOR(S): Andersen, Henrik Sune; Jorgensen, Tine Krogh; Hohlweg, Rolf; Andersen, Knud Erik; Polivka, Zdenek; Miksik, Frantisek  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815549	A1	19980416	WO 1997-DK420	19971002
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9708792	A	19980406	ZA 1997-8792	19971001
AU 9743770	A1	19980505	AU 1997-43770	19971002
AU 740958	B2	20011115		
EP 934313	A1	19990811	EP 1997-941882	19971002
EP 934313	B1	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9712204	A	19990831	BR 1997-12204	19971002
CN 1235603	A	19991117	CN 1997-199197	19971002
CN 1084744	B	20020515		
JP 2001501937	T2	20010213	JP 1998-517091	19971002
RU 2186769	C2	20020810	RU 1999-109018	19971002
AT 240320	E	20030515	AT 1997-941882	19971002

10/ 076,573

US 6004983	A	19991221	US 1997-943501	19971003
TW 420675	B	20010201	TW 1997-86117257	19971119
NO 9901564	A	19990604	NO 1999-1564	19990330
KR 2000048901	A	20000725	KR 1999-702931	19990403
CN 1403454	A	20030319	CN 2001-139356	20011121
CN 1403445	A	20030319	CN 2001-139357	20011121

PRIORITY APPLN. INFO.: DK 1996-1088 A 19961004  
WO 1997-DK420 W 19971002

OTHER SOURCE(S): MARPAT 128:282792

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

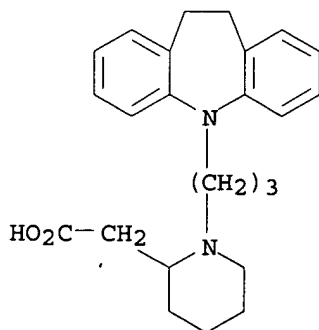
AB The title compds. [I; R1, R2 = H, halo, C1-6 alkyl; Y = NCH2, C:CH (only the first atom participates in the ring system); X = S, CH2CH2, OCH2, etc.; r = 1-2; Z = II-V (wherein R3 = (CH2)pCOOH; p = 0-1)] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role, e.g. neurogenic pain, inflammation, migraine, neuropathy, itching and rheumatoid arthritis, as well as useful for treatment of indications caused by or related to the secretion and circulation of insulin antagonizing peptides, were prepd. and formulated. Thus, reaction of 11-(2-bromoethylidene)-6,11-dihydrodibenzo[b,e]thiepine with 4-piperidinecarboxylic acid Et ester in the presence of K2CO3 and NaI in DMSO followed by hydrolysis of the resulting ester with 4N NaOH afforded the title compd. VI.HCl which showed 51% inhibition of histamine induced edema at 1 mg/kg.

IT 205808-28-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of N-substituted azaheterocyclic compds. for treatment of painful, hyperalgesic and/or inflammatory conditions)

RN 205808-28-2 CAPLUS

CN 2-Piperidineacetic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/ 076,573

ACCESSION NUMBER: 1998:239219 CAPLUS  
DOCUMENT NUMBER: 128:282847  
TITLE: Preparation of 1,4-disubstituted piperazines for the  
treatment of painful, hyperalgesic and/or inflammatory  
conditions  
INVENTOR(S): Hohlweg, Rolf; Madsen, Peter; Jorgensen, Tine Krogh;  
Andersen, Knud Erik; Watson, Brett; Polivka, Zdenek;  
Konigova, Otylie; Kovandova, Martina; Silhankova,  
Alexandra; Valenta, Vladimir  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
SOURCE: PCT Int. Appl., 59 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815548	A1	19980416	WO 1997-DK422	19971002
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9743772	A1	19980505	AU 1997-43772	19971002
AU 740662	B2	20011108		
EP 934312	A1	19990811	EP 1997-941884	19971002
EP 934312	B1	20030319		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
BR 9712196	A	19990831	BR 1997-12196	19971002
CN 1234799	A	19991110	CN 1997-199184	19971002
CN 1088459	B	20020731		
JP 2001502307	T2	20010220	JP 1998-517093	19971002
RU 2188197	C2	20020827	RU 1999-109024	19971002
AT 234831	E	20030415	AT 1997-941884	19971002
ZA 9708864	A	19980406	ZA 1997-8864	19971003
US 5916889	A	19990629	US 1997-943726	19971003
US 6004961	A	19991221	US 1999-271785	19990318
US 6040302	A	20000321	US 1999-271565	19990318
US 6133268	A	20001017	US 1999-271564	19990318
NO 9901565	A	19990604	NO 1999-1565	19990330
KR 2000048899	A	20000725	KR 1999-702928	19990403
PRIORITY APPLN. INFO.:			DK 1996-1090	A 19961004
			WO 1997-DK422	W 19971002
			US 1997-943726	A3 19971003
OTHER SOURCE(S):	MARPAT 128:282847			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; X = o-phenylene, O, S, etc.; Y = N-CH2-, CH-CH2-, C:CH-, CH-O- (only the first atom participates in the ring system); r = 1-3; Z = II-V (M1, M2 = C, N; R5 = H, C1-6 alkyl, PhCH2, Ph; R3 = H, halo, CF3, NO2, CN; R4 = H, halo, CF3, etc.)] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or

inflammatory conditions in which C-fibers play a pathophysiol. role such as e.g. neurogenic pain, inflammation, migraine, neuropathy, itching and rheumatoid arthritis, as well as for the treatment of indications caused by or related to the secretion and circulation of insulin antagonizing peptides, e.g. non-insulin-dependent diabetes mellitus (NIDDM) and ageing-assocd. obesity, were prepd. and formulated. Thus, reaction of 6-(1-piperazinyl)-2-pyridinecarboxylic acid Et ester (prepn. described) with (10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)-1-Pr methanesulfonate in the presence of K<sub>2</sub>CO<sub>3</sub> in Me<sub>2</sub>CO followed by hydrolysis of the resulting ester with NaOH in H<sub>2</sub>O/EtOH afforded the title compd. VI.HCl which showed 61% inhibition of histamine induced pain response at 1.0 mg/kg.

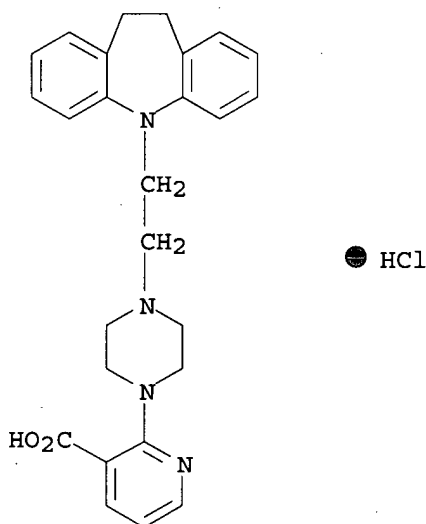
IT 205924-81-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1,4-disubstituted piperazines for the treatment of painful, hyperalgesic and/or inflammatory conditions)

RN 205924-81-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-[4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



L7 ANSWER 156 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:239217 CAPLUS

DOCUMENT NUMBER: 128:294711

TITLE: Preparation of N-substituted azaheterocyclic compounds as analgesics and antiinflammatories

INVENTOR(S): Jorgensen, Tine Krogh; Hohlweg, Rolf; Madsen, Peter; Andersen, Knud Erik; Treppendahl, Svend; Olsen, Uffe Bang; Polivka, Zdenek; Silhankova, Alexandra; Sindelar, Karel; Valenta, Vladimir; Kalisz, Tomas

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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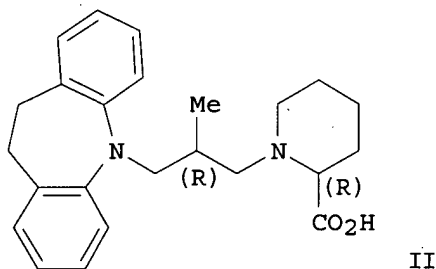
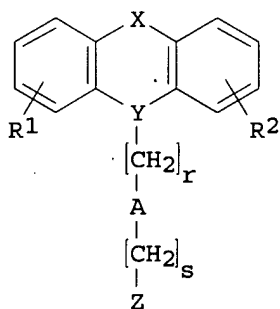
WO 9815546            A1    19980416            WO 1997-DK421    19971002  
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,  
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LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,  
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ,  
VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,  
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
GN, ML, MR, NE, SN, TD, TG

AU 9743771            A1    19980505            AU 1997-43771    19971002  
AU 741839            B2    20011213  
EP 934306            A1    19990811            EP 1997-941883    19971002  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,  
SI, LT, LV, FI, RO

BR 9712202            A    19990831            BR 1997-12202    19971002  
CN 1234797            A    19991110            CN 1997-199183    19971002  
JP 2001501629        T2    20010206            JP 1998-517092    19971002  
US 6569849            B1    20030527            US 1997-943856    19971003  
NO 9901563            A    19990603            NO 1999-1563      19990330  
KR 2000048909        A    20000725            KR 1999-702939    19990403

PRIORITY APPLN. INFO.:            DK 1996-1089        A    19961004  
   WO 1997-DK421        W    19971002

OTHER SOURCE(S):            MARPAT 128:294711  
GI



AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; X = o-phenylene, O, S, etc.; Y = N, CH, N(C:O), C:C(R8) (only first atom participates in the ring system and R8 = H, C1-6 alkyl); A = C.tplbond.C, C(O), C:CH, etc.; r, s = 0-4; Z = substituted piperidino, piperazino, pyrrolidino, etc.] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation as well as useful for treatment of indications caused by or related to secretion and circulation of insulin antagonizing peptides, were prepd. and formulated. Thus, reaction of iminodibenzyl with [3-bromo-2(R)-methylpropoxy]tetrahydropyran in the presence of NaNH2 in C6H6 followed by methanesulfonylation of the resulting 3-(10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)-(2S)-methyl-1-propanol, reaction of the methanesulfonate with (R)-2-piperidinecarboxylic acid Et ester hydrochloride in the presence of K2CO3 in DMF, and hydrolysis of the resulting ester with 5N NaOH afforded the title compd. II.HCl with showed 47% inhibition of histamine induced pain response at 1.0 mg/kg.

IT 205982-67-8P

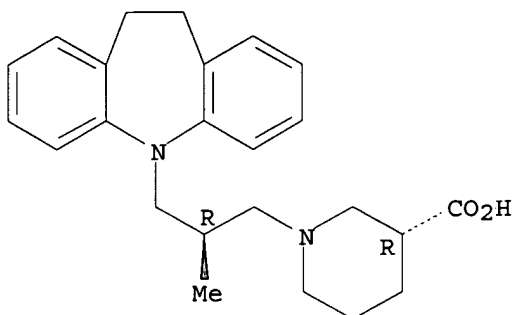
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of N-substituted azaheterocyclic compds. as analgesics and antiinflammatories)

10/ 076,573

RN 205982-67-8 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-2-methylpropyl]-, monohydrochloride, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



⊗ HCl

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 157 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:150135 CAPLUS

DOCUMENT NUMBER: 128:238900

TITLE: Synthetic strategies to lower affinity for CYP2D6

AUTHOR(S): Halliday, Rachel C.; Jones, B. C.; Park, B. K.; Smith, D. A.

CORPORATE SOURCE: Dep. Drug Metabolism, Pfizer Central Research, Sandwich, CT13 9NJ, UK

SOURCE: European Journal of Drug Metabolism and Pharmacokinetics (1997), 22(4), 291-294  
CODEN: EJDPD2; ISSN: 0378-7966

PUBLISHER: Medecine et Hygiene

DOCUMENT TYPE: Journal

LANGUAGE: English

AB There are several models for the CYP2D6 active site with the characteristics of their substrates and inhibitors well defined. Imipramine possesses such characteristics and is both a substrate and an inhibitor of the CYP2D6 enzyme. Possible synthetic strategies to avoid interaction with the enzyme were investigated, including: attenuation of basicity; and alteration of rigidity and length of the alkyl chain. Imipramine inhibited the 1'-hydroxylation of bufuralol (10 .mu.M), an in vitro marker of CYP2D6 activity, in a CYP2D6 cell line (IC<sub>50</sub>=2.4 .mu.M). Inhibitory potency was attenuated by the removal of the basic center; imipramine N-oxide had no inhibitory effect on bufuralol 1'-hydroxylation. However, removal of this basic center, as a strategy to decrease CYP2D6 interaction, may well have a detrimental effect on pharmacol. efficacy. Both an increase and decrease in the N-N carbon chain length [2C,4C] caused a redn. in inhibitory potency. In addn., introduction of a carbonyl adjacent to the amino dibenzyl moiety into 2C, 3C, and 4C compds. brought about a further redn. in inhibitory potency. These data demonstrate that changes to the mol., distal to the basic center, can attenuate the affinity of the mol. for CYP2D6 and are in keeping with the known characteristics of the enzyme.

IT 204856-76-8

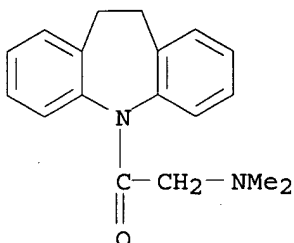
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

10/ 076,573

study, unclassified); BIOL (Biological study)  
(imipramine analogs. to lower affinity for CYP2D6)

RN 204856-76-8 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[(dimethylamino)acetyl]-10,11-dihydro- (9CI) (CA  
INDEX NAME)



L7 ANSWER 158 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:75334 CAPLUS

DOCUMENT NUMBER: 128:180389

TITLE: Synthesis and biological evaluation of phenylacetyl  
derivatives having low central nervous system  
permeability as potent and selective M2 muscarinic  
receptor antagonists

AUTHOR(S): Watanabe, Toshihiro; Kakefuda, Akio; Tanaka, Akihiro;  
Takizawa, Kenji; Hirano, Seiko; Shibata, Hiroshi;  
Yamagiwa, Yoko; Yanagisawa, Isao

CORPORATE SOURCE: Institute for Drug Discovery Research, Yamanouchi  
Pharmaceutical Co., Ltd., Tsukuba, 305, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(1),  
53-68

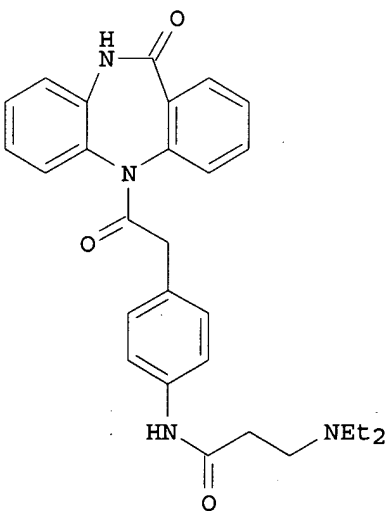
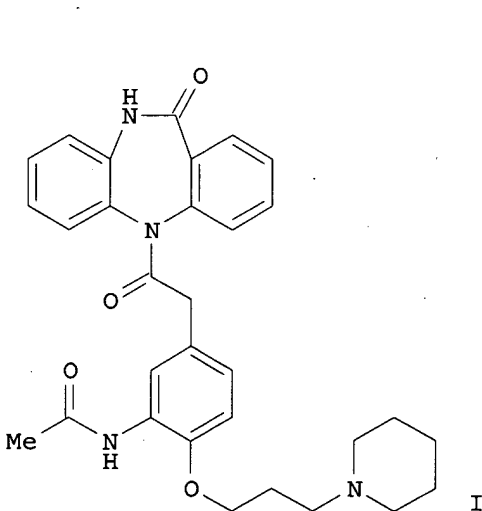
CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB A series of phenylacetyl derivs. contg. the 5,10-dihydro-11H-  
dibenzo[b,e][1,4]diazepin-11-one or 5,11-dihydro-6H-pyrido[2,3-

b) [1,4]benzodiazepin-6-one skeleton was prepd. and evaluated for their binding affinities to muscarinic receptors in vitro and for antagonism of bradycardia, salivation and tremor in vivo. Among them, dibenzodiazepinone compds. I and II had high affinity for M2 muscarinic receptors in the heart ( $pK_i=8.7$  and  $8.9$ , resp.) with low affinity for M3 muscarinic receptors in the submandibular gland. A structure-activity relationship (SAR) study suggested that the high M2 selectivity over the M3 muscarinic receptors of I may be attributed to the direction of the carboxamide carbonyl group. In in vivo studies, I and II antagonized oxotremorine-induced bradycardia in rats on both i.v. and oral administration, and their heart rate increasing effect in dogs with nocturnal bradycardia was about 3-fold greater than that of AF-DX 116. Furthermore, they had almost no influence on oxotremorine-induced tremor in mice, presenting no evidence of central transfer.

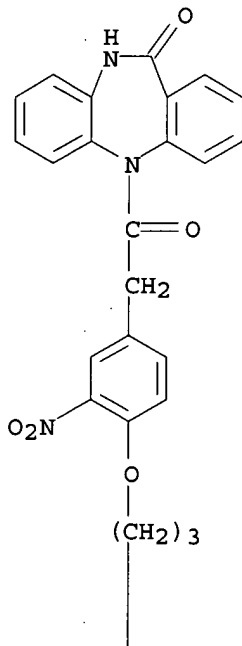
IT 185801-57-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
(prepn., muscarinic receptor antagonist activity, and structure activity relationship of phenylacetyl pyridobenzodiazepinones and dibenzodiazepinones)

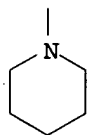
RN 185801-57-4 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,10-dihydro-5-[[3-nitro-4-[3-(1-piperidinyl)propoxy]phenyl]acetyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 159 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:31304 CAPLUS  
 DOCUMENT NUMBER: 128:88789  
 TITLE: Preparation of pyridyl alkene- and pyridyl alkyne-  
 acid amides as cytostatics and immunosuppressives  
 INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel,  
 Benno; Reiter, Friedemann; Schein, Barbara; Seibel,  
 Klaus; Vogt, Klaus  
 PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi;  
 Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter,  
 Friedemann; Schein, Barbara; Seibel, Klaus; Vogt,  
 Klaus  
 SOURCE: PCT Int. Appl., 220 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748696	A1	19971224	WO 1997-EP3245	19970620
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
DE 19624659	A1	19980108	DE 1996-19624659	19960620
ZA 9705437	A	19980210	ZA 1997-5437	19970619
CA 2257448	AA	19971224	CA 1997-2257448	19970620
AU 9732625	A1	19980107	AU 1997-32625	19970620
AU 736206	B2	20010726		
EP 923570	A1	19990623	EP 1997-928261	19970620
EP 923570	B1	20020925		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
BR 9709823	A	19990810	BR 1997-9823	19970620
CN 1228777	A	19990915	CN 1997-197424	19970620
JP 2000516913	T2	20001219	JP 1998-502318	19970620
AT 224888	E	20021015	AT 1997-928261	19970620
ES 2179351	T3	20030116	ES 1997-928261	19970620
RU 2200734	C2	20030320	RU 1999-101069	19970620
KR 2000022333	A	20000425	KR 1998-710756	19981221
PRIORITY APPLN. INFO.:			DE 1996-19624659 A	19960620
			WO 1997-EP3245 W	19970620
OTHER SOURCE(S):	MARPAT 128:88789			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, C1-6 alkyl, C3-6 alkenyl, etc.; R3 = H, halo, C1-6 alkyl, etc.; R4 = H, OH, PhCH2O, etc.; k = 0-1; A = (un)substituted C2-6 alkylene, C4-6 alkadienylene, etc.; D =

(un)substituted C1-10 alkylene, C2-10 alkenylene, etc.; E = II, III (wherein n, p = 0-3 with the proviso that n + p  $\geq$  4; q = 2-3; R10 = H, C1-6 alkyl, OH, etc.; R11 = H, C1-6 alkyl, O; R10R11 = alkylene bridge with 1-5 carbon atoms, esp. a C1-3 alkylene bridge); G = H, SO<sub>2</sub>(CH<sub>2</sub>)<sup>r</sup>R12 (wherein R12 = H, C1-6 alkyl, C3-6 alkenyl, etc.; r = 0-3), COR15 (R15 = CF<sub>3</sub>, C1-6 alkoxy, PhCH<sub>2</sub>O, etc.), etc.], useful in the treatment of tumors or for immunosuppression, were prepd. and formulated. Thus, reaction of N-[4-(piperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide with N,N-diphenylcarbamic acid chloride in the presence of Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> afforded 60% IV which showed IC<sub>50</sub> of 0.001  $\mu$ M against HepG2 cells growth.

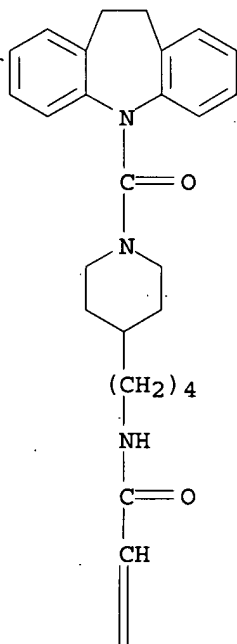
IT 201034-88-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyridyl alkene- and pyridyl alkyne- acid amides as cytostatics and immunosuppressives)

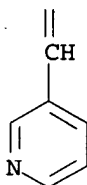
RN 201034-88-0 CAPLUS

CN 2-Propenamide, N-[4-[1-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-4-piperidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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L7 ANSWER 160 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:31303 CAPLUS

DOCUMENT NUMBER: 128:88788

TITLE: Preparation of N-[(azacycloalkyl)alkyl]pyridinealkanamides as antitumor agents and immunosuppressants

INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus

PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus

SOURCE: PCT Int. Appl., 220 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748695	A1	19971224	WO 1997-EP3243	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19624704	A1	19980108	DE 1996-19624704	19960620
ZA 9705439	A	19980223	ZA 1997-5439	19970619
AU 9733420	A1	19980107	AU 1997-33420	19970620
EP 934309	A1	19990811	EP 1997-929240	19970620
EP 934309	B1	20020911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000512651	T2	20000926	JP 1998-502316	19970620
AT 223912	E	20020915	AT 1997-929240	19970620
ES 2178779	T3	20030101	ES 1997-929240	19970620
US 6444823	B1	20020903	US 1998-216075	19981218
PRIORITY APPLN. INFO.:			DE 1996-19624704 A	19960620
			WO 1997-EP3243 W	19970620

OTHER SOURCE(S): MARPAT 128:88788

AB R1ZCONR4Z1Z2R2 [I; R1 = (1-oxido)(un)substituted 3-pyridyl; R2 = H, Z3(CH2)r(CR14R15)sR13, COR16, etc.; R4 = H, alkyl, alkoxy, etc.; R13, R14 = H, alkyl, (hetero)aryl, etc.; R15 = H, OH, Me, Ph, CH2Ph; R16 = CF3, alkoxy, OCH2Ph; Z = cyclopropylene, alkylene which may be interrupted by O, CO, NH, etc.; Z1 = (un)substituted alk(en)ylene, etc.; Z2 = N-attached (un)substituted (ox)azacycloalkylene; Z3 = bond or CO; r = 0-3; s = 0 or 1] were prep'd. Thus, 4-piperidinebutanol was N-alkylated by Ph2CHBr and the product converted in 2 steps to H2N(CH2)4Z2CHPh2 (Z2 = piperidine-4,1-diyl) which was amidated by 3-pyridinepropionic acid to give R1CH2CH2CONH(CH2)4Z2CHPh2 (R1 = 3-pyridyl, Z2 = piperidine-4,1-diyl). Data for biol. activity of I were given.

IT 200868-28-6P

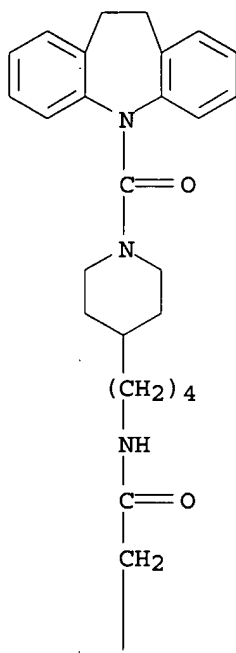
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of N-[(azacycloalkyl)alkyl]pyridinealkanamides as antitumor agents and immunosuppressants)

RN 200868-28-6 CAPLUS

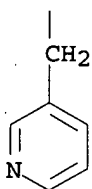
CN 3-Pyridinepropanamide, N-[4-[1-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-

yl)carbonyl]-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

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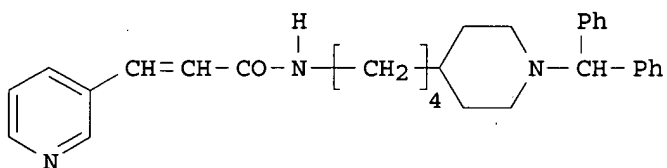
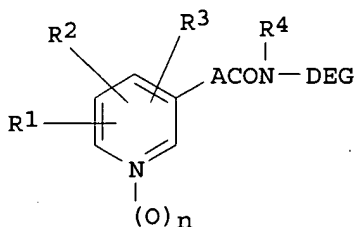


PAGE 2-A



L7 ANSWER 161 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:28656 CAPLUS  
 DOCUMENT NUMBER: 128:102008  
 TITLE: Preparation and formulation of pyridine derivatives as  
 antitumor agents and immunosuppressants  
 INVENTOR(S): Biedermann, Elfi; Hasmanh, Max; Loser, Roland; Rattel,  
 Benno; Reiter, Friedemann; Schein, Barbara; Seibel,  
 Klaus; Vogt, Klaus  
 PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi;  
 Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter,  
 Friedemann; Schein, Barbara; Seibel, Klaus; Vogt,  
 Klaus  
 SOURCE: PCT Int. Appl., 267 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748397	A1	19971224	WO 1997-EP3244	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19624668	A1	19980219	DE 1996-19624668	19960620
ZA 9705443	A	19980210	ZA 1997-5443	19970619
AU 9732624	A1	19980107	AU 1997-32624	19970620
EP 912176	A1	19990506	EP 1997-928260	19970620
EP 912176	B1	20020925		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000512652	T2	20000926	JP 1998-502317	19970620
AT 224713	E	20021015	AT 1997-928260	19970620
ES 2181006	T3	20030216	ES 1997-928260	19970620
US 6451816	B1	20020917	US 1998-216482	19981218
PRIORITY APPLN. INFO.:			DE 1996-19624668 A	19960620
			WO 1997-EP3244 W	19970620
OTHER SOURCE(S):			MARPAT 128:102008	
GI				



AB The title compd. I [R1 = H, halo, cyano, etc.; R2 = H, halo, hydroxy, alkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = H, hydroxy, benzyloxy, etc.; n = 0 or 1; A = alkylene, etc.; D = alkylene, etc.; E = piperidine ring (generic structure given), etc.; G = H, etc.] are prepd. The title compd. II in vitro showed IC50 of 0.008 .mu.M against the WERI-Rb-1 retinoblastoma cells.

IT **200868-28-6P**

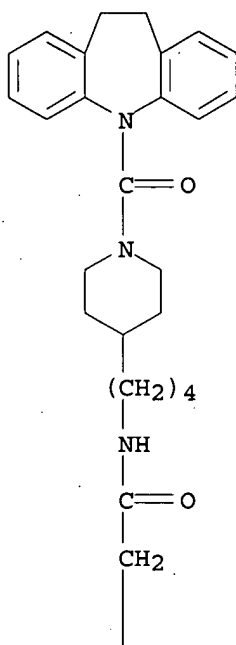
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of pyridine derivs. as antitumor agents and immunosuppressants)

RN 200868-28-6 CAPLUS

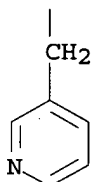
CN 3-Pyridinepropanamide, N-[4-[1-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-

yl)carbonyl]-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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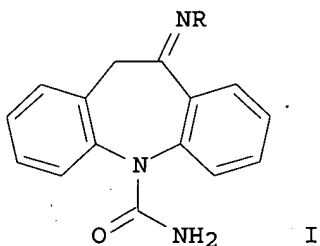


L7 ANSWER 162 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997:805728 CAPLUS  
 DOCUMENT NUMBER: 128:48151  
 TITLE: Preparation of 10,11-dihydro-10-oximino-  
 dibenz[b,f]azepine-5-carboxamides as nervous system  
 agents  
 INVENTOR(S): Benes, Jan; Soares Da Silva, Patricio Manuel Vieira  
 Araujo; Learmonth, David Alexander  
 PATENT ASSIGNEE(S): Portela & Ca. S.A., Port.  
 SOURCE: PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

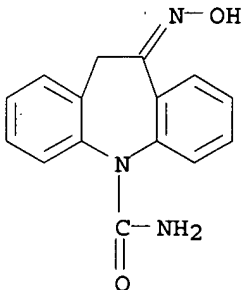
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745416	A1	19971204	WO 1997-IB691	19970527

10/ 076,573

W: AU, CN, HU, KR, PL, RU, TR  
US 5866566 A 19990202 US 1997-862196 19970523  
EP 810216 A1 19971203 EP 1997-108465 19970526  
EP 810216 B1 20010321  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, IE, SI, FI  
AT 199901 E 20010415 AT 1997-108465 19970526  
ES 2156319 T3 20010616 ES 1997-108465 19970526  
CA 2206172 AA 19971127 CA 1997-2206172 19970527  
CA 2206172 C 20020716  
AU 9729740 A1 19980105 AU 1997-29740 19970527  
AU 713807 B2 19991209  
BR 9703403 A 19980915 BR 1997-3403 19970527  
CN 1226234 A 19990818 CN 1997-196803 19970527  
CN 1101382 B 20030212  
RU 2187503 C2 20020820 RU 1998-123571 19970527  
KR 2000016229 A 20000325 KR 1998-709799 19981127  
PRIORITY APPLN. INFO.: PT 1996-101876 A 19960527  
WO 1997-IB691 W 19970527  
OTHER SOURCE(S): MARPAT 128:48151  
GI



AB Title compds. [I; R = OH, alkyl(oxy), alkanoyloxy, (di)(alkyl)amino, etc.]  
were prepd. Thus, 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-  
carboxamide was treated with NH<sub>2</sub>OH and the product O-methylated to give I  
(R = OMe). Data for biol. activity of I were given.  
IT 199997-15-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 10,11-dihydro-10-oximino-dibenz[b,f]azepine-5-carboxamides  
as nervous system agents)  
RN 199997-15-4 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)-  
(9CI) (CA INDEX NAME)



L7 ANSWER 163 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:803807 CAPLUS

DOCUMENT NUMBER: 128:48490

TITLE: Preparation of amino acid derivatives as pharmaceuticals for treatment of neurological and neuropsychiatric disorders

INVENTOR(S): Ognyanov, Vassil Iliya; Borden, Laurence; Bell, Stanley Charles; Zhang, Jing

PATENT ASSIGNEE(S): Trophix Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745115	A1	19971204	WO 1997-US9450	19970529
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2254833	AA	19971204	CA 1997-2254833	19970529
AU 9731530	A1	19980105	AU 1997-31530	19970529
AU 730789	B2	20010315		
EP 1014966	A1	20000705	EP 1997-926871	19970529
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
NZ 332780	A	20000728	NZ 1997-332780	19970529
BR 9709501	A	20001107	BR 1997-9501	19970529
CN 1327383	A	20011219	CN 1997-196821	19970529
JP 2002515037	T2	20020521	JP 1997-543034	19970529
NO 9805711	A	19981207	NO 1998-5711	19981207
PRIORITY APPLN. INFO.:			US 1996-655912	A 19960531
			US 1996-656063	A 19960531
			US 1997-808754	A 19970227
			US 1997-808755	A 19970227
			US 1997-807682	A 19970227
			WO 1997-US9450	W 19970529

OTHER SOURCE(S): MARPAT 128:48490

AB Amino acid derivs. R2RxRyXR1NR3(R3\*)nCR4R4\*R5 [X = N, C (R2 not present when X = N); R2 = H, alkyl, alkoxy, cyano, alkanoyl, etc.; Rx, Ry = aryl, heteroaryl, adamantyl, or nonarom. ring linked to X via a single bond, alkylene, etc.; R1 = alkylene, iminoxyethylene, etc.; R3 = H, alkyl, (un)substituted Ph or phenylalkyl, etc.; R3\* = alkyl, O; n = 0, 1; R4, R4\* = H, alkyl, hydroxyalkyl; R5 = (un)substituted carbamoyl, carboxy, aminosulfonyl, phosphoryl, etc.] were prep'd. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders. Thus, N-(4,4-diphenyl-3-butenyl)glycine Et ester was by alkylation of glycine Et ester hydrochloride with 4-bromo-1,1-diphenyl-1-butene. Binding assays to measure interaction of compds. with the glycine site on the NMDA receptor are illustrated.

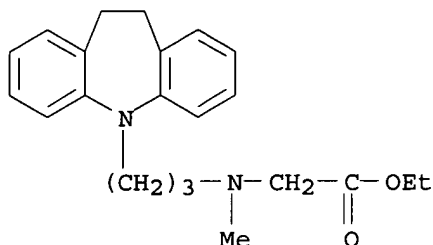
IT 200005-20-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

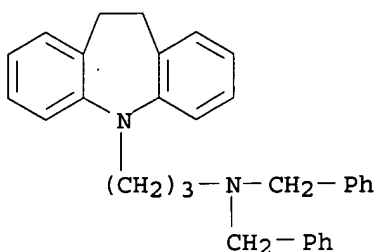
(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

10/ 076,573

RN 200005-20-5 CAPLUS  
CN Glycine, N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-N-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 164 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1997:738467 CAPLUS  
DOCUMENT NUMBER: 128:34669  
TITLE: Synthesis of <sup>11</sup>C-labeled desipramine and its metabolite 2-hydroxydesipramine: potential radiotracers for PET studies of the norepinephrine transporter  
AUTHOR(S): Van Dort, Marcian E.; Kim, Jae-Hoon; Tluczek, Louis; Wieland, Donald M.  
CORPORATE SOURCE: DIVISION OF NUCLEAR MEDICINE DEPARTMENT OF INTERNAL MEDICINE, UNIVERSITY OF MICHIGAN MEDICAL SCHOOL, ANN ARBOR, MI, 48109-0552, USA  
SOURCE: Nuclear Medicine and Biology (1997), 24(8), 707-711  
CODEN: NMBIEO; ISSN: 0969-8051  
PUBLISHER: Elsevier  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB The antidepressant desipramine (DMI) and its principal metabolite 2-hydroxydesipramine (HDMI) have been radiolabeled with <sup>11</sup>C for PET studies. The normethyl precursors of DMI and HDMI were synthesized from iminodibenzyl in 35% and 11% overall yield, resp. Direct methylation of the normethyl precursor with <sup>11</sup>CH<sub>3</sub>I, followed by HPLC purifn., provided [<sup>11</sup>C]DMI and [<sup>11</sup>C]HDMI in 18-30% and 15-23% decay-cor. radiochem. yields, resp., in a 45 min synthesis time from end of bombardment. The specific activities of the two radiotracers were > 1459 Ci/mmol at the end of synthesis. [<sup>11</sup>C]DMI and [<sup>11</sup>C]HDMI have potential utility as PET radiotracers for the norepinephrine transporter.  
IT 199734-18-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of <sup>11</sup>C-labeled desipramine and 2-hydroxydesipramine)  
RN 199734-18-4 CAPLUS  
CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,N-bis(phenylmethyl)-(9CI) (CA INDEX NAME)

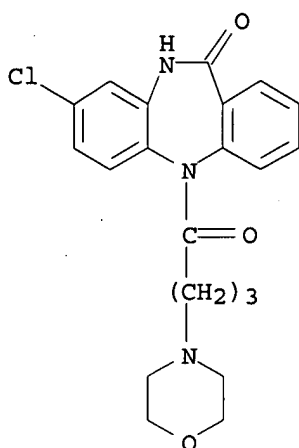


L7 ANSWER 165 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997:730155 CAPLUS  
 DOCUMENT NUMBER: 128:30255  
 TITLE: New 5-aminoacyl-5,10-dihydro-11H-dibenzo[b,e][1,4]diazepin-11-ones with antiarrhythmic activity  
 AUTHOR(S): Poppe, H.; Kaverina, N. V.; Lyskovzev, V. V.; Egerland, U.; Sauer, W.; Lichoscherstow, A.; Ruger, Carla; Skoldinow, A.  
 CORPORATE SOURCE: Corporate Research Development, Arzneimittelwerk Dresden G.m.b.H., Radebeul, D-01445, Germany  
 SOURCE: Pharmazie (1997), 52(11), 821-830  
 CODEN: PHARAT; ISSN: 0031-7144  
 PUBLISHER: Govi-Verlag Pharmazeutischer Verlag  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

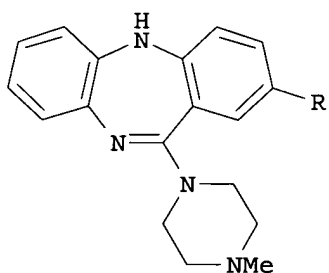
AB A series of new 5-substituted tricyclic 5,10-dihydro-11H-dibenzo[b,e][1,4]-diazepin-11-ones was identified as potential antiarrhythmic agents against bradyarrhythmias. The in vitro and in vivo interactions of the compds. with muscarinic receptors and the antiarrhythmic activity were examd. In receptor binding studies some derivs. showed a high affinity to the cardiac M2 receptor ( $K_i$  10 nmol/L), an equal or smaller affinity to cortical M1 receptor and a lower affinity to the glandular M3 binding site. Functional expts. showed the derivs. as competitive antagonists with high affinity to the cardiac and smaller affinity to the intestinal muscarinic receptor. In vivo expts. correspond with the M2 selectivity. First the vagal or agonist-induced bradycardia was inhibited in rats and guinea pigs while the McN-343 induced increase of blood pressure, methacholine-induced bronchi and bladder constriction as well as the salivation were inhibited only at higher doses. In conscious cats the tachycardia was examd. in comparison with pupillomotoricity. The effect duration and the therapeutical range were detd. in comparison to the M2 selective blocking agent AF-DX116. The antiarrhythmic activity was examd. compared to quinidine sulfate in  $\text{CaCl}_2$ -arrhythmia of rats, in atrial fibrillation and atrial flutter in dogs and in elec. induced atrial fibrillation under vagal stimulation in cats. In the atrial arrhythmias the derivs. are clearly longer effective than quinidine sulfate. The antiischemic activity was examd. in the 2-stages coronary ligation in dogs. The long-running regularization of ectopies (about 2 h after i.v. injection) occurred without decrease of the heart rate, an effect particularly convenient to therapy of bradycardic dysrhythmias.

IT 199797-02-9  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (aminoacyl dibenzodiazepinones with antiarrhythmic activity)

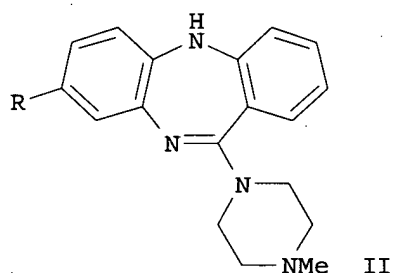
RN 199797-02-9 CAPLUS  
 CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 8-chloro-5,10-dihydro-5-[4-(4-morpholinyl)-1-oxobutyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 166 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997:727380 CAPLUS  
 DOCUMENT NUMBER: 128:30304  
 TITLE: Synthesis and Pharmacological Evaluation of  
 Triflate-Substituted Analogs of Clozapine:  
 Identification of a Novel Atypical Neuroleptic  
 AUTHOR(S): Liao, Yi; DeBoer, Peter; Meier, Eddie; Wikstroem, Hkan  
 CORPORATE SOURCE: Department of Medicinal Chemistry, University of  
 Groningen, Groningen, NL-9713 AV, Neth.  
 SOURCE: Journal of Medicinal Chemistry (1997), 40(25),  
 4146-4153  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



I



II

AB The trifluoromethanesulfonyloxy (TfO) analogs I and II (R = OSO<sub>2</sub>CF<sub>3</sub>) 8-chloro-11-(4-methyl-1-piperazinyl)-5H-dibenzo[b,e][1,4]diazepine (clozapine) (I; R = Cl) and its 2-chloro isomer (isoclozapine) (II; R = Cl) were prepd. via their OMe and OH analogs with the conventional synthetic method of the tricyclic dibenzodiazepines and evaluated pharmacol. along with their parent drugs. The binding profile of the 2-OTf analog II (R = OSO<sub>2</sub>CF<sub>3</sub>) is comparable to the binding profile of I (R = Cl), although the affinity for the dopamine (DA) D<sub>2</sub> receptors is higher [IC<sub>50</sub> = 31 nM and 330 nM for II (R = OSO<sub>2</sub>CF<sub>3</sub>) and I (R = Cl), resp.]. Interestingly, no notable affinity for muscarinic receptors could be detected in II (R = OSO<sub>2</sub>CF<sub>3</sub>). On the contrary, the 8-OTf analog I (R = OSO<sub>2</sub>CF<sub>3</sub>) only displayed affinity for muscarinic M<sub>1</sub> receptors (IC<sub>50</sub> = 35

nM) and no affinity ( $IC_{50} > 500$  nM) for the other receptors tested. The 10  $\mu\text{mol/kg}$  s.c. dose, but not the 10  $\mu\text{mol/kg}$  p.o. dose, of II (R = OSO<sub>2</sub>CF<sub>3</sub>) stimulated the output of DA. Increases of 80% and 35% in DOPAC output from the dorsal striatum were seen after s.c. and p.o. administrations of 10  $\mu\text{mol/kg}$  of II (R = OSO<sub>2</sub>CF<sub>3</sub>) resp. Doses up to 100  $\mu\text{mol/kg}$  of I (R = OSO<sub>2</sub>CF<sub>3</sub>) had no effect on either parameter. Doses up to 100  $\mu\text{mol/kg}$  of II (R = OSO<sub>2</sub>CF<sub>3</sub>) were not cataleptogenic, but significantly decreased apomorphine-induced locomotor activity. In conclusion, II (R = OSO<sub>2</sub>CF<sub>3</sub>) (GMC1-169) is a new clozapine-like neuroleptic candidate, which is lacking anticholinergic properties and displays a higher potency, as compared to clozapine itself.

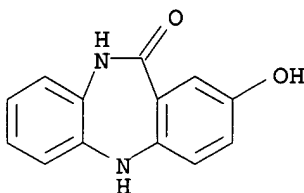
IT 183583-24-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and neuroleptic evaluation of clozapine triflate analogs)

RN 183583-24-6 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,10-dihydro-2-hydroxy- (9CI) (CA INDEX NAME)



L7 ANSWER 167 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:717811 CAPLUS

DOCUMENT NUMBER: 128:3348

TITLE: Preparation of acrylic acids as modulators of molecules with phosphotyrosine recognition units

INVENTOR(S): Andersen, Henrik Sune; Moller, Niels Peter Hundahl; Madsen, Peter

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9739748	A1	19971030	WO 1997-DK167	19970417
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6043247	A	20000328	US 1997-842800	19970416
AU 9723814	A1	19971112	AU 1997-23814	19970417
JP 2000509373	T2	20000725	JP 1997-537610	19970417
PRIORITY APPLN. INFO.:				
			DK 1996-463	A 19960419
			DK 1996-1436	A 19961217
			US 1996-23661P	P 19960717
			WO 1997-DK167	W 19970417

OTHER SOURCE(S): MARPAT 128:3348

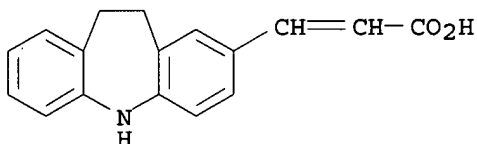
AB (L)nAr1CH:CHCO2R1 [I; n = 1-5; (L)n represents up to five substituents independently chosen from H, alkyl, alkoxy, OH, halo, etc.; L = AY1(W1)X(W2)Y2 (X = bond, CO, CONR7, S, SO, etc.; Y1, Y2 = bond, O, S, NR7; R7 = H, alkyl, aralkyl, etc.; W1, W2 = bond, alkylene; A = aryl, heteroaryl, biaryl, etc.); Ar1 = aryl, heteroaryl; R1 = H, alkyl, aryl, aralkyl] were prepd. for modulation of the activity of mols. with phosphotyrosine recognition units, including protein tyrosine phosphatases (PTPases) and proteins with Src-homol.-2 domains, in in vitro systems, microorganisms, eukaryotic cells, whole animals, and human beings. E.g., reaction of 3-(indol-3-yl)acrylic acid Et ester and NaH, followed by addn. of 4-phenylbenzyl chloride and KI, gave 3-(1-biphenyl-4-ylmethyl-1H-indol-3-yl)acrylic acid Et ester. The ester was treated with NaOH in EtOH/H2O/THF to give the corresponding acid. I were PTPase inhibitors.

IT 198707-60-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of modulators of mols. with phosphotyrosine recognition units)

RN 198707-60-7 CAPLUS

CN 2-Propenoic acid, 3-(10,11-dihydro-5H-dibenz[b,f]azepin-2-yl)- (9CI) (CA INDEX NAME)



L7 ANSWER 168 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:714442 CAPLUS

DOCUMENT NUMBER: 128:99

TITLE: Enantioselective HPLC determination of R- and S-trimipramine in human serum [by] using an octyldecylsilane column with .beta.-cyclodextrin as mobile phase additive and solid-phase extraction

AUTHOR(S): Ameyibor, Emmanuel; Stewart, James T.

CORPORATE SOURCE: Department of Medicinal Chemistry, College of Pharmacy, University of Georgia, Athens, GA, 30602-2352, USA

SOURCE: Journal of Liquid Chromatography & Related Technologies (1997), 20(19), 3107-3119  
CODEN: JLCTFC; ISSN: 1082-6076

PUBLISHER: Dekker

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A stereospecific HPLC method was developed for the anal. of the enantiomers of trimipramine in human serum. The assay uses amitriptyline as the internal std. and a C18 solid-phase extn. column for serum sample clean-up. It is free of interference from demethyltrimipramine, 2-hydroxydemethyltrimipramine and 2-hydroxytrimipramine, the 3 major metabolites of trimipramine. Recoveries of 98.8% and 97.5% were obtained for the R and S enantiomers of trimipramine, resp. Resoln. of the enantiomers was obtained on an octyldecylsilane column with .beta.-cyclodextrin as the mobile-phase additive. The compn. of the mobile phase was 80:20 aq. 10 mM NH4OAc buffer pH 4 (adjusted with HOAc)-EtOH contg. 20 mM .beta.-cyclodextrin and used at a flow rate of 0.7 mL/min. Linear calibration curves were obtained in the 25-400-ng/mL range for each enantiomer in serum. The detection limit based on a signal/noise ratio of 3 was 10 ng/mL for each enantiomer in serum with UV detection at

220 nm. The limit of quantitation for each enantiomer was 25 ng/mL. Precision calcd. as percentage relative std. deviation and accuracy calcd. as percentage error were 0.7-4.5% and 0.9-3.1%, resp., for the R enantiomer and 0.7-5.1% and 0.4-4.4%, resp., for the S enantiomer. Sepn. of the 3 major metabolites of trimipramine was also investigated.

IT 198817-90-2

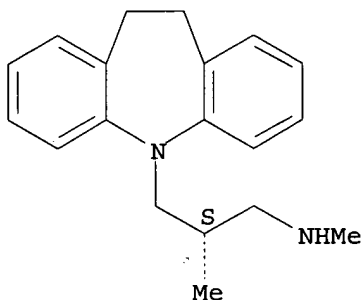
RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative)

(detn. and sepn. of trimipramine enantiomers in human blood serum by HPLC and sepn. of metabolites such as)

RN 198817-90-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,.beta.-dimethyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 169 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:707817 CAPLUS

DOCUMENT NUMBER: 128:13041

TITLE: Regiochemical assignment of methylated substituted dibenzodiazepines by <sup>1</sup>H and <sup>13</sup>C NMR

AUTHOR(S): Cortes, E.; Collera, O.; Munoz, P.; Diaz, E.

CORPORATE SOURCE: Instituto de Quimica, U. Nacional Autonoma de Mexico, C.E. C. Universitaria, Delegacion Coyoacan, 04510, Mex.

SOURCE: Spectrochimica Acta, Part A: Molecular and Biomolecular Spectroscopy (1997), 53A(11), 1825-1831  
CODEN: SAMCAS; ISSN: 0584-8539

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB <sup>1</sup>H and <sup>13</sup>C NMR anal. is discussed in order to establish the regiochem. assignment of methylated substituted dibenzodiazepines.

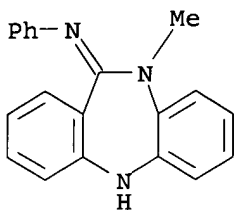
IT 187105-21-1

RL: PRP (Properties)

(regiochem. assignment of methylated substituted dibenzodiazepines by <sup>1</sup>H and <sup>13</sup>C NMR)

RN 187105-21-1 CAPLUS

CN Benzenamine, N-(5,10-dihydro-10-methyl-11H-dibenzo[b,e][1,4]diazepin-11-ylidene)- (9CI) (CA INDEX NAME)



L7 ANSWER 170 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:707362 CAPLUS

DOCUMENT NUMBER: 128:43337

TITLE: Quantitation of trimipramine enantiomers in human serum by enantioselective high-performance liquid chromatography and mixed-mode disk solid-phase extraction

AUTHOR(S): Liu, Jingli; Stewart, James T.

CORPORATE SOURCE: Department of Medicinal Chemistry, College of Pharmacy, University of Georgia, Athens, GA, 30602-2352, USA

SOURCE: Journal of Chromatography, B: Biomedical Sciences and Applications (1997), 700(1 + 2), 175-182  
CODEN: JCBEP; ISSN: 0378-4347

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A sensitive and stereospecific method for the quantitation of trimipramine enantiomers in human serum was developed. The assay involves the use of a novel mixed-mode disk solid-phase extn. for serum sample clean-up prior to HPLC anal. and is also free of interference from the enantiomers of desmethyltrimipramine, 2-hydroxytrimipramine, and 2-hydroxydesmethyltrimipramine, the three major metabolites of trimipramine. Chromatog. resoln. of trimipramine enantiomers was performed on a reversed-phase cellulose-based chiral column (Chiralcel OD-R) under isocratic conditions using a mobile phase consisting of 0.3 M aq. sodium perchlorate-acetonitrile (58:42, vol./vol.) at a flow-rate of 0.5 mL/min. Recoveries for R- and S-trimipramine enantiomers were in the range of 93-96% at 25-185 ng/mL levels. Intra-day and inter-day precisions calcd. as R.S.D. were in the ranges of 0.30-8.00% and 1.60-10.20% for both enantiomers, resp. Intra-day and inter-day accuracies calcd. as percent error were in the 0.01-2.10% and 1.00-3.00% ranges for both enantiomers, resp. Linear calibration curves were in the concn. range 15-250 ng/mL for each enantiomer in serum. The limit of quantification of each enantiomer was 15 ng/mL. The detection limit for each enantiomer in serum using a UV detector set at 210 nm was 10 ng/mL. In addn., sepn. of the enantiomers of desmethyltrimipramine, 2-hydroxytrimipramine, and 2-hydroxydesmethyltrimipramine were investigated. The desmethyltrimipramine enantiomers could be resolved on the Chiralcel OD-R column under the same chromatog. conditions as the trimipramine enantiomers, but the other two metabolite enantiomers required different mobile phases on the Chiralcel OD-R column to achieve satisfactory resoln. with Rs values of 1.00.

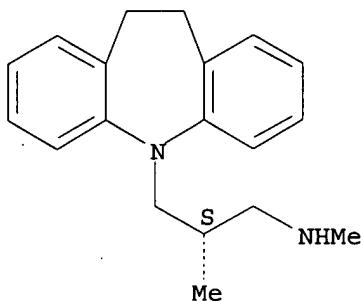
IT 198817-90-2

RL: ANT (Analyte); ANST (Analytical study)  
(chromatog. resoln. of enantiomers of trimipramine metabolites and absence of interference with trimipramine detn. in human blood)

RN 198817-90-2 CAPLUS

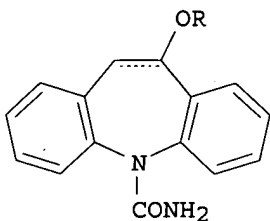
CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,.beta.-dimethyl-, (.beta.S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 171 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997:696744 CAPLUS  
 DOCUMENT NUMBER: 127:358797  
 TITLE: Preparation of alkoxy carbamazepines and analogs as drugs  
 INVENTOR(S): Milanese, Alberto  
 PATENT ASSIGNEE(S): Trifarma S.R.L., Italy; Milanese, Alberto  
 SOURCE: PCT Int. Appl., 16 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9738978	A1	19971023	WO 1997-EP1742	19970408
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9726942	A1	19971107	AU 1997-26942	19970408
PRIORITY APPLN. INFO.:			IT 1996-MI709	19960412
			WO 1997-EP1742	19970408
OTHER SOURCE(S):		MARPAT 127:358797		
GI				



I

AB Title compds. [I; R = (cyclo)alkyl or aryl(alkyl); dashed line = optional addnl. bond] were prepd. as analgesics, antidepressants, and anticonvulsants (no data). Thus, N-acetyliminostilbene was brominated and the product treated with NaOEt to give 10-ethoxyiminostilbene which was treated with KOCN/Cl<sub>3</sub>CCO<sub>2</sub>H to give 10-ethoxycarbamazepine.

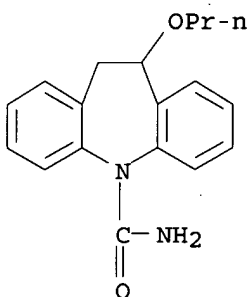
10/ 076,573

IT 198560-25-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of alkoxycarbamazepines and analogs as drugs)

RN 198560-25-7 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-propoxy- (9CI) (CA INDEX NAME)



L7 ANSWER 172 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:623152 CAPLUS

DOCUMENT NUMBER: 127:262691

TITLE: Preparation of nitrogenous tricyclic compounds as allergy inhibitors

INVENTOR(S): Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato, Keizo; Kaino, Makoto; Tanaka, Masayuki; Soejima, Motohiro; Moriya, Katsuhiko; Sakuma, Yoshinori; Yamada, Koji; Harada, Kokichi; Nishizawa, Yukio; Kobayashi, Seiichi; Okita, Makoto; Katayama, Koichi

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato, Keizo; Kaino, Makoto; Tanaka, Masayuki; Soejima, Motohiro; Moriya, Katsuhiko; Sakuma, Yoshinori; et al.

SOURCE: PCT Int. Appl., 175 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733871	A1	19970918	WO 1997-JP789	19970313
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2248820	AA	19970918	CA 1997-2248820	19970313
AU 9719399	A1	19971001	AU 1997-19399	19970313
EP 889037	A1	19990107	EP 1997-907297	19970313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1216982	A	19990519	CN 1997-194202	19970313
NO 9804217	A	19981112	NO 1998-4217	19980911
US 6333322	B1	20011225	US 1998-125451	19980921
US 2002103189	A1	20020801	US 2001-985416	20011102
US 6489336	B2	20021203		

PRIORITY APPLN. INFO.:

JP 1996-55628 A 19960313

WO 1997-JP789 W 19970313

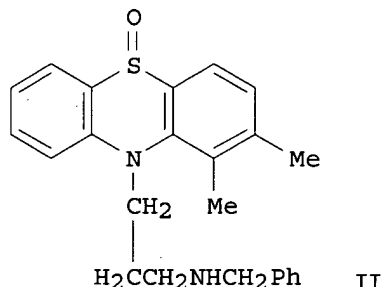
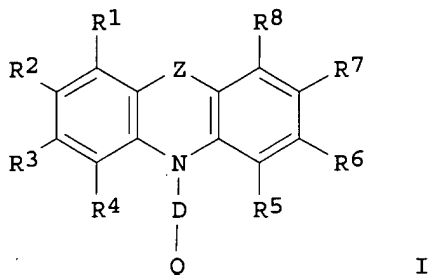
US 1998-125451 A3 19980921

OTHER SOURCE(S):

MARPAT 127:262691

10/ 076,573

GI



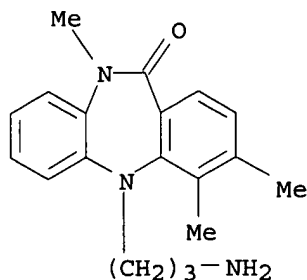
AB The title compds. I [D = alkylene; R1 - R8 = hydrogen, hydroxy, cyano, nitro, optionally substituted carbamoyl, halogeno, lower alkyl optionally substituted by halogeno, etc.; Z = S, SO, etc. ; and Q represents, for example, NR20R21 (where R20, R21 = hydrogen, lower alkyl optionally substituted by halogeno, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, or optionally substituted heteroarylalkyl, or NR20R21 = three- to eight-membered ring)] are prepd. I are effective in the prevention and treatment of diseases in which chem. transmitters such as histamine and leukotriene participate, for example, asthma, allergic rhinitis, atopic dermatitis, hives, hay fever, gastrointestinal allergy, and dietary allergy. In an in vitro test for inhibition of antigen-induced histamine release from basophils, the title compd. II showed IC50 of 10 - 30 .mu.M.

IT 196097-81-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of nitrogenous tricyclic compds. as allergy inhibitors)

RN 196097-81-1 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5-(3-aminopropyl)-5,10-dihydro-3,4,10-trimethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 173 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997:597991 CAPLUS  
 DOCUMENT NUMBER: 127:257134  
 TITLE: Rational design of selective ligands for trypanothione reductase from *Trypanosoma cruzi*. Structural effects on the inhibition by dibenzazepines based on imipramine  
 AUTHOR(S): Garforth, Jacqueline; Yin, Hong; McKie, James H.; Douglas, Kenneth T.; Fairlamb, Alan H.  
 CORPORATE SOURCE: School Pharmacy Pharmaceutical Sciences, Univ. Manchester, Manchester, M13 9PL, UK  
 SOURCE: Journal of Enzyme Inhibition (1997), 12(3), 161-173  
 CODEN: ENINEG; ISSN: 8755-5093  
 PUBLISHER: Harwood  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

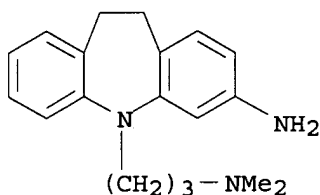
AB Trypanothione reductase, the enzyme which in trypanosomal and leishmanial parasites catalyzes the redn. of trypanothione disulfide to the redox-protective dithiol and was identified as a potential target for rational antiparasite drug design, was found strongly inhibited by tricyclic compds. contg. the satd. dibenzazepine (imipramine) nucleus, with *K<sub>i</sub>* values in the low micromolar range. This drug lead structure was designed by mol. graphics anal. of a 3-dimensional homol. model, focusing on the active-site. Inhibition studies were carried out to det. the effect of inhibitor structure on the inhibitory strength towards recombinant trypanothione reductase from *Trypanosoma cruzi*. Hansch anal. showed that inhibitory strength depended on terms in *ρ*<sub>1</sub>, *ρ*<sub>1,2</sub>, and *σ*<sub>m</sub> indicating dependence on both lipophilicity and inductive effect for ring-substituted analogs of imipramine. The side-chain *ω*-aminoalkyl chain had to be longer than 2-C units for inhibition. The effect on inhibition strength of the substituent at the *ω*-amino position on the side-chain of the central ring N atom depended markedly on the detailed substitution pattern of the rest of the mol. This provides kinetic evidence studies of multiple binding modes within a single; blanket binding site for the inhibitor with the tricyclic ring system in the general region of the hydrophobic pocket lined by Trp21, Tyr110, Met113, and Phe114. This aspect of the structural sensitivity of the precise active-site triangulation adopted by the inhibitor is probably a function of the use of hydrophobic interactions of low directional specificity in this pocket combined with an electrostatic anchoring by the *ω*-N+HMe<sub>2</sub> function of the inhibitor, presumably with a glutamate side-chain, such as Glu-1S, Glu-466' and/or Glu-467'.

IT 196392-45-7  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (dibenzazepine inhibitors as selective ligands for trypanothione reductase from *Trypanosoma cruzi*)

RN 196392-45-7 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-5-propanamine, 3-amino-10,11-dihydro-N,N-dimethyl-

10/ 076,573

(9CI) (CA INDEX NAME)



L7 ANSWER 174 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1997:568120 CAPLUS  
DOCUMENT NUMBER: 127:234258  
TITLE: Indolinyl- and tetrahydroquinolylcarboxamidines with anticonvulsant activity  
INVENTOR(S): Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.  
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA; Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.  
SOURCE: PCT Int. Appl., 103 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730054	A1	19970821	WO 1997-US2678	19970214
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9722780	A1	19970902	AU 1997-22780	19970214
AU 733475	B2	20010517		
EP 925300	A1	19990630	EP 1997-906923	19970214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000504730	T2	20000418	JP 1997-529602	19970214
US 6358993	B1	20020319	US 1999-425582	19991022
US 2002099084	A1	20020725	US 2001-38178	20011109
US 6514990	B2	20030204		

PRIORITY APPLN. INFO.:  
US 1996-601992 A 19960215  
WO 1997-US2678 W 19970214  
US 1997-858399 A3 19970519  
US 1999-425582 A1 19991022

OTHER SOURCE(S): MARPAT 127:234258

AB Title compds. (>250 compds.) were prepd. Thus, 1-aminonaphthalene was treated with BrCN to give 1-naphthylcyanamide which was treated with indolin mesylate to give N-(1-naphthyl)-1-indolinylcarboxamidine (I). I at 2 mg/kg i.p. caused 82% inhibition of audiogenic seizures in mice. The title compds. are particularly useful for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders.

IT 195437-36-6P

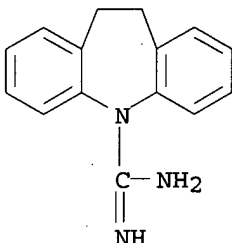
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

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study); PREP (Preparation); USES (Uses)  
(prepn. of indolinyl- and tetrahydroquinolylcarboxamidines with  
anticonvulsant activity)

RN 195437-36-6 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboximidamide, 10,11-dihydro-, monohydrochloride  
(9CI) (CA INDEX NAME)



● HCl

L7 ANSWER 175 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:568093 CAPLUS

DOCUMENT NUMBER: 127:234329

TITLE: Preparation of diarylsultam derivatives as  
antipsychotic agents

INVENTOR(S): Rocher, Jean-Philippe

PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan; Rocher,  
Jean-Philippe

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730038	A1	19970821	WO 1997-JP400	19970214
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 881220	A1	19981202	EP 1997-902691	19970214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.:	JP 1996-27745	19960215
	WO 1997-JP400	19970214

GI For diagram(s), see printed CA Issue.

AB The title compds. represented by the following general formula:

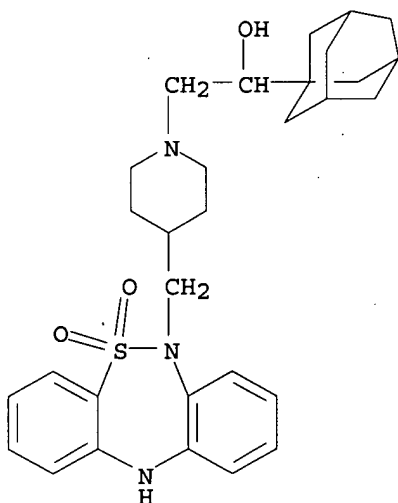
AC(X)(Y)C(R1)(R2)Z [I; Z = N(R3)(CH<sub>2</sub>)pB, etc.; R<sub>3</sub> = alkyl, etc.; p = 3-8;  
B = a group represented by general formula: (II), (III), (IV) or (V);  
R<sub>7</sub>-R<sub>10</sub> = H, halo, alkyl, etc.; X' = S, SO, SO<sub>2</sub>, O, etc.; W, W' = a benzene  
ring or 5- to 7-membered heterocycle; X = cycloalkyl, aryl, etc.; Y = H,  
alkyl, alkenyl, etc.; A = OR<sub>6</sub>, etc.; R<sub>6</sub> = H, alkyl, cycloalkyl, etc.; R<sub>1</sub>,  
R<sub>2</sub> = H, alkyl, etc.] are prepd. I, having high affinity and selectivity  
for a sigma-2 binding site, are useful as a selective sigma-2 ligand in  
treating and/or preventing various diseases or symptoms in which sigma-2  
ligand participates. Thus, compd. (VI) (prepn. given) was refluxed with  
1-(bromoacetyl)adamantane in the presence of K<sub>2</sub>CO<sub>3</sub> in MeCN to give 78.2%  
the title compd. (VII), which showed K<sub>i</sub> of 150 and 5 nM.+-SEM for sigma-1  
and sigma-2 resp.

IT 194871-44-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of diarylsultam derivs. as antipsychotic agents)

RN 194871-44-8 CAPLUS

CN 1-Piperidineethanol, 4-[(5,5-dioxidodibenzo[c,f][1,2,5]thiadiazepin-6(11H)-yl)methyl]-.alpha.-tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-yl- (9CI) (CA INDEX NAME)



L7 ANSWER 176 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:538019 CAPLUS

DOCUMENT NUMBER: 127:242796

TITLE: The discovery, characterization and crystallographically determined binding mode of an FMOC-containing inhibitor of HIV-1 protease

AUTHOR(S): Rutenber, Earl E.; De Voss, James J.; Hoffman, Lucas; Stroud, Robert M.; Lee, Kwan H.; Alvarez, Juan; McPhee, Fiona; Craik, Charles; Ortiz de Montellano, Paul R.

CORPORATE SOURCE: Dep. Biochem. Biophys., Univ. California, San Francisco, CA, 94143, USA

SOURCE: Bioorganic & Medicinal Chemistry (1997), 5(7), 1311-1320

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A pharmacophore derived from the structure of the dithiolane deriv. of haloperidol bound in the active site of the HIV-1 protease (HIV-1 PR) has been used to search a three-dimensional database for new inhibitory frameworks. This search identified an FMOC-protected N-tosyl arginine as a lead candidate. A deriv. in which the arginine carboxyl has been converted to an amide has been crystd. with HIV-1 PR and the structure has been detd. to a resoln. of 2.5 Å with a final R factor of 18.5%. The inhibitor binds in an extended conformation that results in occupancy of the S2, S1', and S3' subsites of the active site. Initial structure-activity studies indicate that: (1) the FMOC fluorenyl moiety interacts closely with active site residues and is important for binding; (2) the NG-tosyl group is necessary to suppress protonation of the arginine guanidinyll terminus; and (3) the arginine carboxamide function is involved in interactions with the water coordinated to the catalytic

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aspartyl groups. FMOC-protected arginine derivs., which appear to be relatively specific and nontoxic, offer promise for the development of useful HIV-1 protease inhibitors.

IT 195736-43-7P

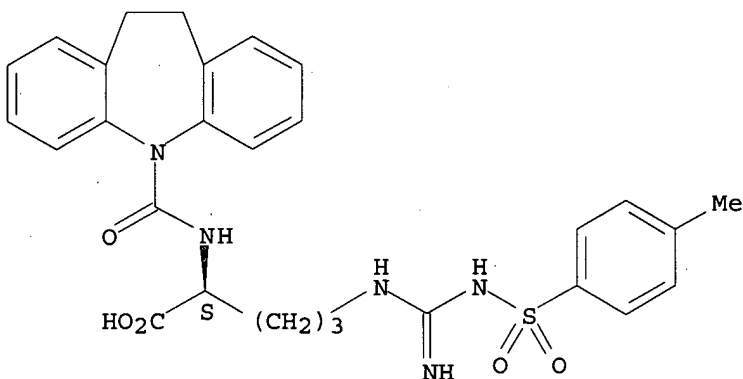
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(HIV-1 protease inhibition by FMOC tosylarginine derivs.)

RN 195736-43-7 CAPLUS

CN L-Ornithine, N2-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-N5-[imino[[[4-methylphenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 177 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:537574 CAPLUS

DOCUMENT NUMBER: 127:161697

TITLE: 2-Amino heterocycles and their therapeutic uses as leukotriene biosynthesis inhibitors

INVENTOR(S): Es-Sayed, Mazen; Yamamoto, Masaru; Frobels, Klaus; Poll, Chris; Grix, Suzanna; Tudhope, Stephen

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany; Es-Sayed, Mazen; Yamamoto, Masaru; Frobels, Klaus; Poll, Chris; Grix, Suzanna; Tudhope, Stephen

SOURCE: PCT Int. Appl., 275 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

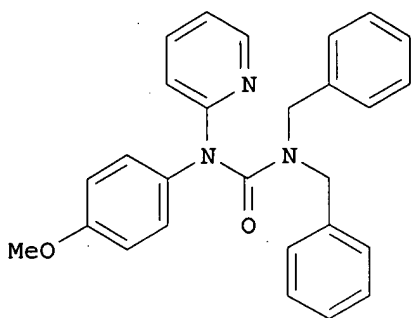
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724328	A1	19970710	WO 1996-EP5643	19961216
W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, IL, IS, JP, KE, KP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9713728	A1	19970728	AU 1997-13728	19961216
PRIORITY APPLN. INFO.:			GB 1995-26560	19951227
			WO 1996-EP5643	19961216

OTHER SOURCE(S): MARPAT 127:161697

GI



II

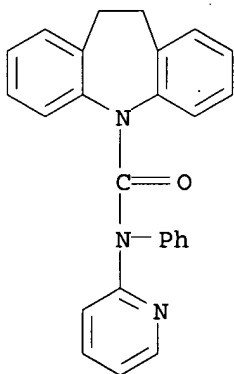
AB 2-Amino heterocycles R<sub>1</sub>R<sub>2</sub>NCOR<sub>3</sub> [I; R<sub>1</sub> = H, Me, (un)substituted 6-membered arom. heterocycle contg. 1 to req. 2 N atoms and optionally benzo-fused; R<sub>2</sub> = (un)substituted adamantyl, cycloalkyl, pyridyl, Ph, CH<sub>2</sub>Ph, tetralin-5-yl, 2-norbornyl, 1-azabicyclo[2.2.2]oct-3-yl; or NR<sub>1</sub>R<sub>2</sub> forms  $\alpha$ -carboline residue; R<sub>3</sub> = (un)substituted or cyclic amino groups linked via a bond, carbonyl, or alkylene group] are disclosed. I can be used for the prodn. of medicaments which inhibit leukotriene synthesis (in particular LTB<sub>4</sub>), and are esp. useful for the treatment and control of respiratory diseases and inflammatory processes (no data). For instance, condensation of 2-chloropyridine with 4-MeOC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> at 150.degree. gave 2-(4-methoxyanilino)pyridine, which reacted with ClCO<sub>2</sub>CCl<sub>3</sub> and then HN(CH<sub>2</sub>Ph)<sub>2</sub> in dioxane at 60.degree. to give title compd. II plus a byproduct.

IT 193555-04-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 2-amino heterocycles as leukotriene biosynthesis inhibitors)

RN 193555-04-3 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-N-phenyl-N-2-pyridinyl-(9CI) (CA INDEX NAME)



L7 ANSWER 178 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:534079 CAPLUS

DOCUMENT NUMBER: 127:220565

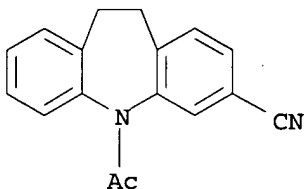
TITLE: An improved method for the preparation of 3-substituted 10,11-dihydro-5H-dibenz[b,f]azepine derivatives

AUTHOR(S): Csende, Ferenc; Hosztafi, Sandor

CORPORATE SOURCE: Medikament Pharmaceutical Trading Company Ltd., Szeged, H-7623, Hung.

10/ 076,573

SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung  
(1997), 339(6), 587-589  
CODEN: JPCCEM; ISSN: 0941-1216  
PUBLISHER: Barth  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 127:220565  
AB A simple and efficient method for the direct conversion of  
3-amino-5-acetyl-10,11-dihydro-5H-dibenz[b,f]azepine by anhyd. Cu halides  
or alkyl nitrite to the corresponding 3-halo or 3-cyano compds., resp., is  
described.  
IT 195143-90-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. of hydrodibenzazepines)  
RN 195143-90-9 CAPLUS  
CN 5H-Dibenz[b,f]azepine-3-carbonitrile, 5-acetyl-10,11-dihydro- (9CI) (CA  
INDEX NAME)



L7 ANSWER 179 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1997:501445 CAPLUS  
DOCUMENT NUMBER: 127:121640  
TITLE: Piperidinecarboxylic acid derivatives for treatment of  
non-insulin-dependent diabetes mellitus  
INVENTOR(S): Olsen, Uffe Bang  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Olsen, Uffe Bang  
SOURCE: PCT Int. Appl., 60 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722342	A1	19970626	WO 1996-DK520	19961210
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9711383	A1	19970714	AU 1997-11383	19961210
PRIORITY APPLN. INFO.: DK 1995-1425 19951215 WO 1996-DK520 19961210				

OTHER SOURCE(S): MARPAT 127:121640  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1, R2 = H, halogen, CF3, alkyl, alkoxy; R3 = OH, alkoxy; R4, R5 = H; R4R5 = bond; X = (CH2)s; X1 = (CH2)r; Y = NCH2, CHCH2, C:CH, CHCH:N, C:N; Z = O, S, CH2, CH2CH2, CH:CHCH2, CH2CH:CH, (CH2)3, CH:CH, OCH2; m = 1, n = 1; m = 2, n = 0; p, q = 0, 1; r = 2-4; s = 0-2] were prepd. for use in the treatment of insulin resistance related to NIDDM (non-insulin-dependent diabetes mellitus) or aging (no data). Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was treated with (ClCH2CH2)2O and Et (R)-3-piperidinecarboxylate, followed by ester hydrolysis to give the acid II.

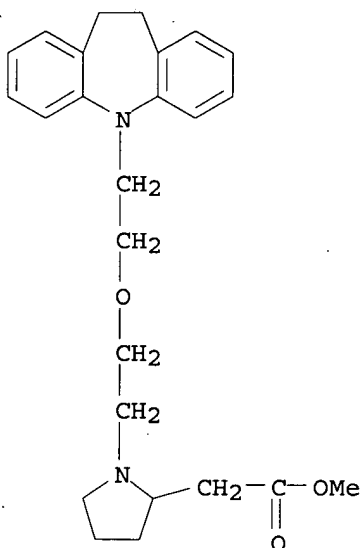
IT 192764-72-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of piperidinecarboxylic acid derivs. for treatment of non-insulin-dependent diabetes mellitus)

RN 192764-72-0 CAPLUS

CN 2-Pyrrolidineacetic acid, 1-[2-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 180 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:495857 CAPLUS

DOCUMENT NUMBER: 127:190800

TITLE: Dimesitylstibylamines

AUTHOR(S): Benmaarouf, Z.; Riviere-Baudet, M.; El Baz, F.

CORPORATE SOURCE: Laboratoire de Chimie Organique et Organometallique, Faculte des Sciences, Universite Ibnou Zohr, Agadir, BP28/S, Morocco

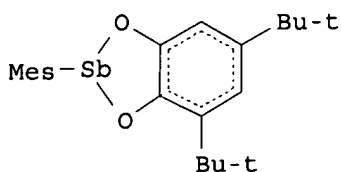
SOURCE: Main Group Metal Chemistry (1997), 20(6), 373-377  
CODEN: MGMCE8; ISSN: 0792-1241

PUBLISHER: Freund

DOCUMENT TYPE: Journal

LANGUAGE: French

GI



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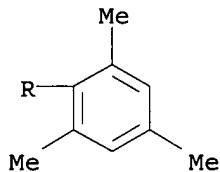
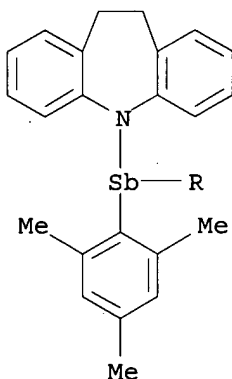
AB Various dimesitylstibylamines, Mes<sub>2</sub>SbNR<sub>2</sub> (HNR<sub>2</sub> = HNMe<sub>2</sub>, HNMePh, HNPh<sub>2</sub>, pyrrole, iminodibenzyl) were synthesized. Depending on the nucleophilic character of N, they do not present the same Sb-N sensitivity towards hydrolysis or alcoholysis. The fragmentation pathway in mass spectrometry is also related to the nucleophilic character of N in the stibylamine. A SET reaction was obsd. from the action of Ph<sub>2</sub>NSbMes<sub>2</sub> with 3,5-di-t-butylorthobenzoquinone. The paramagnetic reaction intermediates, I and Mes<sub>2</sub>SbOC<sub>6</sub>H<sub>2</sub>tBu<sub>2</sub>-3,5-OH-2, were obsd. by ESR.

IT 194154-15-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and reactions of)

RN 194154-15-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[bis(2,4,6-trimethylphenyl)stibino]-10,11-dihydro-(9CI) (CA INDEX NAME)



L7 ANSWER 181 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:285637 CAPLUS

DOCUMENT NUMBER: 126:343544

TITLE: Synthesis and evaluation of halogenated dibenzodiazepines as muscarinic receptor ligands

AUTHOR(S): Kassiou, Michael; Read, Roger W.; Shi, Xue-Qin

CORPORATE SOURCE: Radiopharmaceuticals Division, ANSTO, Menai, NSW 2234, Australia

SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(7), 799-804

CODEN: BMCLE8; ISSN: 0960-894X

10/ 076,573

PUBLISHER: Elsevier  
DOCUMENT TYPE: Journal  
LANGUAGE: English

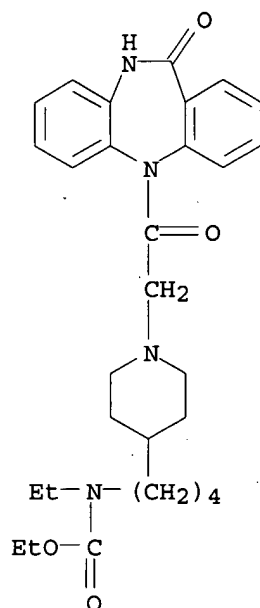
AB Syntheses of four novel amide analogs of the muscarinic M2 receptor antagonists, DIBA and BIBN 140, are described from a common intermediate. Pharmacol. evaluation through in vitro assays reveals high muscarinic receptor affinity in each of the compds., but variable subtype selectivity, primarily M2 but in one case M3.

IT 189938-90-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. and muscarinic receptor binding of dibenzodiazepines)

RN 189938-90-7 CAPLUS

CN Carbamic acid, [4-[1-[2-(10,11-dihydro-11-oxo-5H-dibenzo[b,e][1,4]diazepin-5-yl)-2-oxoethyl]-4-piperidiny]butyl]ethyl-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 182 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:278945 CAPLUS

DOCUMENT NUMBER: 126:264354

TITLE: Preparation of tricyclic antidepressant conjugates useful in immunoassays

INVENTOR(S): Buechler, Kenneth Francis; Noar, Joseph Barry

PATENT ASSIGNEE(S): Biosite Diagnostics Incorporated, USA

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9708192	A1	19970306	WO 1996-US13378	19960819

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,

LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,  
SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG,  
KZ, MD, RU, TJ, TM

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,  
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN

CA 2230052 AA 19970306 CA 1996-2230052 19960819

AU 9667806 A1 19970319 AU 1996-67806 19960819

EP 846126 A1 19980610 EP 1996-928229 19960819

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI

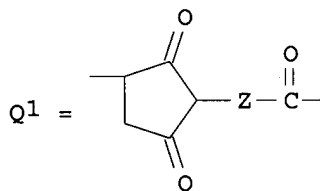
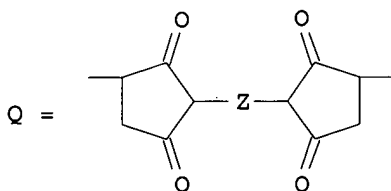
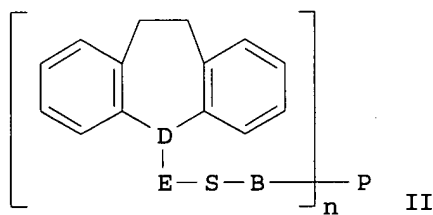
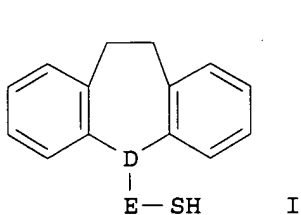
JP 11513030 T2 19991109 JP 1996-510365 19960819

PRIORITY APPLN. INFO.: US 1995-517949 19950822

WO 1996-US13378 19960819

OTHER SOURCE(S): MARPAT 126:264354

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AB The present invention is directed to novel tricyclic antidepressant derivs. I [D = C, N; E = satd. or unsatd. linking group contg. 1-20 carbon atoms and 0-10 heteroatoms (NH, O, S), either branched or in a straight chain] which are synthesized for the covalent attachment to antigens (proteins or peptides) for the prepn. of antibodies or receptors to tricyclic antidepressant and tricyclic antidepressant metabolites. The resulting novel antigens II [P = antigenic protein or peptide or a protein, peptide, or label; n = 1-100; B = linking group Q, Q1, CH<sub>2</sub>CO-Z-CO, S, S-Z-CO; Z = linking group from 1-20 carbon atoms and 0-10 heteroatoms (NH, O, S) and may be branched or straight chain] may be used for the prodn. of antibodies or receptors using std. methods. Once generated, the antibodies or receptors and the novel derivs. which are covalently attached to proteins, polypeptides or labels may be used in the immunoassay process (no data). Thus, alkylation of desipramine hydrochloride with N-bromoacetyl-DL-homocysteine thiolactone, followed by base hydrolysis, gave conjugate I [D = N, E = (CH<sub>2</sub>)<sub>3</sub>NMeCH<sub>2</sub>CONHCH(CO<sub>2</sub>H)CH<sub>2</sub>CH<sub>2</sub>].

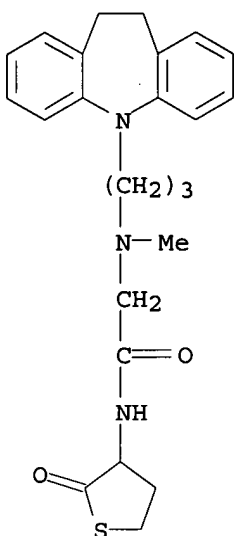
IT 188710-24-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of tricyclic antidepressant homocysteine conjugates useful in immunoassays)

RN 188710-24-9 CAPLUS

CN Acetamide, 2-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]methylamino]-N-(tetrahydro-2-oxo-3-thienyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 183 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997:276775 CAPLUS  
 DOCUMENT NUMBER: 126:293494  
 TITLE: 17- or 20-urea, thiourea, thiocarbamoyl and carbamyl derivatives of 4-azasteroids as 5-reductase inhibitors  
 Witzel, Bruce E.; Tolman, Richard L.  
 INVENTOR(S):  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 886,645, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5620986	A	19970415	US 1995-338574	19950301
WO 9323048	A1	19931125	WO 1993-US4634	19930517

W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US  
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1992-886645 B2 19920520  
 WO 1993-US4634 W 19930517

OTHER SOURCE(S): MARPAT 126:293494

AB Title compds. are effective inhibitors of testosterone 5.alpha.-reductase(s) and are thus useful in the treatment of a no. of hyperandrogenic conditions (no data). Thus, 4-methyl-3-oxo-4-aza-5.alpha.-androstane-17-carboxaldehyde was converted to the oxime, reduced to the aminomethyl deriv., and treated with Me3CNCO to give 17-tert-butylureidomethyl-4-methyl-4aza-5.alpha.-androstan-3-one.

IT 189125-65-3P

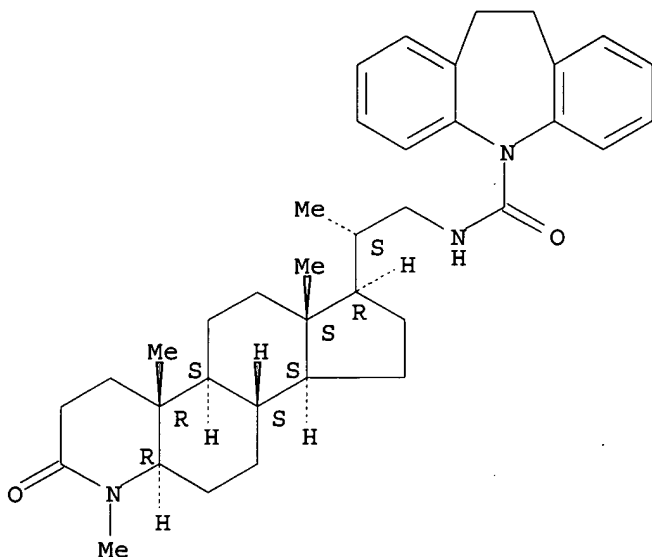
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of 17-ureido and -carbamoyl derivs. of azaandrostanes as 5.alpha.-reductase inhibitors)

RN 189125-65-3 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, N-[(2S)-2-[(4aR,4bS,6aS,7R,9aS,9bS,11aR)-hexadecahydro-1,4a,6a-trimethyl-2-oxo-1H-

indeno[5,4-f]quinolin-7-yl]propyl]-10,11-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 184 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:193424 CAPLUS

DOCUMENT NUMBER: 126:271750

TITLE: Characterization of the metabolites of carbamazepine in patient urine by liquid chromatography/mass spectrometry

AUTHOR(S): Maggs, J. L.; Pirmohamed, M.; Kitteringham, N. R.; Park, B. K.

CORPORATE SOURCE: Department of Pharmacology and Therapeutics, University of Liverpool, Liverpool, L69 3BX, UK

SOURCE: Drug Metabolism and Disposition (1997), 25(3), 275-280  
CODEN: DMDSAI; ISSN: 0090-9556

PUBLISHER: Williams &amp; Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The urinary metabolites of carbamazepine (CBZ) in epileptic patients receiving long-term drug treatment have been characterized by LC/MS. CBZ-10,11-epoxide (9.6-15.0  $\mu\text{g/mL}$ ), trans-10,11-dihydrodiol-CBZ (273.0-400.00  $\mu\text{g/mL}$ ), and CBZ (2.4-3.8  $\mu\text{g/mL}$ ) were measured by HPLC. The secondary N-glucuronide of CBZ, four phenolic O-glucuronides (including those of 2- and 3-OH-CBZ), two addnl. OH-CBZ O-glucuronides, and the N-glucuronide of CBZ-10,11-epoxide constituted the products of either direct conjugation or preliminary monooxygenation. Derivs. of these monooxygenated compds., which were characterized as O-glucuronides, were represented by dihydroxylated (catechol) CBZ and its putative O-Me metabolite and by 10,11-dihydrodiol-CBZ. 10,11-Dihydro-10-OH-CBZ O-glucuronide, a metabolite thought to be excreted only by uremic subjects, was not found. More complicated biotransformations of the 10,11-ene moiety were revealed by two carbinol products of azepine ring contraction: 9-OH-methyl-10-carbamoyl acridan and an hydroxylated deriv. thereof, which were excreted as O-glucuronides. No polar sulfur-contg. metabolites that might serve as indicators of reactive intermediate formation were found in human urine.

IT 189014-07-1

RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM

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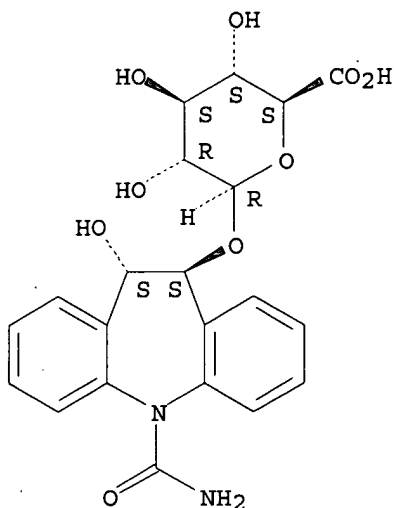
(Formation, nonpreparative)

(characterization of metabolites of carbamazepine in human urine by  
liq. chromatog./mass spectrometry)

RN 189014-07-1 CAPLUS

CN .beta.-D-Glucopyranosiduronic acid, (10S,11S)-5-(aminocarbonyl)-10,11-  
dihydro-11-hydroxy-5H-dibenz[b,f]azepin-10-yl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 185 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:145240 CAPLUS

DOCUMENT NUMBER: 126:157525

TITLE: Tricyclic inhibitors of protein farnesyltransferase

INVENTOR(S): Bolton, Gary Louis; Doherty, Annette Marian;  
Kaltenbronn, James Stanley; Quin, John, III; Scholten,  
Jeffrey D.; Sebolt-Leopold, Judith; Zinnes, Harold  
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Bolton, Gary Louis;  
Doherty, Annette Marian; Kaltenbronn, James Stanley;  
Quin, John, III; Scholten, Jeffrey D.; Sebolt-Leopold,  
Judith; Zinnes, Harold

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

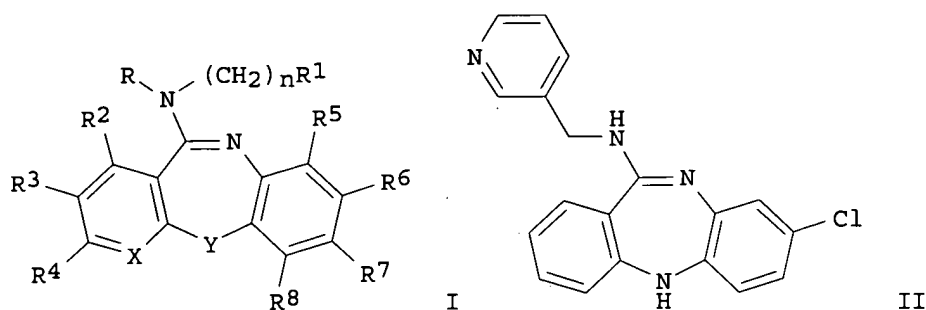
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700252	A1	19970103	WO 1996-US8528	19960604
W: AU, BG, CA, CN, CZ, EE, GE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, UZ, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9660342	A1	19970115	AU 1996-60342	19960604
US 5919780	A	19990706	US 1997-981505	19971211
PRIORITY APPLN. INFO.:			US 1995-913P	P 19950616
			WO 1996-US8528	W 19960604

OTHER SOURCE(S): MARPAT 126:157525

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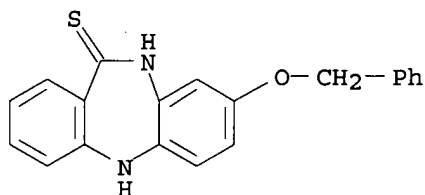


AB Title compds. I [wherein X = N or CR<sub>9</sub>; Y = NR<sub>10</sub>, CH<sub>2</sub>, O, S, SO, SO<sub>2</sub>, C:O, or CH(OH); R = H or alkyl; R<sub>1</sub> = heteroaryl; n = 1-5; R<sub>2</sub>-R<sub>10</sub> = H or various substituents] are useful as inhibitors of protein farnesyltransferase (PFT), and thus for the treatment of proliferative diseases including cancer, restenosis and psoriasis, and as antiviral agents. For example, condensation of 8-chloro-5,10-dihydrodibenzo[b,e][1,4]diazepine-11-one with 3-(aminomethyl)pyridine in refluxing EtOCH<sub>2</sub>CH<sub>2</sub>OH gave 80% title compd. II. Eighteen I were prepd. and tested for PFT inhibiting and anticancer activity. In two in vitro bioassays, II had IC<sub>50</sub> values of 3.7 and 5.0 .mu.M against PFT.

IT **186765-23-1P**, 8-(Benzyloxy)-5,10-dihydrodibenzo[b,e][1,4]diazepine-11-thione  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; prepn. of tricyclic inhibitors of protein farnesyltransferase)

RN 186765-23-1 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepine-11-thione, 5,10-dihydro-8-(phenylmethoxy)-(9CI) (CA INDEX NAME)



L7 ANSWER 186 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:145184 CAPLUS

DOCUMENT NUMBER: 126:144126

TITLE: Preparation of 10-acyloxy-10,11-dihydrodibenz[b,f]azepine-5-carboxamides as nervous system agents

INVENTOR(S): Benes, Jan; Soares Da Silva, Patricio Manuel Vieira Araujo

PATENT ASSIGNEE(S): Portela & Ca., S.A., Port.

SOURCE: Eur. Pat. Appl., 10 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

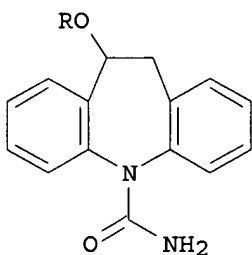
KIND DATE

APPLICATION NO. DATE

EP 751129	A1	19970102	EP 1996-110490	19960628
EP 751129	B1	19981118		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, NL, SE				
WO 9702250	A1	19970123	WO 1996-GB1565	19960627
W: AU, CN, HU, KR, MW, PL, RU, TR				
AU 9663106	A1	19970205	AU 1996-63106	19960627
AU 705388	B2	19990520		
US 5753646	A	19980519	US 1996-673819	19960627
CN 1193965	A	19980923	CN 1996-196397	19960627
CN 1070853	B	20010912		
RU 2168502	C2	20010610	RU 1998-101463	19960627
BR 9602933	A	19980428	BR 1996-2933	19960628
AT 173468	E	19981215	AT 1996-110490	19960628
ES 2124612	T3	19990201	ES 1996-110490	19960628
JP 09110836	A2	19970428	JP 1996-171460	19960701
CA 2180301	AA	19961231	CA 1996-2180301	19960702

PRIORITY APPLN. INFO.: PT 1995-101732 A 19950630  
WO 1996-GB1565 W 19960627

OTHER SOURCE(S): MARPAT 126:144126  
GI

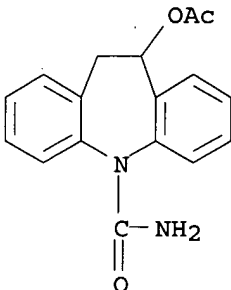


AB Title compds. [I; R = CHO, (amino)alkanoyl, Bz, pyridylcarbonyl, etc.] were prepd. as nervous system agents (no data). Thus, I (R = H) was acylated by (HCO)2O to give I (R = CHO).

IT **186694-11-1P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 10-acyloxy-10,11-dihydrodibenz[b,f]azepine-5-carboxamides as nervous system agents)

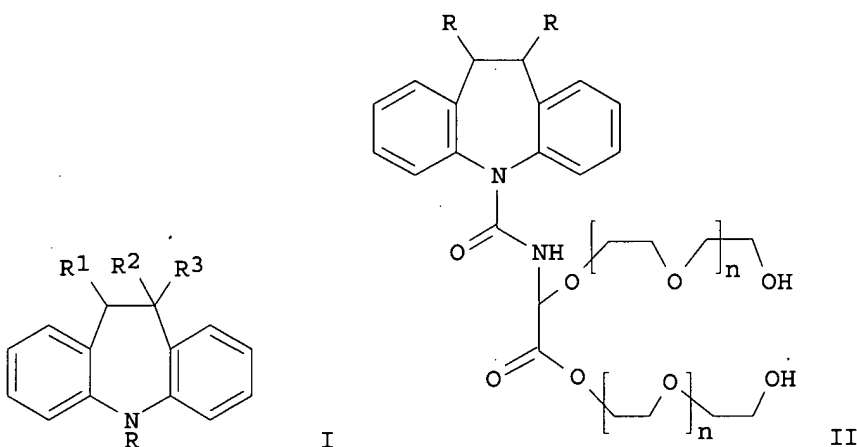
RN 186694-11-1 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro- (9CI)  
(CA INDEX NAME)



10/ 076,573

L7 ANSWER 187 OF 200 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1997:116098 CAPLUS  
DOCUMENT NUMBER: 126:199441  
TITLE: Dibenz[b,f]azepines. Part 7. Synthesis of new,  
potentially CNS active dibenz[b,f]azepine derivatives  
AUTHOR(S): Haasz, Ferenc; Toth, Zoltan; Galamb, Vilmos  
CORPORATE SOURCE: Alkaloida Chemical Company Ltd., Tiszavasvari, H-4440,  
Hung.  
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1996),  
329(12), 551-553  
CODEN: ARPMAS; ISSN: 0365-6233  
PUBLISHER: VCH  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



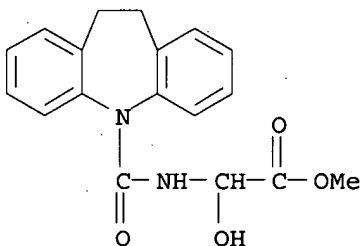
AB Reactions of carboxamidodibenzazepines I ( $R = \text{CONH}_2$  with  $R_1R_2 = \text{bond}$ ,  $R_3 = \text{H}$ ;  $R_1, R_2, R_3 = \text{H}$ ;  $R_1 = \text{H}$ ,  $R_2R_3 = \text{O}$ ;  $R_1R_2 = \text{O}$ ,  $R_3 = \text{H}$ ) with  $\text{MeO}_2\text{CCH}(\text{OMe})\text{OH}$  led to corresponding dibenzazepines I ( $R = \text{CONHCHOHCO}_2\text{Me}$ ). The reactions with glycols yielded the oligoethylene glycol derivs. II ( $n = 0-3$ ;  $R_2 = \text{H}_2$ , bond). Some of the compds. showed anticonvulsive and/or antidepressive activity in preliminary tests.

IT 187866-41-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of CNS-active dibenzazepines)

RN 187866-41-7 CAPLUS

CN Acetic acid, [[[10,11-dihydro-5H-dibenz[b,f]azepin-5-yl]carbonyl]amino]hydroxy-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 188 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:94060 CAPLUS

DOCUMENT NUMBER: 126:104109

TITLE: Tricyclic diazepines useful as GnRH receptor antagonists.

INVENTOR(S): Ohkawa, Shigenori; Fujii, Nobuhiro; Kato, Koichi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan; Ohkawa, Shigenori; Fujii, Nobuhiro; Kato, Koichi

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638438	A1	19961205	WO 1996-JP1463	19960530
W:	AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RQ, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2213510	AA	19961205	CA 1996-2213510	19960530
AU 9658448	A1	19961218	AU 1996-58448	19960530
JP 09048777	A2	19970218	JP 1996-137181	19960530
EP 828731	A1	19980318	EP 1996-920006	19960530
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
CN 1217723	A	19990526	CN 1996-194293	19960530
CN 1072219	B	20011003		
US 5866567	A	19990202	US 1996-666430	19960625
PRIORITY APPLN. INFO.:			JP 1995-135376 A	19950601
			WO 1996-JP1463 W	19960530
OTHER SOURCE(S):	MARPAT 126:104109			
GI				

\*\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [A = benzene ring; B = 6-membered hydrocarbon ring; X = alkylene, CO, SO; Y = bond, O, NR1; R1 = H or alkyl; R = H, arom., alkyl (un)substituted by arom.; m, n = 1-3] and salts thereof have potent GnRH receptor-antagonizing activity. For example, 2,3,9,10a-tetrahydrobenzo[b]cyclopenta[e][1,4]diazepin-10(1H)-one underwent a sequence of: (1) N9-alkylation by 4-nitrobenzyl bromide (71%); (2) redn. of the tetrahydro system to a hexahydro system with NaBH3CN (70%); (3) hydrogenation of the nitro group (71%); (4) acylation of the resulting amine with PhCH2OCOC1 (79%); (5) N4-acylation with BrCH2COBr (66%); and (6) reaction of the bromide with 3,4,5,6-tetrahydrophthalimide (86%), to give title compd. II. In an assay for inhibition of 125I-leuprolerin binding to human GnRH receptor in vitro, II had an IC50 of 0.07 .mu.M.

IT 185953-79-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of tricyclic diazepines useful as GnRH receptor antagonists)

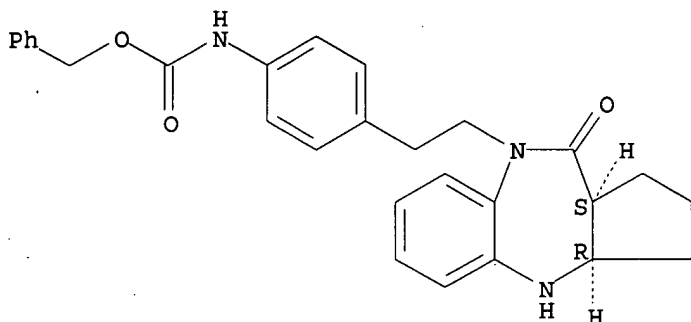
RN 185953-79-1 CAPLUS

CN Carbamic acid, [4-[2-(2,3,3a,4,10,10a-hexahydro-10-oxobenzo[b]cyclopenta[e][1,4]diazepin-9(1H)-yl)ethyl]phenyl]-,

10/ 076,573

phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L7 ANSWER 189 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:85185 CAPLUS

DOCUMENT NUMBER: 126:104108

TITLE: Preparation of fused benzodiazepinone derivatives for the treatment of heart diseases

INVENTOR(S): Watanabe, Toshihiro; Kakefuda, Akio; Tanaka, Akihiro

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Watanabe, Toshihiro; Kakefuda, Akio; Tanaka, Akihiro

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

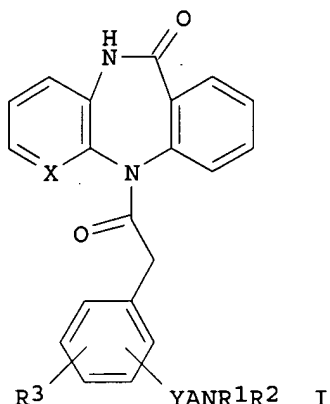
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638422	A1	19961205	WO 1996-JP1462	19960530
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9658447	A1	19961218	AU 1996-58447	19960530
CN 1180350	A	19980429	CN 1996-193058	19960530
PRIORITY APPLN. INFO.:			JP 1995-133609	19950531
			WO 1996-JP1462	19960530
OTHER SOURCE(S):		MARPAT 126:104108		
GI				



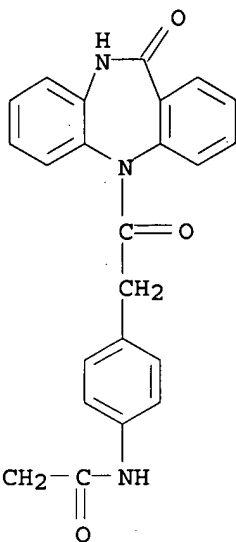
AB Fused benzodiazepinone derivs. represented by general formula I [X represents CH or N; Y represents oxygen, NR<sub>4</sub>, S(O)<sub>n</sub> or NR<sub>5</sub>CO, wherein R<sub>4</sub> and R<sub>5</sub> are the same or different and each represents hydrogen or lower alkyl; and n is an integer of from 0 to 2; A represents lower alkylene; R<sub>1</sub> and R<sub>2</sub> are the same or different and each represents hydrogen, lower alkyl, cycloalkyl, optionally substituted aryl or optionally substituted aralkyl, or R<sub>1</sub> and R<sub>2</sub> together with the nitrogen atom to which they are bonded may form a 4- to 9-membered nitrogen-contg. satd. heterocycle optionally further contg. one of oxygen, sulfur and nitrogen atoms and optionally having substituent(s); and R<sub>3</sub> represents hydrogen, optionally substituted lower alkyl, hydroxy, lower alkoxy, nitro, halogeno, lower acyl or optionally substituted amino] are prepd. I have medicinal effects, in particular, preventive or therapeutic effects on heart diseases in which muscarinic M<sub>2</sub> receptors participate. I show high affinity for the muscarinic M<sub>2</sub> receptors.

IT 185801-55-2P

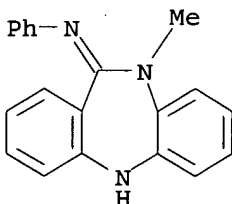
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of fused benzodiazepinone derivs. for the treatment of heart diseases)

RN 185801-55-2 CAPLUS

CN Propanamide, 3-(diethylamino)-N-[4-[2-(10,11-dihydro-11-oxo-5H-dibenzo[b,e][1,4]diazepin-5-yl)-2-oxoethyl]phenyl] - (9CI) (CA INDEX NAME)



L7 ANSWER 190 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997:81454 CAPLUS  
 DOCUMENT NUMBER: 126:171571  
 TITLE: Synthesis and spectral properties of isomeric [(12-N-methyl)- and (10-N-methyl)]-11-(o-, and p-substituted-anilino)-5H-dibenzo[b,e][1,4]diazepines  
 AUTHOR(S): Cortes, Eduardo Cortes; Islas, Pedro Munoz; Garcia, Marcos Martinez; Romero, Mayra O. Zepeda  
 CORPORATE SOURCE: Inst. Quim., Univ. Nacional Auton. Mexico, Mexico, 04510, Mex.  
 SOURCE: Journal of Heterocyclic Chemistry (1996), 33(6), 1723-1726  
 CODEN: JHTCAD; ISSN: 0022-152X  
 PUBLISHER: HeteroCorporation  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The prepn. of sixteen novel substituted [(10-N-methyl)- and (12-N-methyl)]-11-(o-, and p-substituted-anilino)-5H-dibenzo[b,e][1,4]diazepines which have potentially useful pharmacol. properties is described. The structure and the isomeric differences in all products was corroborated by ir, 1H-nmr, 13C-nmr, and mass spectra.  
 IT 187105-21-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 187105-21-1 CAPLUS  
 CN Benzenamine, N-(5,10-dihydro-10-methyl-11H-dibenzo[b,e][1,4]diazepin-11-ylidene)- (9CI) (CA INDEX NAME)



L7 ANSWER 191 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:728964 CAPLUS

DOCUMENT NUMBER: 126:7999

TITLE: Preparation of N-substituted 3-piperidinecarboxylic acids for treatment of neurogenic inflammation and insulin resistance in NIDDM or aging

INVENTOR(S): Andersen, Henrik Sune; Andersen, Knud Erik; Hohlweg, Rolf; Madsen, Peter; Joergensen, Tine Krogh; Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

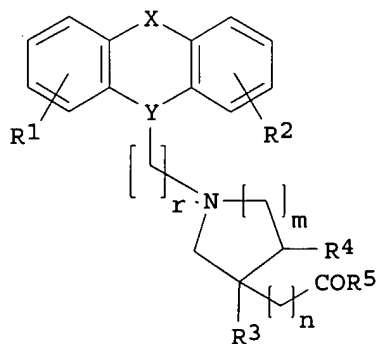
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

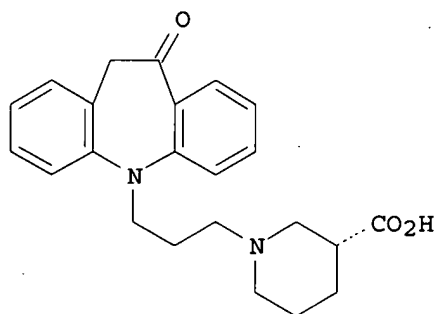
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631499	A1	19961010	WO 1996-DK140	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5716949	A	19980210	US 1996-625562	19960328
CA 2217130	AA	19961010	CA 1996-2217130	19960401
AU 9651004	A1	19961023	AU 1996-51004	19960401
EP 869954	A1	19981014	EP 1996-907328	19960401
EP 869954	B1	20010919		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11503128	T2	19990323	JP 1996-529869	19960401
AT 205843	E	20011015	AT 1996-907328	19960401
ZA 9602736	A	19961016	ZA 1996-2736	19960404
US 5753643	A	19980519	US 1997-862169	19970522
PRIORITY APPLN. INFO.:			DK 1995-406	A 19950407
			DK 1995-1003	A 19950911
			US 1996-625562	A3 19960328
			WO 1996-DK140	W 19960401

OTHER SOURCE(S): MARPAT 126:7999

GI



I



II

AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; Y = N(CH2), CH(CH2), C(:CH) (group in brackets does not participate in the ring system); X =

CH<sub>2</sub>C(O), C(O)CH<sub>2</sub>, CH<sub>2</sub>S, etc.; r = 1-3; m = 1-2; n = 1 when m = 1; n = 0 when m = 2; R<sub>3</sub>, R<sub>4</sub> = H, bond (when m = 2); R<sub>5</sub> = OH, C1-6 alkoxy] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation, were prepd. and formulated. Thus, treatment of 10-methoxy-5H-dibenz[b,f]azepine/THF with BuLi/hexanes followed by addn. of Br(CH<sub>2</sub>)<sub>3</sub>Cl/THF, reaction of the resulting 1-chloro-3-(10-methoxy-10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)propane with Et (R)-3-piperidinecarboxylate tartrate in the presence of K<sub>2</sub>CO<sub>3</sub>, KI in MeC(O)Et and hydrolysis of the ester group afforded (R)-II.HCl which showed 21% inhibition of formalin induced pain response at 0.1 mg/kg.

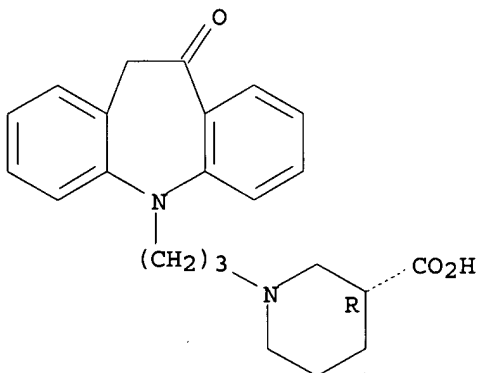
IT 183787-41-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of N-substituted 3-piperidinecarboxylic acids for treatment of neurogenic inflammation and insulin resistance in NIDDM or aging)

RN 183787-41-9 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-10-oxo-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L7 ANSWER 192 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:721735 CAPLUS

DOCUMENT NUMBER: 126:8010

TITLE: Preparation of N-(3-dibenzazepinopropyl)piperidinecarboxylates and analogs as drugs

INVENTOR(S): Doerwald, Florenzio Zaragossa; Andersen, Knud Erik; Madsen, Peter; Joergensen, Tine Krogh; Hohlweg, Rolf; Andersen, Henrik Sune; Treppendahl, Svend; Olsen, Uffe Bang; Zdenek, Polivka; et al.

PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

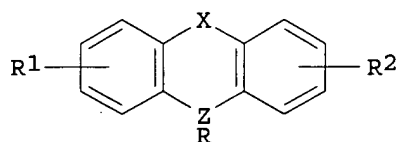
PATENT INFORMATION:

PATENT NO.

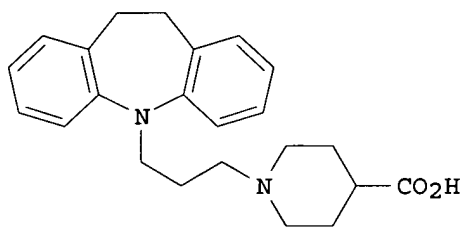
KIND DATE

APPLICATION NO. DATE

WO 9631498	A1	19961010	WO 1996-DK139	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
CA 2217197	AA	19961010	CA 1996-2217197	19960401
AU 9651003	A1	19961023	AU 1996-51003	19960401
AU 708010	B2	19990729		
EP 820451	A1	19980128	EP 1996-907327	19960401
EP 820451	B1	20030115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
BR 9604864	A	19980526	BR 1996-4864	19960401
CN 1183781	A	19980603	CN 1996-193779	19960401
JP 11503127	T2	19990323	JP 1996-529868	19960401
CZ 291294	B6	20030115	CZ 1997-3164	19960401
AT 231144	E	20030215	AT 1996-907327	19960401
IL 117810	A1	20010913	IL 1996-117810	19960403
ZA 9602732	A	19961024	ZA 1996-2732	19960404
TW 419463	B	20010121	TW 1996-85104810	19960514
NO 9704605	A	19971204	NO 1997-4605	19971006
PRIORITY APPLN. INFO.:			DK 1995-405	A 19950407
			DK 1995-1005	A 19950911
			WO 1996-DK139	W 19960401
OTHER SOURCE(S):			MARPAT 126:8010	
GI				



I



II

AB Title compds. [I; R = N-attached carboxyheterocyclyl, etc.; R1,R2 = H, halo, alkyl, alkoxy, etc.; X = O, CH2CH2, CH2CO, etc.; Z = N(CH2)2-4, CH(CH2)2-4, CH:CH(CH2)1-3] were prepd. for treatment of neurogenic inflammation and non-insulin-dependant diabetes (no data). Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was acylated by Cl(CH2)3COCl and the reduced product aminated by Et 4-piperidinecarboxylate to give, after sapon., title compd. II.HCl.

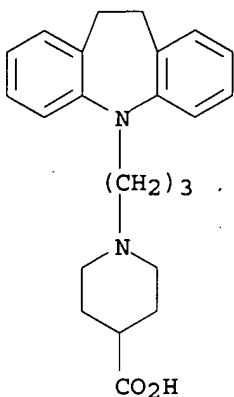
IT 183785-31-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(3-dibenzazepinopropyl)piperidinecarboxylates and analogs as drugs)

RN 183785-31-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

L7 ANSWER 193 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:718301 CAPLUS

DOCUMENT NUMBER: 126:19327

TITLE: Preparation of peptide compounds as cysteine protease inhibitors

INVENTOR(S): Fukuda, Tsunehiko; Fujisawa, Yukio; Watanabe, Hiroyuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630395	A2	19961003	WO 1996-JP840	19960329
WO 9630395	A3	19961227		
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
JP 09165360	A2	19970624	JP 1996-73861	19960328
CA 2215211	AA	19961003	CA 1996-2215211	19960329
AU 9651221	A1	19961016	AU 1996-51221	19960329
EP 820464	A2	19980128	EP 1996-907705	19960329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 6162828	A	20001219	US 1996-648145	19960520
PRIORITY APPLN. INFO.:				
			JP 1995-75593	A 19950331
			JP 1995-75594	A 19950331
			JP 1995-265723	A 19951013
			WO 1996-JP840	W 19960329

OTHER SOURCE(S): MARPAT 126:19327

AB Peptide derivs. R1-R2-R3-R4-NHA(Z) (CH2)nCO2H [I; R1 = H, acyl; R2 - R4 = a bond, an amino acid residue, a group of the formula Y-R5, in which R5 is a

group resulting from imino group removal from an amino acid residue; Y = O, S, NR<sub>6</sub>, in which R<sub>6</sub> = H or lower alkyl; A = CH, N; Z = H, an acyl group, an optionally substituted hydrocarbon group; n = 1 or 2; provided that when n = 1, then A = CH and Y = S or NR<sub>6</sub>, and, at least one of R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> = the formula Y-R<sub>5</sub>, provided that when further all Y = NR<sub>6</sub>, at least one of the amino acid residues is not bound to an hydrogen atom at the .alpha.-carbon thereof but substituted via carbon; provided that when n = 2 and Z = an aldehyde group, then R<sub>1</sub> = an acyl group having 6 or more carbon atoms; provided that when n = 2 and A is CH, then at least one of R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> is the formula Y-R<sub>5</sub>] or esters or salts thereof are prepd. A pharmaceutical compn. contg. I is useful for inhibiting interleukin-1.beta. converting enzyme or cysteine protease and for treating or preventing rheumatic arthritis or septic shock. Thus, Fmoc-Val-Aib-OH (Aib = .alpha.-aminoisobutyric acid residue) was condensed with H<sub>2</sub>NCH[CH(OMe)<sub>2</sub>]CH<sub>2</sub>CO<sub>2</sub>CMe<sub>3</sub> using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBt in DMF at 0.degree. for 1 h and at 28.degree. for 14 h to give Fmoc-Val-Aib-NHCH[CH(OMe)<sub>2</sub>]CH<sub>2</sub>CO<sub>2</sub>CMe<sub>3</sub>, which was treated with aq. CF<sub>3</sub>CO<sub>2</sub>H at 28.degree. for 4 h to give Fmoc-Val-Aib-NHCH(CHO)CH<sub>2</sub>CO<sub>2</sub>H. The latter compd. in vitro showed IC<sub>50</sub> of 1.9 .times. 10<sup>-8</sup> M against recombinant interleukin-1.beta. converting enzyme.

IT 183438-83-7P

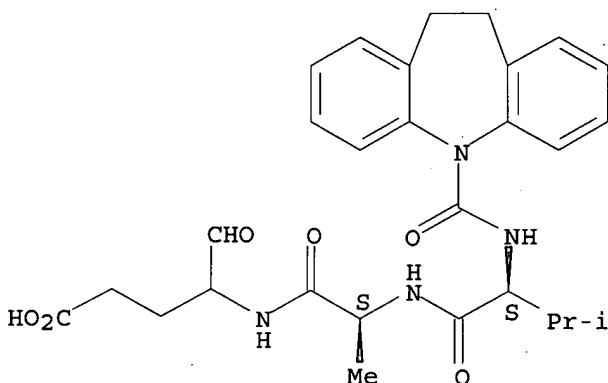
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of peptide compds. as inhibitors of cysteine protease and interleukin-1.beta. converting enzyme for treating septic shock and rheumatic arthritis)

RN 183438-83-7 CAPLUS

CN L-Alaninamide, N-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-L-valyl-N-(3-carboxy-1-formylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 194 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:713039 CAPLUS

DOCUMENT NUMBER: 126:8143

TITLE: Preparation of sulfonyloxyisoclozapine derivatives as atypical neuroleptics.

PATENT ASSIGNEE(S): Wikstroem, Haakan, Neth.; De Boer, Peter; Liao, Yi

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

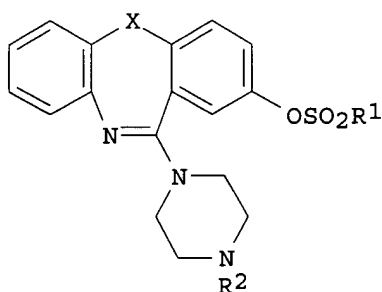
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

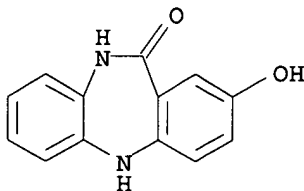
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629316	A1	19960926	WO 1996-SE344	19960319
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9651305	A1	19961008	AU 1996-51305	19960319
PRIORITY APPLN. INFO.:			SE 1995-998	19950319
			WO 1996-SE344	19960319
OTHER SOURCE(S):		MARPAT 126:8143		
GI				



AB Title compds. [I; R1 = H, alkyl, haloalkyl, hydroxyalkyl, alkenyl, alkynyl, cyclopropylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl; R2 = H, alkyl, alkenyl, alkynyl, cyclopropylalkyl, haloalkyl, hydroxyalkyl, hydroxyalkyloxyalkyl, 1-(alkyl-2-imidazolidinonyl); X = NH, NR1, O, S, SO, SO2], were prepd. The compds. of this invention possess affinity to one or several receptor systems, e.g. DA (D1-D4), .alpha.1, muscarinic (M1-M4) and 5-HT (5-HT2A, 5-HT2C and 5-HT7). Thus, (I; X = NH; R1 = CF3; R2 = Me), prepd. starting from 5-methoxy-2-aminobenzoic acid and 2-bromonitrobenzene via cyclization of 2-(2-aminophenyl)amino-5-methoxybenzoic acid, s.c. in rats gave a 94% increase in dopamine.

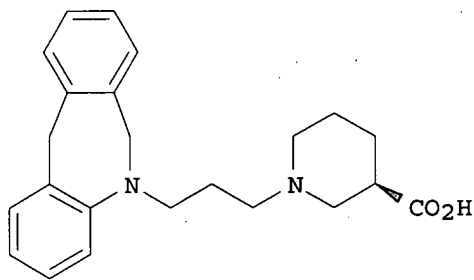
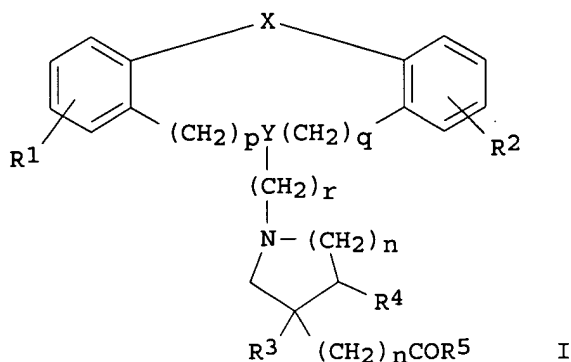
IT **183583-24-6P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of sulfonyloxyisoclozapine derivs. as atypical neuroleptics)  
 RN 183583-24-6 CAPLUS  
 CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,10-dihydro-2-hydroxy- (9CI) (CA INDEX NAME)



L7 ANSWER 195 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1996:713004 CAPLUS  
 DOCUMENT NUMBER: 126:8146  
 TITLE: Novel heterocyclic compounds for treatment of pain

and/or inflammation  
 INVENTOR(S): Joergensen, Tine Krogh; Andersen, Knud Erik; Andersen, Henrik Sune; Hohlweg, Rolf; Madsen, Peter; Olsen, Uffe Bang  
 PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.  
 SOURCE: PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631497	A1	19961010	WO 1996-DK138	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5698551	A	19971216	US 1996-623807	19960329
CA 2217206	AA	19961010	CA 1996-2217206	19960401
AU 9651002	A1	19961023	AU 1996-51002	19960401
EP 820450	A1	19980128	EP 1996-907326	19960401
EP 820450	B1	20010912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11503126	T2	19990323	JP 1996-529867	19960401
AT 205489	E	20010915	AT 1996-907326	19960401
ZA 9602738	A	19961024	ZA 1996-2738	19960404
US 5747481	A	19980505	US 1997-863749	19970527
US 5750518	A	19980512	US 1997-863751	19970527
US 5780486	A	19980714	US 1997-863257	19970527
US 5846968	A	19981208	US 1997-863746	19970527
PRIORITY APPLN. INFO.:			DK 1995-403	A 19950407
			DK 1995-1006	A 19950911
			US 1996-623807	A3 19960329
			WO 1996-DK138	W 19960401
OTHER SOURCE(S):		MARPAT 126:8146		
GI				



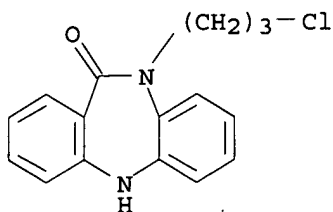
AB Compds. I [R1, R2 = H, halo, CF3, OH, alkyl, alkoxy; Y = various trivalent branched radicals: CH2N(CH2), CON(CH2), (CH2)NCO, CH:C(CH2), OCH(CH2), (CH2)CHO, SCH(CH2), etc. (fragments in parentheses not in ring); X = O, S, CR6R7, CH2CH2, CH:CHCH2, COCH2, OCH2, CH2O, SCH2, NR8, NR9, etc.; q, p = 0, 1; r = 1-3; m = 1, 2; n = 1 when m = 1; n = 0 when m = 2; R3, R4 = H, or R3R4 = bond when m = 2; R5 = OH, alkoxy; R6-R9 = H, alkyl] and their pharmaceutically acceptable salts are disclosed. The invention also relates to esters of I, methods of prepn. of I, compns. contg. the compds., and their use for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation. For example, 6,11-dihydro-5H-dibenz[b,e]azepine was subjected to a sequence of: N-acylation with ClCH2CH2COCl (100%), redn. of carbonyl with LiAlH4, amination of the chloride with (R)-3-piperidinecarboxylic acid Et ester tartrate (42%), and alk. hydrolysis and acidification of the ester (74%), to give title compd. II.HCl. At 0.1 mg/kg in mice, II.HCl gave 36% inhibition of formalin-induced paw pain response.

IT 183614-91-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; prepn. of tricyclic azaheterocyclic carboxylic acids as analgesics and antiinflammatories)

RN 183614-91-7 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 10-(3-chloropropyl)-5,10-dihydro-  
(9CI) (CA INDEX NAME)



L7 ANSWER 196 OF 200 CAPLUS. COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:710568 CAPLUS

DOCUMENT NUMBER: 125:328519

TITLE: Novel N-substituted 3-pyrrolidine- or 3-piperidinecarboxylic acids and esters for the treatment of neurogenic inflammation

INVENTOR(S): Andersen, Knud Erik; Olsen, Uffe Bang; Andersen, Henrik Sune; Hohlweg, Rolf; Joergensen, Tine Krogh; Madsen, Peter

PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

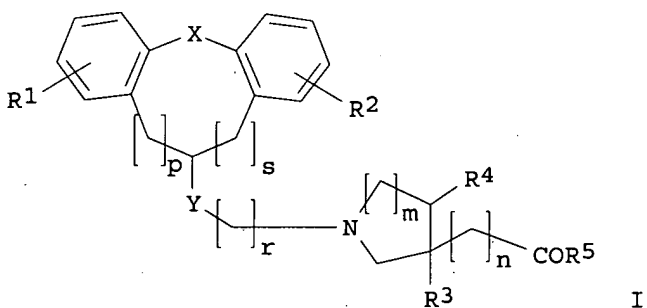
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631472	A1	19961010	WO 1996-DK150	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9652715	A1	19961023	AU 1996-52715	19960401
PRIORITY APPLN. INFO.:			DK 1995-416	19950407
			WO 1996-DK150	19960401
OTHER SOURCE(S):		MARPAT 125:328519		
GI				



I

AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; X = OCH2, CH2O, CH:CHCH2, etc.; Y = O, S(O)q (wherein q = 0-2), (un)substituted NH; R3 = H; R4 = OH, R3R4 = bond; R5 = OH, C1-6 alkoxy, (un)substituted NH2; p = 0-1; s = 0-1 (s and p must not be 0 at the same time); r = 1-4; m = 1-2; n

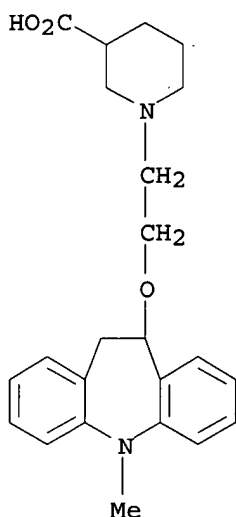
= 0-1], useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation, were claimed. In general, compds. I are effective at 1-500 mg. Tablet formulation contg. compd. I is given.

IT **183551-90-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(novel N-substituted 3-pyrrolidine- or 3-piperidinecarboxylic acids and esters for the treatment of neurogenic inflammation)

RN 183551-90-8 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(10,11-dihydro-5-methyl-5H-dibenz[b,f]azepin-10-yl)oxy]ethyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 197 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:708300 CAPLUS

DOCUMENT NUMBER: 125:328528

TITLE: Preparation of heterocyclic tricyclic analgesics, antidiabetics and antiinflammatory agents

INVENTOR(S): Madsen, Peter; Andersen, Knud Erik; Doerwald, Florenzio Zaragossa; Joergensen, Tine Krogh; Andersen, Henrik Sune; Hohlweg, Rolf; Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631481	A1	19961010	WO 1996-DK141	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5962449	A	19991005	US 1996-623447	19960328

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CA 2217198	AA 19961010	CA 1996-2217198	19960401
AU 9652706	A1 19961023	AU 1996-52706	19960401
EP 820443	A1 19980128	EP 1996-909078	19960401
EP 820443	B1 20010919		

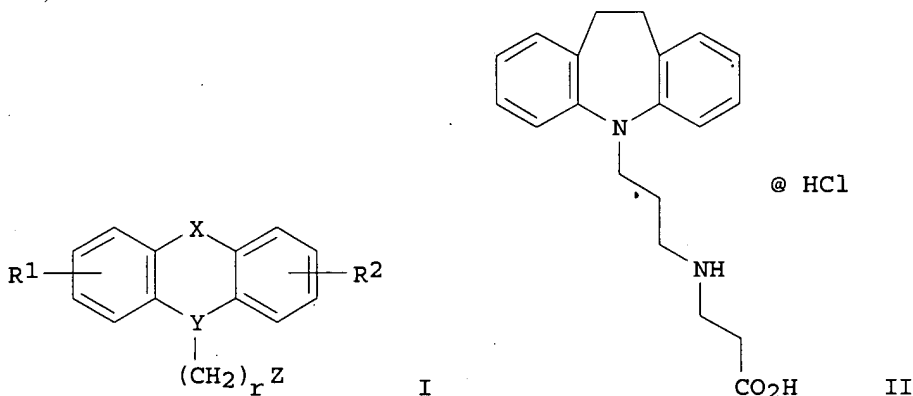
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
JP 11503129	T2 19990323	JP 1996-529870	19960401
AT 205833	E 20011015	AT 1996-909078	19960401
ZA 9602733	A 19961024	ZA 1996-2733	19960404

PRIORITY APPLN. INFO.:

DK 1995-407	A 19950407
DK 1995-1002	A 19950911
WO 1996-DK141	W 19960401

OTHER SOURCE(S):  
GI

MARPAT 125:328528



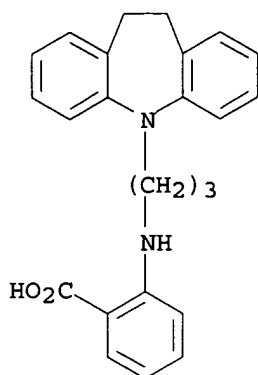
AB The title compds. [I; R1, R2 = H, halogen, CF3, OH, alkyl, alkoxy; X = O, S, CH2CH2, (un)substituted NH, CH2O, OCH2, S(:O), etc.; Y = NCH2, CHCH2, C:CH; Z = (un)substituted 2-pyridylamino, (un)substituted cyclohexylamino, etc.; r = 1-3], useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation, and for the treatment of noninsulin-dependent diabetes mellitus (no data), are prepd. and a I-contg. formulation presented. Thus, dihydrodibenz[b,f]azepine II (m.p. 114-117.degree.) was prepd. in 4 steps from 10,11-dihydro-5H-dibenz[b,f]azepine and demonstrated a 36% inhibition of pain in a mouse formalin-induced pain model at 0.1 mg/kg.

IT 183476-83-7P

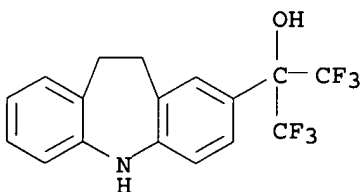
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of heterocyclic tricyclic analgesics, antidiabetics and antiinflammatory agents)

RN 183476-83-7 CAPLUS

CN Benzoic acid, 2-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]amino]-(9CI) (CA INDEX NAME)

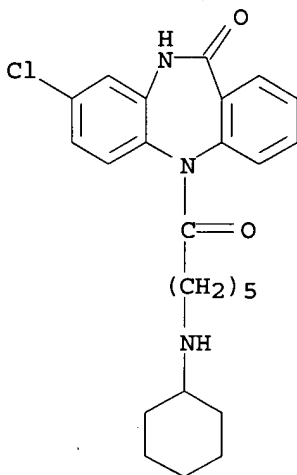


L7 ANSWER 198 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1996:609542 CAPLUS  
 DOCUMENT NUMBER: 126:8053  
 TITLE: Reactions of polyfluorocarbonyl compounds and their (trifluoroacetyl)imines with fused heterocycles  
 AUTHOR(S): Fokin, A. V.; Dyachenko, V. I.; Sviridov, V. I.; Sizov, A. Yu.; Chkanikov, N. D.  
 CORPORATE SOURCE: Nesmeyanov, A.N., Institut Elementoorganicheskikh Soedinenii, Moscow, 117813, Russia  
 SOURCE: Izvestiya Akademii Nauk, Seriya Khimicheskaya (1996), (5), 1239-1242  
 CODEN: IASKEA  
 PUBLISHER: Institut Organicheskoi Khimii im. N. D. Zelinskogo Rossiiskoi Akademii Nauk  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 AB C-hydroxy- and C-aminoalkylation of iminodibenzyl, iminostilbene, phenoxazine, and phenothiazine by hexafluoroacetone, Me trifluoropyruvate (I), and their (trifluoroacetyl)imines were studied. Substitution occurred at one or more para and ortho positions relative to the N atom of the heterocycles. In the case of I and its deriv., substitution in the ortho position was accompanied by lactam formation.  
 IT **183944-49-2P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (reactions of fluorocarbonyl compds. and their (trifluoroacetyl)imines with fused heterocycles)  
 RN 183944-49-2 CAPLUS  
 CN 5H-Dibenz[b,f]azepine-2-methanol, 10,11-dihydro-.alpha.,.alpha.-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 199 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1996:601363 CAPLUS  
 DOCUMENT NUMBER: 126:851  
 TITLE: Interaction of dialkylaminoacyl derivatives of phenothiazine, dibenzazepine, and dibenzodiazepine

with opiate receptors  
 AUTHOR(S): Brusova, E. G.; Likhoshesterov, A. M.; Gritsenko, A. N.  
 CORPORATE SOURCE: Laboratoriya Farmakologii i Krovoobrashcheniya, NII  
 Farmakologii, Moscow, 125315, Russia  
 SOURCE: Eksperimental'naya i Klinicheskaya Farmakologiya  
 (1996), 59(2), 20-23  
 CODEN: EKFAE9; ISSN: 0869-2092  
 PUBLISHER: Meditsina  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 AB Specific binding of dialkylaminoacyl (DAC) derivs. of phenothiazine,  
 dibenzazepine, and dibenzodiazepine to opiate receptors (OR) of  $\mu$ - and  
 $\delta$ -subtypes was studied. Some of the compds. studied exhibited  
 moderate affinity to  $\mu$ -OR in  $\mu$ M range. Binding to  $\delta$ -OR was  
 less pronounced. Dibenzodiazepine deriv. AL-234 was the most potent  
 compd. with respect to OR of both  $\mu$ - and  $\delta$ -subtypes (IC50 values  
 were 11 and 60  $\mu$ M, resp.). The ability of DAC- derivs. for specific  
 binding to OR might play a decisive role in the realization of their  
 antinociceptive and antiarrhythmic properties.  
 IT 183850-02-4  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (interaction of dialkylaminoacyl derivs. of phenothiazine,  
 dibenzazepine, and dibenzodiazepine with opiate receptors)  
 RN 183850-02-4 CAPLUS  
 CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 8-chloro-5-[6-(cyclohexylamino)-1-  
 oxohexyl]-5,10-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



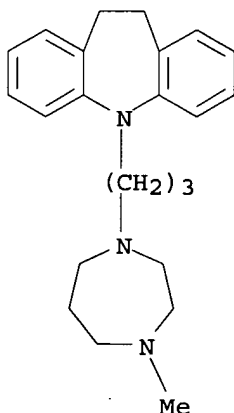
● HCl

L7 ANSWER 200 OF 200 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1964:75432 CAPLUS  
 DOCUMENT NUMBER: 60:75432  
 ORIGINAL REFERENCE NO.: 60:13258b-e  
 TITLE: Homopiperazine derivatives of iminostilbene  
 INVENTOR(S): Schuler, William A.; Beschke, Helmut  
 PATENT ASSIGNEE(S): Deutsche Gold- und Silber-Scheideanstalt vorm.  
 Roessler

10/ 076,573

SOURCE: 12 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Unavailable  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	BE 629616		19630701	BE	
	GB 1015617			GB	
PRIORITY APPLN. INFO.:			DE		19620315
GI	For diagram(s), see printed CA Issue.				
AB	The prepn. of psychotropic agents is described. To a refluxing soln. of 3.9 parts NaNH <sub>2</sub> and 19.3 parts iminostilbene (I) (X = CH:CH, R = H) in 100 parts PhMe was added dropwise over 20 min. a soln. of 22.1 parts .gamma.-bromopropylhomopiperazine (II) in PhMe, and the mixt. refluxed 5 hrs. to give 25 parts I (X = CH:CH, R = .gamma.-homopiperazinopropyl) (III), b0.5 216-22.degree.. Refluxing 25 parts III in 200 parts BuOH 6 hrs. with 12 parts K <sub>2</sub> CO <sub>3</sub> and 7 parts ClCH <sub>2</sub> CH <sub>2</sub> OH gave I [X = CH:CH, R = .gamma.-[N'-(.beta.-hydroxyethyl)homopiperazino]propyl] (IIIa), b0.3 219-24.degree.; fumarate m. 136-7.degree.. Similarly, 19.5 parts I [X = (CH <sub>2</sub> ) <sub>2</sub> , R = H] gave 22 parts I [X = (CH <sub>2</sub> ) <sub>2</sub> , R = .gamma.-homopiperazinopropyl], b1 215-20.degree., converted by treatment with ClCH <sub>2</sub> CH <sub>2</sub> OH into the N'-(.beta.-hydroxyethyl) analog, b1 225-30.degree.; difumarate m. 119-21.degree.. From 30 parts I (X = CH:CH, R = H), 6 parts NaNH <sub>2</sub> , 300 parts PhMe, and 33 parts of the N-Me deriv. of II was obtained I [X = CH:CH, R = .gamma.-[N'-(N-methylhomopiperazino)propyl] (IV), b2 226-35.degree.; difumarate m. 173-4.degree.. Similarly was prepd. the dihydro analog (V) of IV, b2 231-40.degree.; difumarate m. 188-91.degree.. A mixt. of 5 g. V and 2 g. 50% Pd-C was heated in vacuo 3 hrs. at 190.degree. to give 2.1 g. IV. Similarly, IIIa was prepd. from its dihydro analog.				
IT	369391-53-7, 5H-Dibenz[b,f]azepine, 5-[3-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)propyl]-10,11-dihydro- (prepn. of)				
RN	369391-53-7 CAPLUS				
CN	5H-Dibenz[b,f]azepine, 5-[3-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)propyl]-10,11-dihydro- (9CI) (CA INDEX NAME)				



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(FILE 'HOME' ENTERED AT 15:21:12 ON 06 JUN 2003)

FILE 'REGISTRY' ENTERED AT 15:21:23 ON 06 JUN 2003

10/ 076,573

L1                   STRUCTURE UPLOADED  
L2           1669 S L1 FUL  
L3           12 S 'BENZO[B,F]AZEPIN'  
L4           31 S 'BENZO[B,F]AZEPINE'  
L5           43 S L3 OR L4  
L6           1664 S L2 NOT L5

FILE 'CAPLUS' ENTERED AT 15:23:37 ON 06 JUN 2003  
L7           200 S L6

=> log y

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

911.79

1086.67

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE  
ENTRY

TOTAL  
SESSION

CA SUBSCRIBER PRICE

-130.20

-130.20

STN INTERNATIONAL LOGOFF AT 15:30:13 ON 06 JUN 2003